```
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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * *
* *

 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  May 12   EXTEND option available in structure searching
 NEWS  4  May 12   Polymer links for the POLYLINK command completed in
REGISTRY
 NEWS  5  May 27   New UPM (Update Code Maximum) field for more
efficient patent
                   SDIs in CAplus
 NEWS  6  May 27   CAplus super roles and document types searchable in
REGISTRY
 NEWS  7  Jun 28   Additional enzyme-catalyzed reactions added to
CASREACT
 NEWS  8  Jun 28   ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG,
MECHENG,
                   and WATER from CSA now available on STN(R)
 NEWS  9  Jul 12   BEILSTEIN enhanced with new display and select
options,
                   resulting in a closer connection to BABS
 NEWS 10  Jul 30   BEILSTEIN on STN workshop to be held August 24 in
conjunction
                   with the 228th ACS National Meeting
 NEWS 11  AUG 02   IFIPAT/IFIUDB/IFICDB reloaded with new search and
display
                   fields
 NEWS 12  AUG 02   CAplus and CA patent records enhanced with European
and Japan
                   Patent Office Classifications
 NEWS 13  AUG 02   STN User Update to be held August 22 in conjunction
with the
                   228th ACS National Meeting
 NEWS 14  AUG 02   The Analysis Edition of STN Express with Discover!
                   (Version 7.01 for Windows) now available
 NEWS 15  AUG 04   Pricing for the Save Answers for SciFinder Wizard
within
                   STN Express with Discover! will change September 1,
2004
 NEWS 16  AUG 27   BIOCOMMERCE: Changes and enhancements to content
coverage
 NEWS 17  AUG 27   BIOTECHABS/BIOTECHDS: Two new display fields added
for legal
                   status data from INPADOC
 NEWS 18  SEP 01   INPADOC: New family current-awareness alert (SDI)
available
 NEWS 19  SEP 01   New pricing for the Save Answers for SciFinder
Wizard within
                   STN Express with Discover!
 NEWS 20  SEP 01   New display format, HITSTR, available in
WPIDS/WPINDEX/WPIX

 NEWS EXPRESS  JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to
STN
 NEWS WWW      CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
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  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * *
* *

FILE 'HOME' ENTERED AT 10:50:19 ON 09 SEP 2004

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE
TOTAL
                                                       ENTRY
SESSION
FULL ESTIMATED COST                                     0.21
0.21

FILE 'REGISTRY' ENTERED AT 10:50:27 ON 09 SEP 2004
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STRUCTURE FILE UPDATES:    8 SEP 2004  HIGHEST RN 741635-85-8
DICTIONARY FILE UPDATES:   8 SEP 2004  HIGHEST RN 741635-85-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

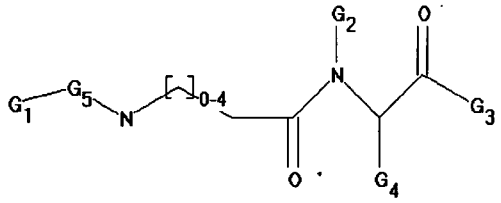
  Please note that search-term pricing does apply when
```

conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading H:\STN queries\09913722.str
:*'=O 2:*'=23



chain nodes :
1 2 9 10 13 14 15 16 17 18 21 22 23
ring/chain nodes :
3 7 8
chain bonds :
1-2 2-3 8-9 9-10 9-13 10-14 10-15 15-16 15-21 16-17 16-18 22-23
ring/chain bonds :
3-7 7-8
exact/norm bonds :
1-2 2-3 3-7 7-8 9-10 9-13 10-14 10-15 15-21 16-17 16-18 22-23
exact bonds :
8-9 15-16

G1:Cb,Cy,Hy,Ak,CH3,Ph,MeO,EtO,n-BuO,NH,O,Et

G2:Ak,H

G3:O,SO2,NH

G4:Cb,Cy,Hy,Ak

G5:SO2,[*1]

Match level :
1:CLASS 2:CLASS 3:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 21:CLASS 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> s l1 sam
SAMPLE SEARCH INITIATED 10:50:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 61724 TO ITERATE

1.6% PROCESSED 1000 ITERATIONS 50
ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 806392

L2 50 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 10:51:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 23.9% PROCESSED 294112 ITERATIONS 218486
ANSWERS

< 28.2% PROCESSED 347900 ITERATIONS 260522
ANSWERS

< 32.1% PROCESSED 395417 ITERATIONS 303965
ANSWERS

< 32.5% PROCESSED 400000 ITERATIONS 308369
ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.58

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 947141

L3 308369 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE

```
TOTAL
                                                    ENTRY
SESSION
FULL ESTIMATED COST                                156.26
156.47

FILE 'CAPLUS' ENTERED AT 10:52:16 ON 09 SEP 2004
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FILE COVERS 1907 - 9 Sep 2004  VOL 141 ISS 11
FILE LAST UPDATED: 8 Sep 2004  (20040908/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l2
L4           44 L2

=> s l4 and pd<20000217
      20432235 PD<20000217
                 (PD<20000217)
L5            0 L4 AND PD<20000217

=> d his

     (FILE 'HOME' ENTERED AT 10:50:19 ON 09 SEP 2004)

     FILE 'REGISTRY' ENTERED AT 10:50:27 ON 09 SEP 2004
L1               STRUCTURE UPLOADED
L2             50 S L1 SAM
L3         308369 S L1 FUL

     FILE 'CAPLUS' ENTERED AT 10:52:16 ON 09 SEP 2004
L4             44 S L2
L5              0 S L4 AND PD<20000217

=> s l3 and pd<20000217
TOO MANY TERMS FOR FILE CROSSOVER IN L3
There are limits on the size of an answer set being crossed over from
one file to another.  Enter HELP CROSSOVER at an arrow prompt (=>)
for specific information.
```

```
=> s l3
TOO MANY TERMS FOR FILE CROSSOVER IN L3
There are limits on the size of an answer set being crossed over from
one file to another.  Enter HELP CROSSOVER at an arrow prompt (=>)
for specific information.

=> file reg
COST IN U.S. DOLLARS                            SINCE FILE
TOTAL
                                                    ENTRY
SESSION
FULL ESTIMATED COST                                  3.58
160.05

FILE 'REGISTRY' ENTERED AT 10:54:26 ON 09 SEP 2004
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STRUCTURE FILE UPDATES:    8 SEP 2004  HIGHEST RN 741635-85-8
DICTIONARY FILE UPDATES:   8 SEP 2004  HIGHEST RN 741635-85-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for
details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d his

     (FILE 'HOME' ENTERED AT 10:50:19 ON 09 SEP 2004)

     FILE 'REGISTRY' ENTERED AT 10:50:27 ON 09 SEP 2004
L1               STRUCTURE UPLOADED
L2             50 S L1 SAM
L3         308369 S L1 FUL

     FILE 'CAPLUS' ENTERED AT 10:52:16 ON 09 SEP 2004
L4             44 S L2
L5              0 S L4 AND PD<20000217

     FILE 'REGISTRY' ENTERED AT 10:54:26 ON 09 SEP 2004

=> d scan l3

L3   308369 ANSWERS   REGISTRY  COPYRIGHT 2004 ACS on STN
IN   INDEX NAME NOT YET ASSIGNED
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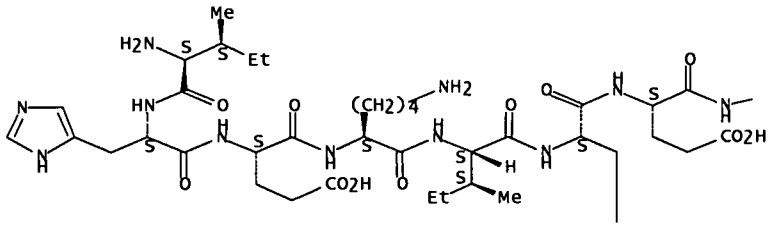
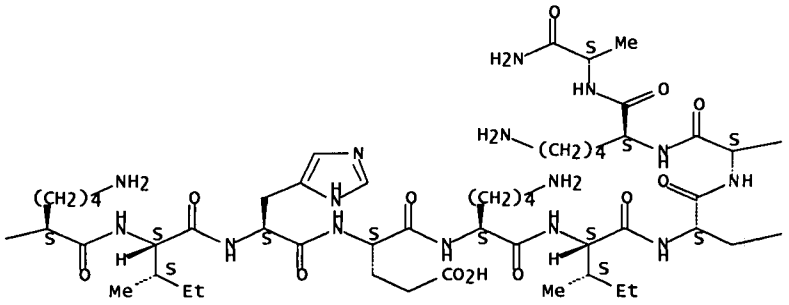
MF C95 H156 N30 O25

Absolute stereochemistry.

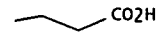
PAGE 1-A

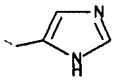


PAGE 1-B



PAGE 1-C





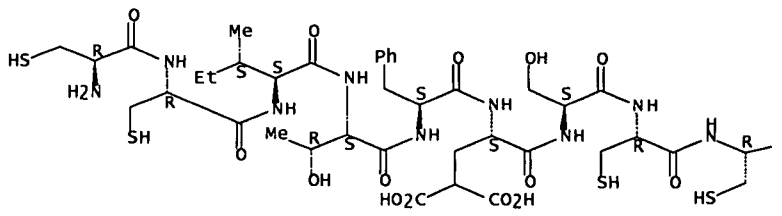
PAGE 2-A

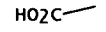
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

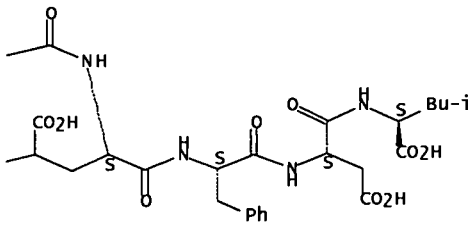
L3 308369 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C65 H93 N13 O26 S4

Absolute stereochemistry.

PAGE 1-A







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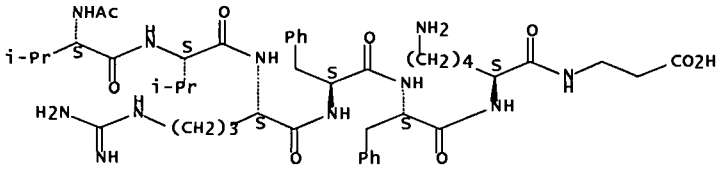
IN INDEX NAME NOT YET ASSIGNED
MF C45 H69 N11 O9

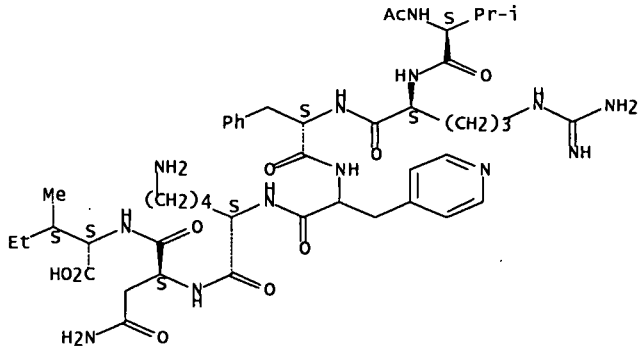
Absolute stereochemistry.



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 308369 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C46 H71 N13 O10

Absolute stereochemistry.



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

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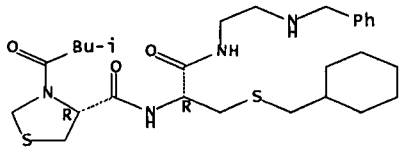
IN INDEX NAME NOT YET ASSIGNED
MF C28 H44 N4 O3 S2
CI COM

Absolute stereochemistry.



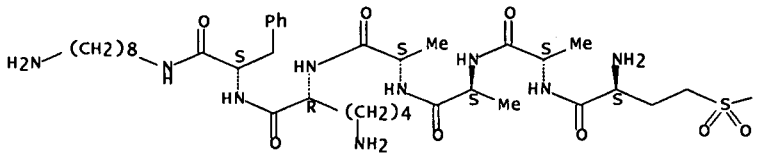
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> DIS L3 1-10 IDE

L3 ANSWER 1 OF 308369 REGISTRY COPYRIGHT 2004 ACS on STN
RN 741635-65-4 REGISTRY
CN INDEX NAME NOT YET ASSIGNED
FS STEREOSEARCH
MF C37 H65 N9 O8 S
CI COM
SR CA

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

Me

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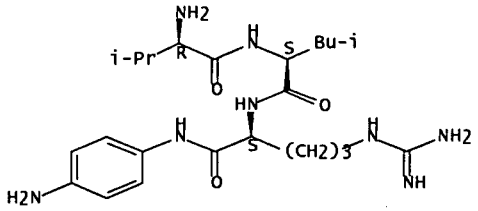
MF C23 H40 N8 O3
CI COM
SR CA

Absolute stereochemistry.



L3 ANSWER 3 OF 308369 REGISTRY COPYRIGHT 2004 ACS on STN
RN 741635-17-6 REGISTRY
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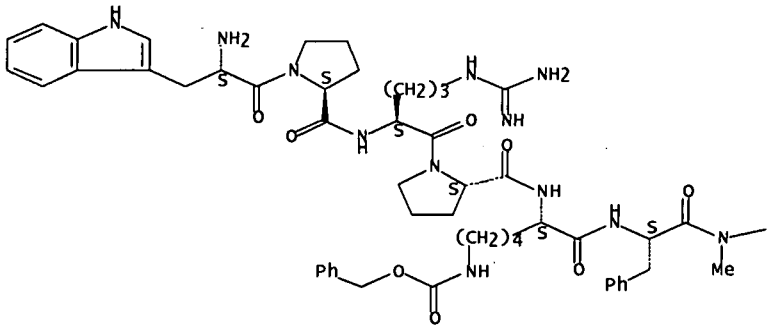
FS STEREOSEARCH
MF C66 H85 N13 O11
CI COM
SR CA

Absolute stereochemistry.

PAGE 1-A



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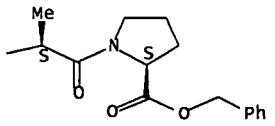

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RN 741634-32-2 REGISTRY
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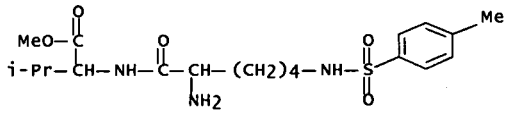
MF C19 H31 N3 O5 S
CI COM
SR CA



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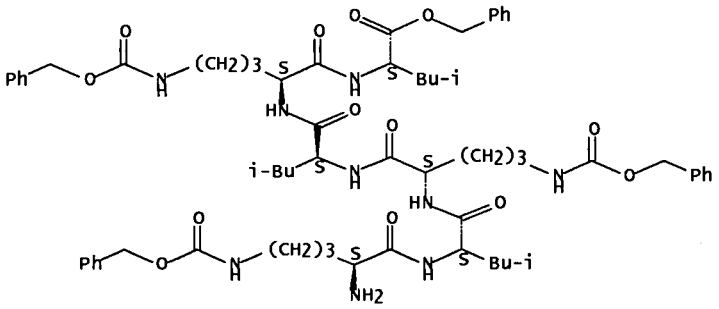
CI COM
SR CA

Absolute stereochemistry.



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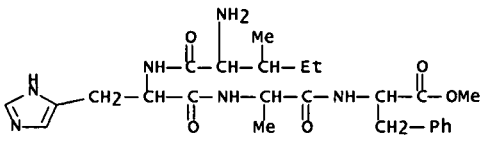
CI COM
SR CA



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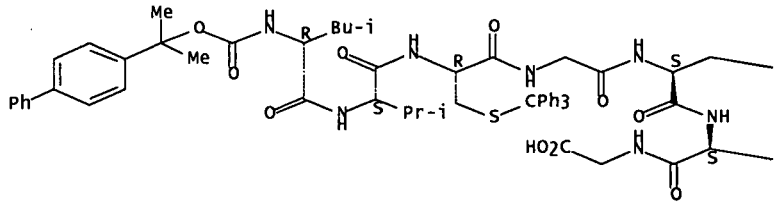
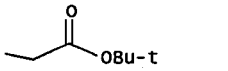
MF C68 H88 N10 O12 S
CI COM
SR CA

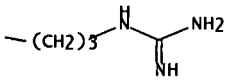
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





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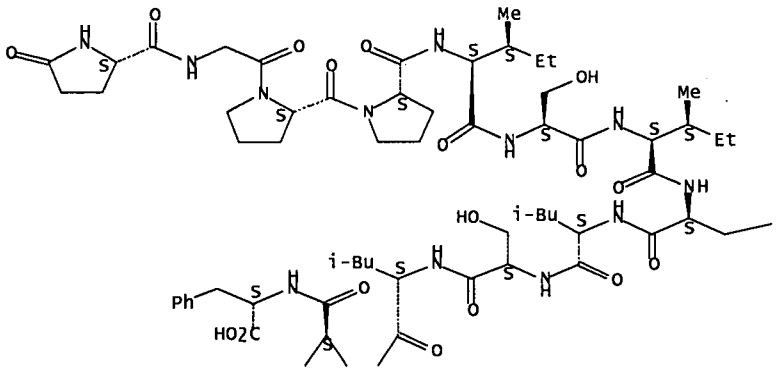
RN 741630-35-3 REGISTRY
CN INDEX NAME NOT YET ASSIGNED
FS STEREOSEARCH
MF C65 H99 N13 O21
CI COM
SR CA

Absolute stereochemistry.

PAGE 1-A



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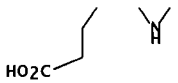
PAGE 2-A



L3 ANSWER 9 OF 308369 REGISTRY COPYRIGHT 2004 ACS on STN
RN 741628-80-8 REGISTRY
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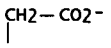
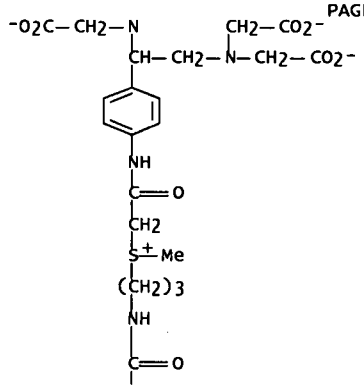
CI CCS, COM

SR CA

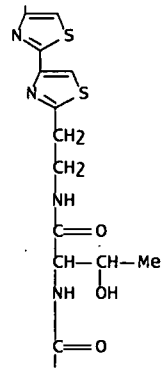
PAGE 1-A



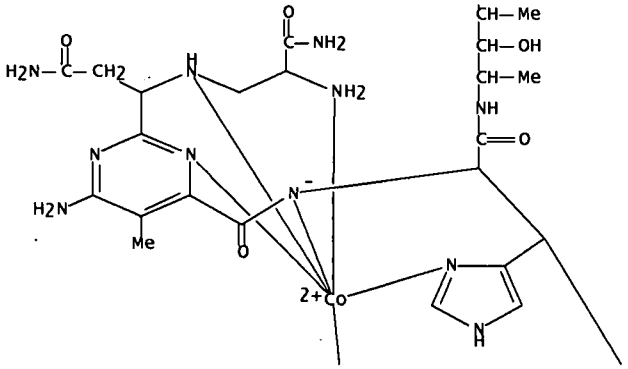
PAGE 2-A



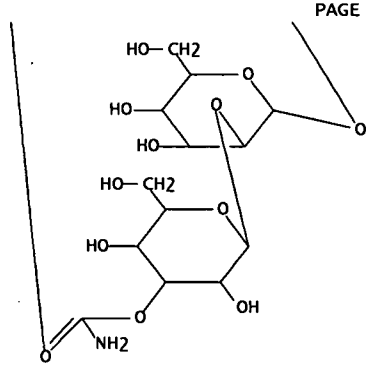
PAGE 3-A



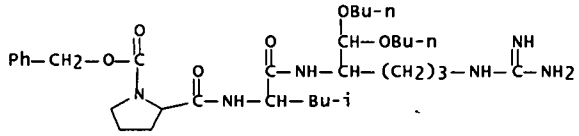
PAGE 4-A



PAGE 5-A



L3 ANSWER 10 OF 308369 REGISTRY COPYRIGHT 2004 ACS on STN
RN 741628-41-1 REGISTRY
CN INDEX NAME NOT YET ASSIGNED
MF C33 H56 N6 O6
CI COM
SR CA



=>

=>
Executing the logoff script...

=> LOG H

COST IN U.S. DOLLARS	SINCE FILE
TOTAL	ENTRY
SESSION	
FULL ESTIMATED COST	21.48
181.53	

```
 SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 11:00:01 ON 09 SEP 2004

Connecting via Winsock to STN



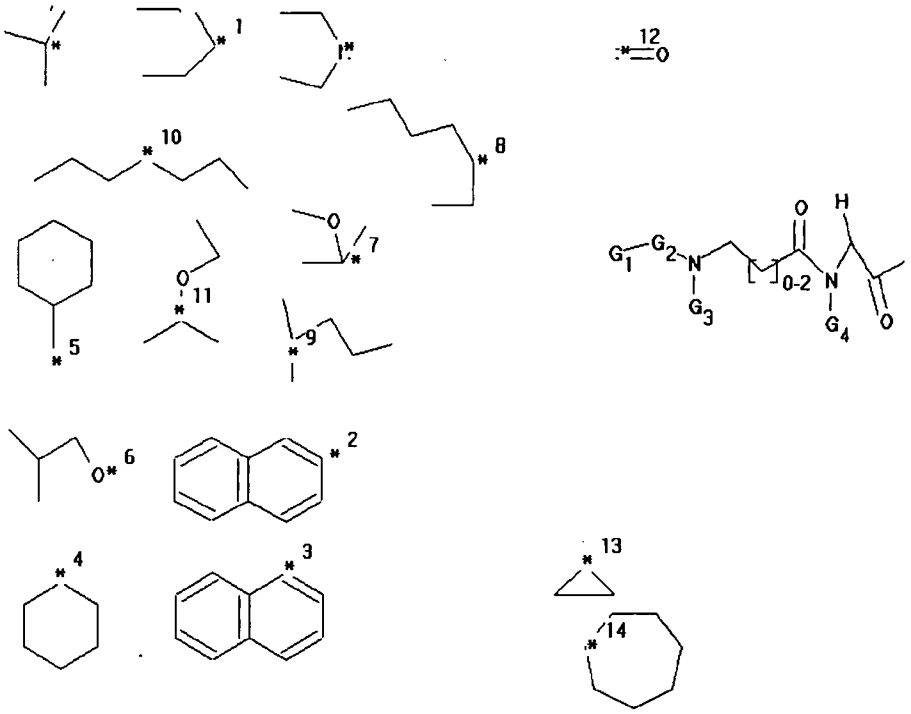
Welcome to STN International!  Enter x:x

LOGINID:ssspta1653adk

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 11:32:09 ON 09 SEP 2004
FILE 'REGISTRY' ENTERED AT 11:32:09 ON 09 SEP 2004
COPYRIGHT (C) 2004 American Chemical Society (ACS)

COST IN U.S. DOLLARS                                SINCE FILE
TOTAL
                                                       ENTRY
SESSION
FULL ESTIMATED COST                                    21.48
181.53

=>
Uploading H:\STN queries\09913722b.str
```




```
chain nodes :
1  2  3  4  5  6  7  8  9  10  45  50  51  52  53  54  55  56  57  58
59  62  63  64  65  66  68  69  70  71  72  73  74  76  77  78  79
80  81  83  84  85  86  87  88  89  91  92  93  94  95  96  100  101
102  105  119  120  121  122  126  127  128  129  130  132  133  134
ring nodes :
11  12  13  14  15  16  17  18  19  20  21  22  23  24  25  26  27
28  29  30  33  34  35  36  37  38  39  40  41  42  43  44  106  107
108  110  111  112  113  114  115  116
chain bonds :
1-2  1-4  2-3  4-5  6-9  6-7  6-8  10-100  39-45  50-51  50-53  51-52
53-54  55-56  56-57  57-58  57-59  62-63  62-64  62-65  65-66  68-69
69-70  70-71  71-72  72-73  73-74  76-77  76-78  76-79  79-80  80-81
83-84  84-85  85-86  86-87  87-88  88-89  91-92  92-93  92-94  93-95
95-96  100-105  101-102  105-119  105-120  120-121  121-122  122-126
122-127  127-128  127-132  128-129  128-130  130-133  130-134
ring bonds :
```

```
11-12  11-16  12-13  13-14  14-15  15-16  15-17  16-20  17-18  18-19
19-20  21-22  21-26  22-23  23-24  24-25  25-26  25-27  26-30  27-28
28-29  29-30  33-34  33-38  34-35  35-36  36-37  37-38  39-40  39-44
40-41  41-42  42-43  43-44  106-107  106-108  107-108  110-111  110-
116  111-112  112-113  113-114  114-115  115-116
exact/norm bonds :
10-100  33-34  33-38  34-35  35-36  36-37  37-38  39-40  39-44  40-41
41-42  42-43  43-44  50-51  50-53  55-56  62-65  65-66  92-93  93-95
100-105  101-102  105-119  105-120  106-107  106-108  107-108  110-
111  110-116  111-112  112-113  113-114  114-115  115-116  122-126
122-127  127-128  127-132  130-133  130-134
exact bonds :
1-2  1-4  2-3  4-5  6-9  6-7  6-8  39-45  51-52  53-54  56-57  57-58
57-59  62-63  62-64  68-69  69-70  70-71  71-72  72-73  73-74  76-77
76-78  76-79  79-80  80-81  83-84  84-85  85-86  86-87  87-88  88-89
91-92  92-94  95-96  120-121  121-122  128-129  128-130
normalized bonds :
11-12  11-16  12-13  13-14  14-15  15-16  15-17  16-20  17-18  18-19
19-20  21-22  21-26  22-23  23-24  24-25  25-26  25-27  26-30  27-28
28-29  29-30


G1:CH3,t-Bu,Ph,p-
C6H4,[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8],[*9],[*10],[*11]

G2:SO2,[*12]

G3:Ph,H,[*4],[*13],[*14]

G4:H,CH3,i-Pr,t-Bu,[*1],[*9],[*10]

G5:O,S,NH

Connectivity :
120:4 X maximum C  chain  121:4 X maximum C  chain  128:3 X maximum C
chain
Match level :


Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

LOGINID:ssspta1653adk

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 11:34:12 ON 09 SEP 2004
FILE 'REGISTRY' ENTERED AT 11:34:12 ON 09 SEP 2004
COPYRIGHT (C) 2004 American Chemical Society (ACS)
COST IN U.S. DOLLARS                                  SINCE FILE
TOTAL
                                                        ENTRY
SESSION
FULL ESTIMATED COST                                          21.90
181.95

=> d his

     (FILE 'HOME' ENTERED AT 10:50:19 ON 09 SEP 2004)

     FILE 'REGISTRY' ENTERED AT 10:50:27 ON 09 SEP 2004
L1             STRUCTURE UPLOADED
L2           50 S L1 SAM
L3       308369 S L1 FUL

     FILE 'CAPLUS' ENTERED AT 10:52:16 ON 09 SEP 2004
L4            44 S L2
L5             0 S L4 AND PD<20000217

     FILE 'REGISTRY' ENTERED AT 10:54:26 ON 09 SEP 2004
L6             ^   STRUCTURE UPLOADED

=> s l6 sam
SAMPLE SEARCH INITIATED 11:34:30 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  36115 TO ITERATE

  2.8% PROCESSED    1000 ITERATIONS                                  38
ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:       710956 TO   733644
PROJECTED ANSWERS:           25225 TO    29669

L7           38 SEA SSS SAM L6

=> file reg
COST IN U.S. DOLLARS                                  SINCE FILE
TOTAL
                                                        ENTRY
SESSION
FULL ESTIMATED COST                                          22.32
182.37

FILE 'REGISTRY' ENTERED AT 11:34:50 ON 09 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    8 SEP 2004  HIGHEST RN 741635-85-8
DICTIONARY FILE UPDATES:   8 SEP 2004  HIGHEST RN 741635-85-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
```

conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> file caplus
COST IN U.S. DOLLARS SINCE FILE
TOTAL
ENTRY
SESSION
FULL ESTIMATED COST 0.42
182.79

FILE 'CAPLUS' ENTERED AT 11:34:54 ON 09 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 9 Sep 2004 VOL 141 ISS 11
FILE LAST UPDATED: 8 Sep 2004 (20040908/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 10:50:19 ON 09 SEP 2004)

FILE 'REGISTRY' ENTERED AT 10:50:27 ON 09 SEP 2004
L1 STRUCTURE UPLOADED
L2 50 S L1 SAM
L3 308369 S L1 FUL

FILE 'CAPLUS' ENTERED AT 10:52:16 ON 09 SEP 2004
L4 44 S L2
L5 0 S L4 AND PD<20000217

FILE 'REGISTRY' ENTERED AT 10:54:26 ON 09 SEP 2004
L6 STRUCTURE UPLOADED
L7 38 S L6 SAM

FILE 'REGISTRY' ENTERED AT 11:34:50 ON 09 SEP 2004

FILE 'CAPLUS' ENTERED AT 11:34:54 ON 09 SEP 2004

=> s l7
L8 47 L7

=> d l8 1-5 ibib

L8 ANSWER 1 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:252189 CAPLUS Full-text
DOCUMENT NUMBER: 140:286142
TITLE: Hybrid polypeptides comprising Ii-key motif and MHC
class I or II-presented epitope of antigen, allergen
or tumor antigen as vaccines against infection,
allergy and cancer
INVENTOR(S): Humphreys, Robert E.; Xu, Minzhen
PATENT ASSIGNEE(S): Antigen Express, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 90 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004058881	A1	20040325	US 2002-253286	20020924
WO 2004030616	A2	20040415	WO 2003-US28574	20030912

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-245871 A
20020917
US 2002-253286 A
20020924

L8 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:234843 CAPLUS Full-text
DOCUMENT NUMBER: 141:397
TITLE: Structure - activity studies on the corticotropin releasing factor antagonist astressin, leading to a minimal sequence necessary for antagonistic activity
AUTHOR(S): Rijkers, Dirk T. S.; Kruijtzer, John A. W.; Van Oostenbrugge, Marja; Ronken, Eric; den Hartog, Jack A. J.; Liskamp, Rob M. J.
CORPORATE SOURCE: Department of Medicinal Chemistry Utrecht Institute for Pharmaceutical Sciences Faculty of Pharmaceutical Sciences, Utrecht University, Utrecht, 3508 TB, Neth.
SOURCE: ChemBioChem (2004), 5(3), 340-348
CODEN: CBCHFX; ISSN: 1439-4227
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:689567 CAPLUS Full-text
DOCUMENT NUMBER: 139:323782
TITLE: Formation of β-hairpins in L-Pro-Gly containing peptides facilitated by 3-aminobenzoic acid
AUTHOR(S): Rao, M. H. V. Ramana; Kumar, S. Kiran; Kunwar, A. C.
CORPORATE SOURCE: NMR Center, Indian Institute of Chemical Technology, Hyderabad, 500 007, India
SOURCE: Tetrahedron Letters (2003), 44(39), 7369-7372
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:352159 CAPLUS Full-text
DOCUMENT NUMBER: 138:354246
TITLE: Preparation of benzenes as bone resorption inhibitors for treatment of osteoporosis
INVENTOR(S): Fujimoto, Katsumi; Shibata, Tomoyuki; Nakamura, Yuji; Echigo, Yuki
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 179 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003128640	A2	20030508	JP 2001-327592	20011025

PRIORITY APPLN. INFO.: JP 2001-327592 20011025
OTHER SOURCE(S): MARPAT 138:354246

L8 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:903706 CAPLUS Full-text
DOCUMENT NUMBER: 138:217027
TITLE: Regulatory implications of a novel mode of interaction of calmodulin with a double IQ-motif target sequence from murine dilute myosin V
AUTHOR(S): Martin, Stephen R.; Bayley, Peter M.
CORPORATE SOURCE: Division of Physical Biochemistry, National Institute for Medical Research, London, NW7 1AA, UK
SOURCE: Protein Science (2002), 11(12), 2909-2923
CODEN: PRCIEI; ISSN: 0961-8368
PUBLISHER: Cold Spring Harbor Laboratory Press
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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22270249 PD<20020312
(PD<20020312)
L9 41 L8 AND PD<20020312

=> s l9 and pd<20000217

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                 (PD<20000217)
L10          33 L9 AND PD<20000217

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L11     2918396 10 AND PD<19990218

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L12  ANSWER 1 OF 32  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        1999:798844  CAPLUS Full-text
DOCUMENT NUMBER:         132:102416
TITLE:                   Inhibition of G-protein-coupled receptor
function by
                         disruption of transmembrane domain
interactions
AUTHOR(S):               Tarasova, Nadya I.; Rice, William G.;
Michejda,
                         Christopher J.
CORPORATE SOURCE:        Molecular Aspects of Drug Design Section,
ABL-Basic
                         Research Program, NCI-Frederick Cancer
Research and
                         Development Center, Frederick, MD, 21702,
USA
SOURCE:                  Journal of Biological Chemistry (1999),
                         274(49), 34911-34915
                         CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER:               American Society for Biochemistry and
Molecular
                         Biology
DOCUMENT TYPE:           Journal
LANGUAGE:                English
AB    G-protein-coupled receptors (GPCR) represent a superfamily of
      proteins that mediate the function of neurotransmitters and
      peptide hormones and are involved in viral entry and perception
      of light, smell, and taste. GPCRs are characterized by the
      presence of seven transmembrane domains (TMs). The authors
      demonstrate here that structural analogs of individual TMs of
      GPCRs can serve as potent and specific receptor antagonists.
      Peptides derived from the transmembrane regions of CXCR4 and
      CCR5 chemokine receptors specifically inhibited receptor
      signaling and the in vitro replication of human immunodeficiency
      virus-1 (HIV-1) at concns. as low as 0.2 μM. Similarly,
      peptides mimicking the TMs of cholecystokinin receptor A, were
      found to abolish ligand binding and signaling through the
      receptor. Neg. charges positioned at the extracellular termini
      of peptide antagonists appeared to be important for correct
      spontaneous insertion of the compds. into the cell membrane and
      for their activity. Targeting of the specific interactions
      between transmembrane domains of GPCRs is suggested as a general
      sequence-based method to disrupt receptor function for
      application in drug design and for structure-function studies of
      the receptors.
IT    255884-11-8D, Rhodamine derivative
      RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
      study, unclassified); BIOL (Biological study)
         (inhibition of G-protein-coupled receptor function by
disruption of
         transmembrane domain interactions with peptides applied to
CXCR4 and
         CCR5 chemokine receptors and cholecystokinin receptor A and
HIV-1 virus
         inhibition)
RN    255884-11-8  CAPLUS
CN    L-Aspartic acid, N-acetyl-L-leucyl-L-leucyl-L-phenylalanyl-L-
valyl-L-
      isoleucyl-L-threonyl-L-leucyl-L-prolyl-L-phenylalanyl-L-
tryptophyl-L-
      alanyl-L-valyl-L-α-aspartyl-L-alanyl-L-valyl-L-alanyl-L-
asparaginyl-
      L-tryptophyl-L-tyrosyl-L-phenylalanylglycyl-L-asparaginyl-L-α-
      aspartyl-L-α-aspartyl-L-lysyl- (9CI)  (CA INDEX NAME)

Absolute stereochemistry.
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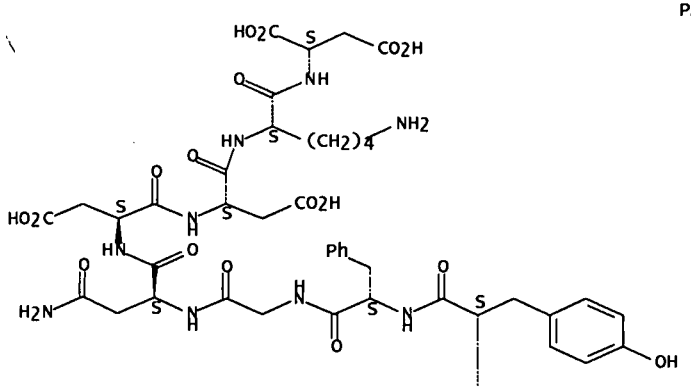
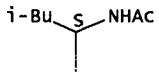
PAGE 1-A

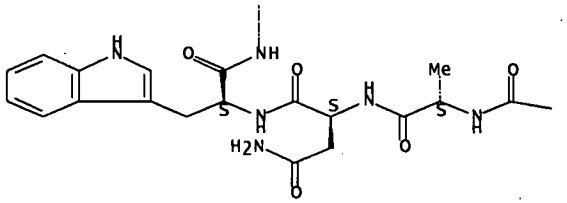


PAGE 1-B

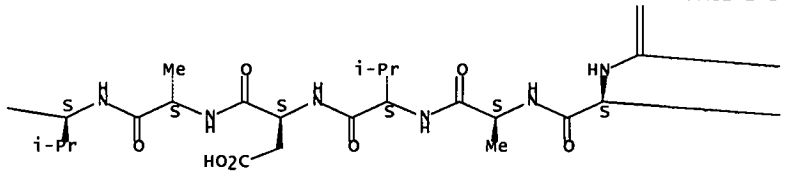
PAGE 1-C



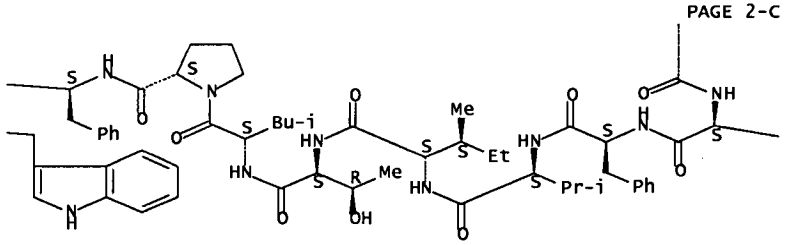
PAGE 2-A



PAGE 2-B



PAGE 2-C



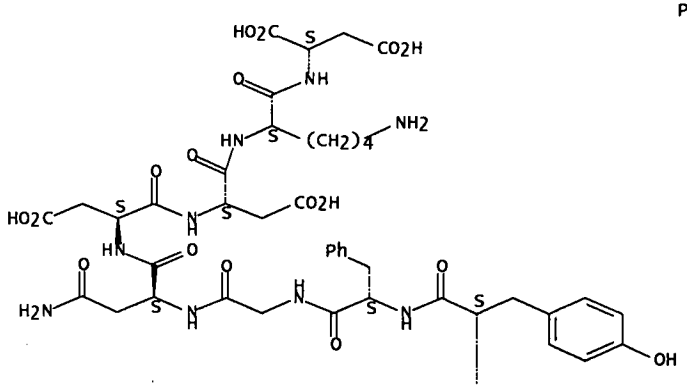
—Bu-i

IT 255884-11-8D, Rhodamine deriv.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibition of G-protein-coupled receptor function by disruption of transmembrane domain interactions with peptides applied to CXCR4 and CCR5 chemokine receptors and cholecystokinin receptor A and HIV-1 virus inhibition)
RN 255884-11-8 CAPLUS
CN L-Aspartic acid, N-acetyl-L-leucyl-L-leucyl-L-phenylalanyl-L-valyl-L-isoleucyl-L-threonyl-L-leucyl-L-prolyl-L-phenylalanyl-L-tryptophyl-L-alanyl-L-valyl-L-α-aspartyl-L-alanyl-L-valyl-L-alanyl-L-asparaginyl-L-tryptophyl-L-tyrosyl-L-phenylalanylglycyl-L-asparaginyl-L-α-aspartyl-L-α-aspartyl-L-lysyl- (9CI) (CA INDEX NAME)

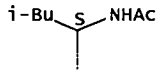
NTE modified

SEQ 1 LLFVITLPFW AVDAVANWYF GNDDKD

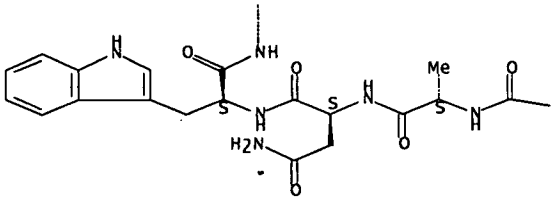
Absolute stereochemistry.



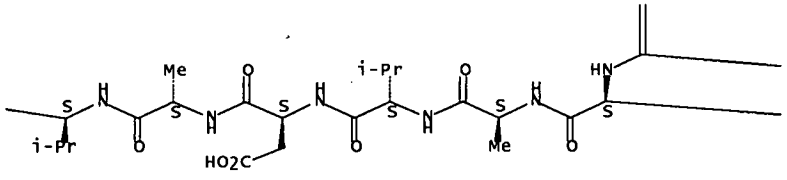
PAGE 1-C



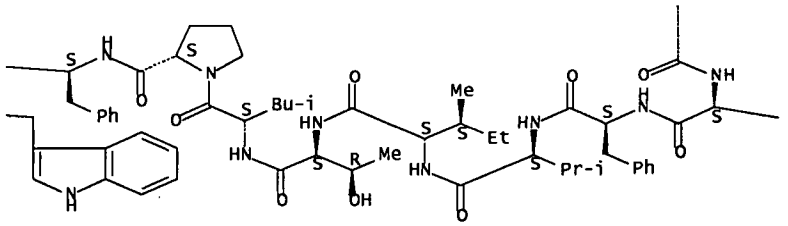
PAGE 2-A



PAGE 2-B



PAGE 2-C



PAGE 2-D

—Bu-i

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:376757 CAPLUS Full-text
DOCUMENT NUMBER: 131:72651
TITLE: Therapeutic potential of TCR antagonists is determined by their ability to modulate a diverse repertoire of autoreactive T cells
AUTHOR(S): Anderton, Stephen M.; Kissler, Stephan; Lamont, Alan G.; Wraith, David C.
CORPORATE SOURCE: Department Pathology Microbiology, School Medical Sciences, Univ. Bristol, Bristol, BS8 ITD, UK
SOURCE: European Journal of Immunology (1999), 29(6), 1850-1857
CODEN: EJIMAF; ISSN: 0014-2980
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The use of altered peptide ligands (APL) with TCR antagonist properties holds promise as an antigen-specific therapy for

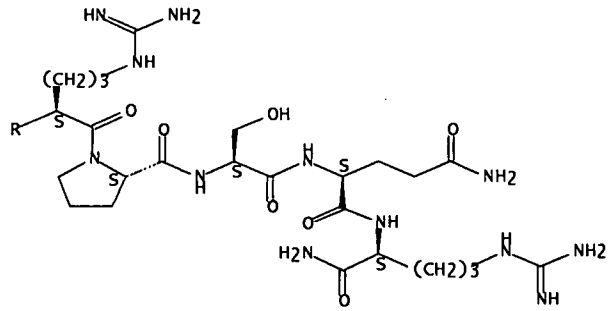
autoimmune disorders. We are investigating the therapeutic potential of APL in exptl. autoimmune encephalomyelitis (EAE) using the Ac1-9 peptide of myelin basic protein in H-2u mice. Encephalitogenic T cells recognize Ac1-9 using residues 3Gln and 6Pro as the major TCR contact sites. Use of position 6 APL is compromised by the heterogeneous nature of the Ac1-9-specific repertoire. Here we identify two position 3 APL that act as TCR antagonists on transgenic T cells expressing Ac1-9-specific TCR and that inhibit EAE in H-2u mice. However, the therapeutic capacity of these two APL correlated directly with the ability to maximally inhibit activation of a heterogeneous T cell pool. The implications of these findings for the requirements for EAE induction, the relative contribution of a given T cell subpopulation to pathol. and the mechanism underlying EAE inhibition in this model are discussed.

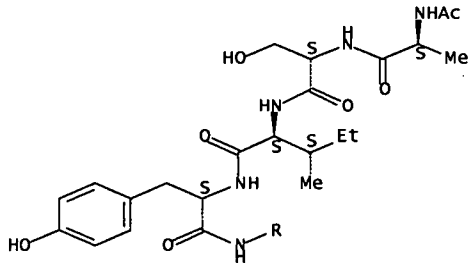
IT 229156-75-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic potential of TCR antagonists is determined by their ability to modulate a diverse repertoire of autoreactive T cells)

RN 229156-75-6 CAPLUS
CN L-Argininamide, N-acetyl-L-alanyl-L-seryl-L-isoleucyl-L-tyrosyl-L-arginyl-L-prolyl-L-seryl-L-glutaminyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





IT 229156-75-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic potential of TCR antagonists is determined by their ability to modulate a diverse repertoire of autoreactive T cells)

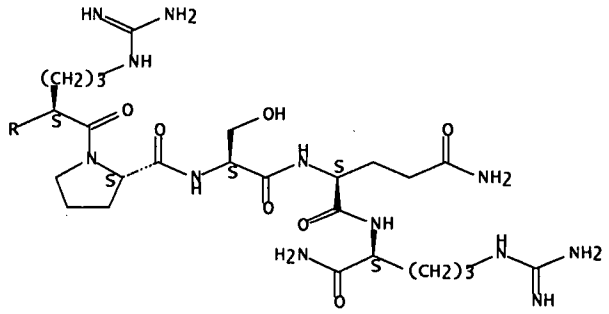
RN 229156-75-6 CAPLUS
CN L-Argininamide, N-acetyl-L-alanyl-L-seryl-L-isoleucyl-L-tyrosyl-L-arginyl-L-prolyl-L-seryl-L-glutaminyl- (9CI) (CA INDEX NAME)

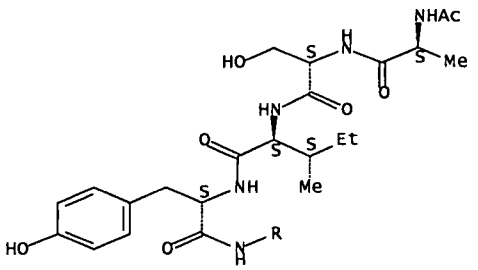
NTE modified

SEQ 1 ASIYRPSQR

Absolute stereochemistry.

PAGE 1-A



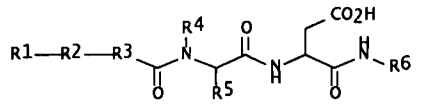


REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:677800 CAPLUS Full-text
DOCUMENT NUMBER: 129:276355
TITLE: Preparation of peptides and peptidomimetics as VLA-4
antagonists
INVENTOR(S): He, Ya-Bo; Elices, Mariano J.; Arrhenius, Thomas S.
PATENT ASSIGNEE(S): Cytel Corporation, USA
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9842656	A1	19981001	WO 1998-US5709	19980320 <--

W: CA, JP
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO.: US 1997-821825 19970321
OTHER SOURCE(S): MARPAT 129:276355
GI



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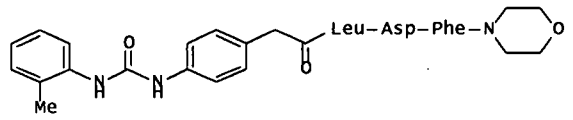


II

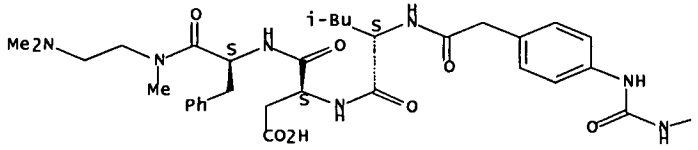
AB Title compds. I [R1 = alkyl, adamantyl, (un)substituted non-heterocyclic, heterocyclic, aromatic, or partially or fully saturated ring; R2 = lower alkyl, alkenyl, or alkynyl group in which each group optionally can contain a carbonyl, ether, thioether, aminocarbonyl group, etc., or E-C(R7)-F where R7 = S, O; E = CX1X2, NX3, or O; F = CX4X5, NX6, or O; X1-X6 = independently H or a lower alkyl, with the proviso that E and F are not simultaneously oxygen atoms and if R1 is an alkyl group, R2 must be of formula E-C(R7)-F; R3 = 5-, 6-, 6,5-, or 6,6-membered aromatic ring optionally containing 1-3 heteroatoms selected from the group O, N, S; R4 = H, lower alkyl; R5 = H, lower alkyl, (un)substituted lower alkyl amido group, or a 5- or 6- membered non-heterocyclic saturated ring connected directly by a bond or through a lower alkyl group; R6 = substituted azepine, or CH(R8)COAR9R10 where A = N, O; R8 = H, lower alkyl, hydroxyalkyl, thioalkyl, a ring structure connected directly by a bond or through a lower alkyl group, or R8 and R9 together form a ring structure, etc.; R9 = lower alkyl, hydroxyalkyl, morpholino group, or together with R10 form a ring structure; R10 = (un)substituted lower alkyl, or together with R9 form a ring structure; when A = O, R10 is absent] and pharmaceutically-acceptable derivs. thereof. were prepared as VLA-4 antagonists. Thus, II (solution phase preparation given) was assayed for binding inhibition potency (IC50 = 0.4 nM) toward Jurkat cells.

IT 213989-41-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides and peptidomimetics as VLA-4 antagonists)
RN 213989-41-4 CAPLUS
CN L-Phenylalaninamide, N-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]

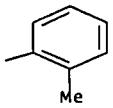
acetyl]-L-leucyl-L-α-aspartyl-N-[2-(dimethylamino)ethyl]-N-methyl-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



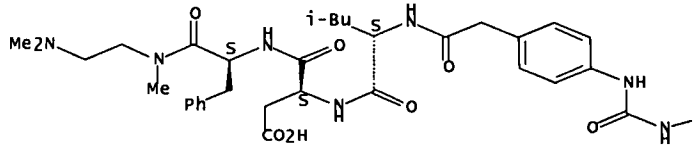
PAGE 1-B



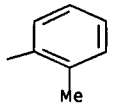
IT 213989-41-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides and peptidomimetics as VLA-4 antagonists)
RN 213989-41-4 CAPLUS
CN L-Phenylalaninamide, N-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]
acetyl]-L-leucyl-L-α-aspartyl-N-[2-(dimethylamino)ethyl]-N-methyl-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:672073 CAPLUS Full-text
DOCUMENT NUMBER: 130:22096
TITLE: Identification of inhibitors of prohormone convertases
1 and 2 using a peptide combinatorial library
AUTHOR(S): Apletalina, Ekaterina; Appel, Jon; Lamango, Nazarius
S.; Houghten, Richard A.; Lindberg, Iris
CORPORATE SOURCE: Department of Biochemistry and Molecular Biology,
Louisiana State University Medical Center, New
Orleans, LA, 70112, USA
SOURCE: Journal of Biological Chemistry (1998), 273(41), 26589-26595
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular
Biology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A positional scanning synthetic peptide combinatorial library contg. approx. 52 million hexapeptides was used to identify potential inhibitory peptides for recombinant mouse prohormone

convertase 1 (PC1) and PC2 and to provide information on the specificity of these enzymes. The library surveys revealed that a P6 Leu, a P4 Arg, a P2 Lys, and a P1 Arg were most inhibitory against PC1, and a P6 Ile and a P4 Arg were most inhibitory against PC2. Using information derived from the library surveys, hexapeptide sets were synthesized and screened for inhibition of PC1 and PC2. The data obtained revealed the preference of both enzymes for a P3 Val. At P5, many substitutions were well tolerated. PC1 and PC2 proved to differ mainly in the selectivity of their S6 subsites. In PC1, this subsite displayed a strong preference toward occupation by Leu; the Ki value for peptide Ac-Leu-Leu-Arg-Val-Lys-Arg-NH2 was 28 times lower than that for peptide Ac-Ile-Ile-Arg-Val-Lys-Arg-NH2. In contrast, PC2 discriminated little between Leu and Ile at P6, as evidenced by the small (1.5-fold) difference in Ki values for these two peptides. Several hexapeptides synthesized as a result of the screen were found to represent potent inhibitors of PC2 (with Ki values in the sub-micromolar range) and, particularly, of PC1 (with Ki values in the low nanomolar range). The most potent inhibitor, Ac-Leu-Leu-Arg-Val-Lys-Arg-NH2, proved to be the same peptide for both enzymes and inhibited PC1 and PC2 in a competitive, fast-binding manner with Ki values of 3.2 and 360 nM, resp. The four most potent peptide inhibitors of PC1 and PC2 were also tested against soluble human furin and found to exhibit a different rank order of inhibition; for example, Ac-Leu-Leu-Arg-Val-Lys-Arg-NH2 was 440-fold less potent against furin than against PC1, with a Ki of 1400 nM.

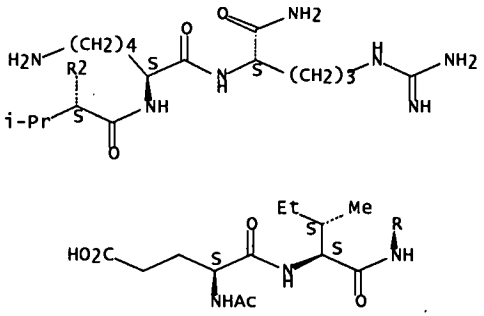
IT **216384-08-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (identification of inhibitors of prohormone convertases 1 and 2 using a peptide combinatorial library)

RN 216384-08-6 CAPLUS

CN L-Argininamide, N-acetyl-L-α-glutamyl-L-isoleucyl-L-arginyl-L-valyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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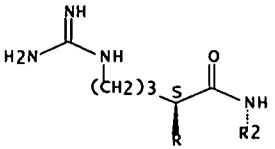


IT **216384-08-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (identification of inhibitors of prohormone convertases 1 and 2 using a peptide combinatorial library)

RN 216384-08-6 CAPLUS

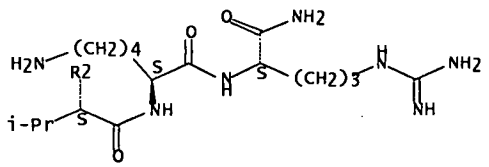
CN L-Argininamide, N-acetyl-L-α-glutamyl-L-isoleucyl-L-arginyl-L-valyl-L-lysyl- (9CI) (CA INDEX NAME)

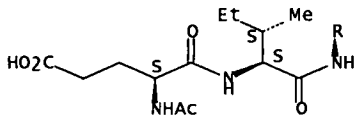
NTE modified

SEQ 1 EIRVKR

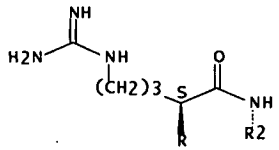
Absolute stereochemistry.

PAGE 1-A





PAGE 2-A



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:635612 CAPLUS Full-text
DOCUMENT NUMBER: 129:254976
TITLE: Method to treat microbial infections by uncoupling of
phosphotransferase system, and appropriate agents
therefor
INVENTOR(S): Erni, Bernhard
PATENT ASSIGNEE(S): Arpida, Switz.
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 866075	A2	19980923	EP 1998-101704	19980202 <--
EP 866075	A3	20000607		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 10327894	A2	19981215	JP 1998-52878	19980218 <--
PRIORITY APPLN. INFO.:			EP 1997-102616 A	19970219

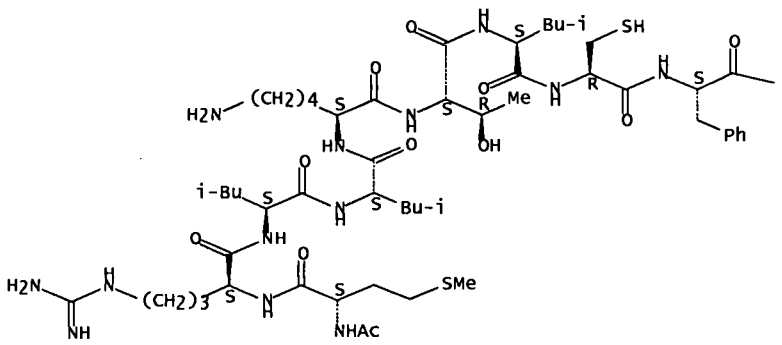
AB The bacterial phosphotransferase system (PTS) as a drug target system catalyzes the uptake and phosphorylation of carbohydrates. It is further involved in signal transduction, e.g. catabolite repression, chemotaxis, and allosteric regulation of metabolic enzymes and transporters. It is ubiquitous in bacteria but does not occur in eukaryotes. This uniqueness and the pleiotropic function make the PTS a target for the development of new antimicrobials. Assays are described that lead to the discovery of compds. which uncouple the PTS, by acting as protein histidine/cysteine phosphatases. Uncoupling of the PTS leads to inhibition of carbohydrate transport, repression of catabolite controlled genes (e.g. certain virulence genes) and depletion of phosphoenolpyruvate. Compds. from combinatorial libraries with high affinity for phosphoenolpyruvat-protein- phosphatase (Enzyme I) serve as lead structures for the development of inhibitors and uncouplers of the PTS. Sequences and inhibitory activities of a number of identified peptides are included.

IT 213541-14-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(agents and method to treat microbial infections by uncoupling of phosphotransferase system)

RN 213541-14-1 CAPLUS
CN Glycine, N-acetyl-L-methionyl-L-arginyl-L-leucyl-L-leucyl-L-lysyl-L-threonyl-L-leucyl-L-cysteinyl-L-phenylalanylglycyl-L-leucyl-L-cysteinyl-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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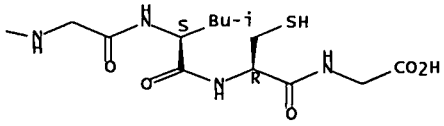


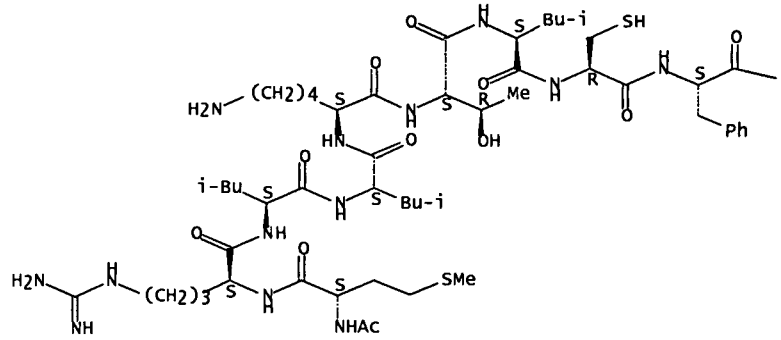
IT 213541-14-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(agents and method to treat microbial infections by uncoupling of
phosphotransferase system)
RN 213541-14-1 CAPLUS
CN Glycine, N-acetyl-L-methionyl-L-arginyl-L-leucyl-L-leucyl-L-lysyl-L-
threonyl-L-leucyl-L-cysteinyl-L-phenylalanylglycyl-L-leucyl-L-cysteinyl-
(9CI) (CA INDEX NAME)

NTE modified

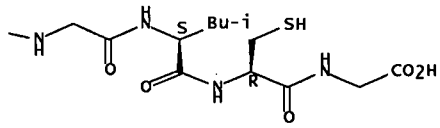
SEQ 1 MRLLKTLCFG LCG

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L12 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:597874 CAPLUS Full-text
DOCUMENT NUMBER: 130:930
TITLE: Gene transfer method using oligopeptides
AUTHOR(S): Ohmori, Naoya; Niidome, Takuro; Wada, Akihiro;
Hirayama, Toshiya; Mihara, Hisakazu; Aoyagi, Haruhiko
CORPORATE SOURCE: Faculty of Engineering, Nagasaki University, Nagasaki,
852, Japan
SOURCE: Peptides 1996, Proceedings of the European Peptide
Symposium, 24th, Edinburgh, Sept. 8-13, 1996

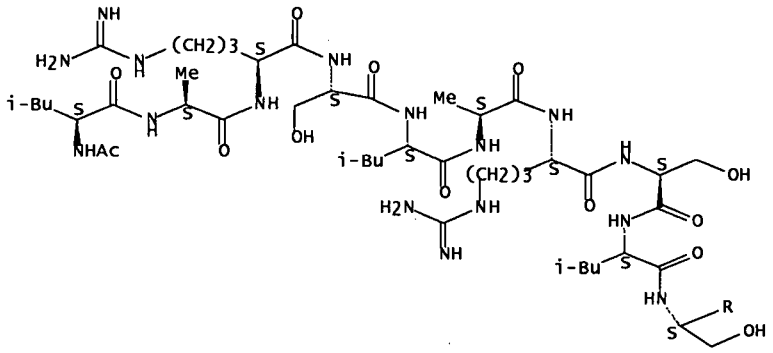
(
1998), Meeting Date 1996, 691-692.
Editor(s):
Ramage, Robert; Epton, Roger. Mayflower
Scientific:
Kingswinford, UK.
CODEN: 66RCA5
DOCUMENT TYPE: Conference
LANGUAGE: English

AB Cationic amphiphilic α-helical peptides which interact with lipid bilayers could bind plasmid DNA and were able to transfer a luciferase reporter gene into COS-7 cells. Furthermore, the transfection ability of the peptides was increased by addition of chloroquine in the transfection procedure. This result indicated that the internalization of the peptide/DNA aggregates was mediated by an endocytosis pathway.

IT 215664-55-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(gene transfer method using oligopeptides)
RN 215664-55-4 CAPLUS
CN L-Leucinamide, N-acetyl-L-leucyl-L-alanyl-L-arginyl-L-seryl-L-leucyl-L-alanyl-L-arginyl-L-seryl-L-leucyl-L-seryl-L-arginyl-L-alanyl-L-leucyl-L-arginyl-L-seryl-L-alanyl-L-seryl-L-arginyl-L-alanyl-L-leucyl-L-seryl-L-arginyl-L-alanyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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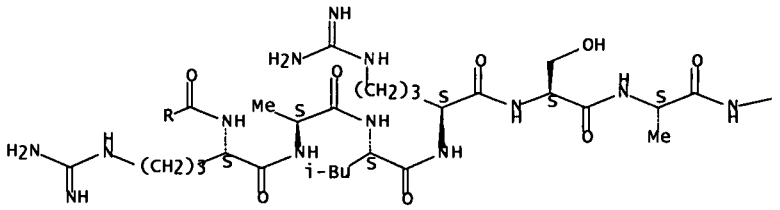

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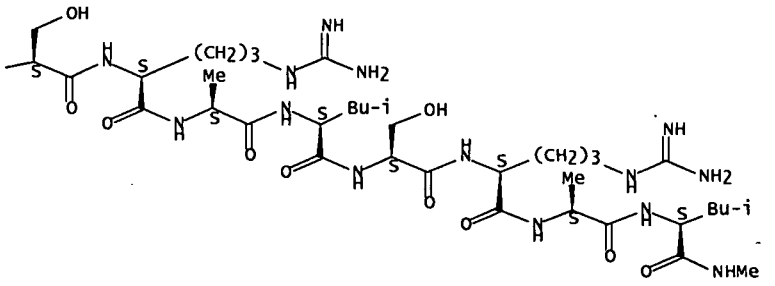


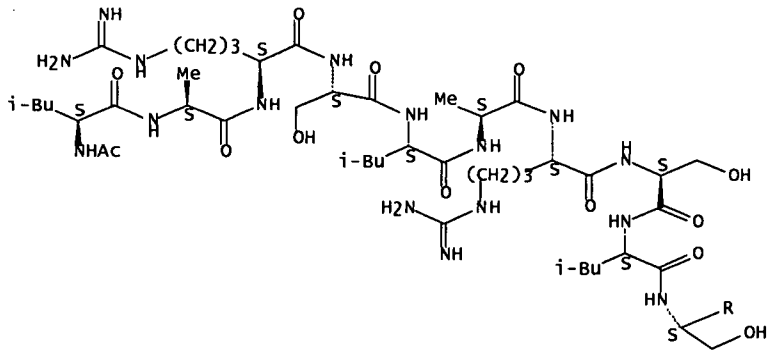
IT 215664-55-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(gene transfer method using oligopeptides)
RN 215664-55-4 CAPLUS
CN L-Leucinamide, N-acetyl-L-leucyl-L-alanyl-L-arginyl-L-seryl-L-leucyl-L-alanyl-L-arginyl-L-seryl-L-leucyl-L-seryl-L-arginyl-L-alanyl-L-leucyl-L-arginyl-L-seryl-L-alanyl-L-seryl-L-arginyl-L-alanyl-L-leucyl-L-seryl-L-arginyl-L-alanyl-N-methyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 LARSLARSLS RALRSASRAL SRAL

Absolute stereochemistry.

PAGE 1-A



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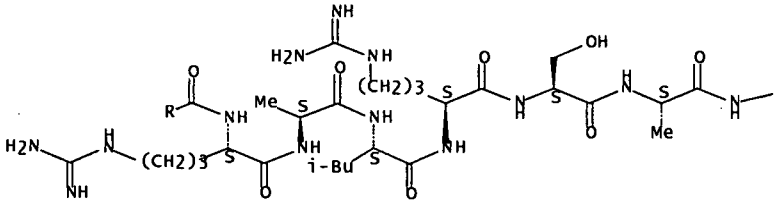

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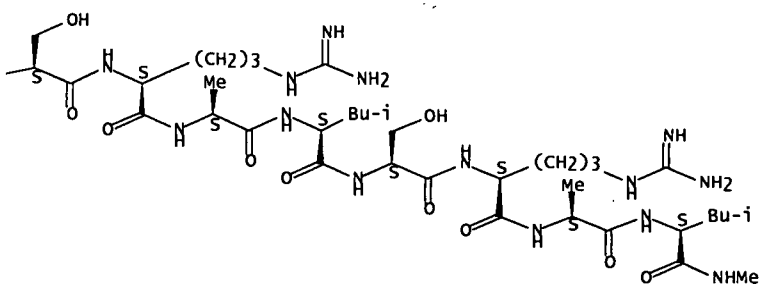


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:324816 CAPLUS Full-text
DOCUMENT NUMBER: 129:12757
TITLE: Peptide inhibitors of TNF containing predominantly
D-amino acids
INVENTOR(S): Heavner, George A.; Kruszynski, Marian; Shealy, David
PATENT ASSIGNEE(S): Centocor, Inc., USA
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5753628	A	19980519	US 1995-482009	19950607 <--

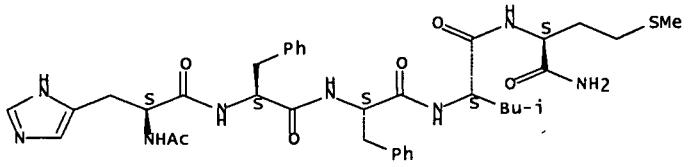
PRIORITY APPLN. INFO.: US 1995-482009 19950607
OTHER SOURCE(S): MARPAT 129:12757

AB Peptides are disclosed which consist of 6-8 predominately D-amino acids and which bind to TNF-α, prevent TNF-α from binding to its receptors, and inhibit TNF-α activity. Methods of inhibiting TNF-α activity and of treating individuals suffering from TNF-α-mediated diseases and disorders are disclosed.

IT 207789-41-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(peptide inhibitors of TNF containing predominantly D-amino acids)
RN 207789-41-1 CAPLUS
CN L-Methioninamide, N-acetyl-L-histidyl-L-phenylalanyl-L-phenylalanyl-L-
leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 207789-41-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
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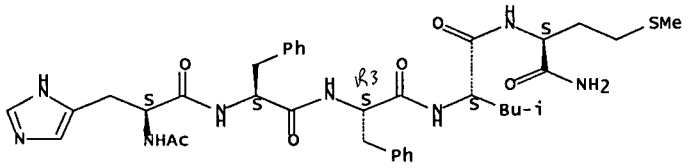
(Biological study); USES (Uses)
(peptide inhibitors of TNF containing predominantly D-amino acids)
RN 207789-41-1 CAPLUS
CN L-Methioninamide, N-acetyl-L-histidyl-L-phenylalanyl-L-phenylalanyl-L-
leucyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 HFFLM

Absolute stereochemistry.



REFERENCE COUNT: 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:323270 CAPLUS Full-text
DOCUMENT NUMBER: 129:16388
TITLE: Preparation of constrained helical peptides
INVENTOR(S): Braisted, Andrew; Judice, J. Kevin; McDowell, Robert
S.; Phelan, J. Christopher; Starovasnik, Melissa A.;
Wells, James A.
PATENT ASSIGNEE(S): Genentech, Inc., USA
SOURCE: PCT Int. Appl., 281 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 119
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9820036	A1	19980514	WO 1997-US20069	19971105 <--
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19980610	US 1998-88742P	P	19991130	WO 1999-US28301	W
19980610	US 1998-88810P	P	19991201	WO 1999-US28634	W
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19981007	WO 1998-US21141	A	20000224	WO 2000-US5004	W
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19981222	US 1998-218517	B1	20000309	WO 2000-US6319	W
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20010614	US 2001-882636	B1
20010620	WO 2001-US19692	A
	WO 2001-US21066	A
20010629	WO 2001-US21735	A
20010709	WO 2001-US26626	W
20010823	US 2001-2796	A
20011115	WO 2001-US48938	W
20011213	US 2002-52586	A1
20020115	WO 2002-US10513	W

20020403

AB Cyclic peptides, e.g., [NHCO(CH2)mCH(NHX)CO-Z-NHCH(COYS)(CH2)pCONH](CH2)n [(CH2)n is attached to NH end groups, S is absent or is a macromol., X is H or is any amino acid or amino acid sequence, Y is absent or is hydroxyl if S is absent or is any amino acid or amino acid sequence, Z is any amino acid sequence consisting of six amino acids, m and p are 0-6, n is an integer greater than zero], with constrained region(s) having an α-helical conformation, were prepared Constrained helical peptides having amino acid sequences from HIV gp41 are provided, as is their use in preparing antibodies that prevent viral membrane fusion. Thus, cyclic peptide FNM(5)QQRRFY(6)ALH (5 and 6 represent glutamic acid residues cyclized via 1,5-pentanediamine) was prepared by standard solid phase protocols.

IT **185335-90-4P**

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

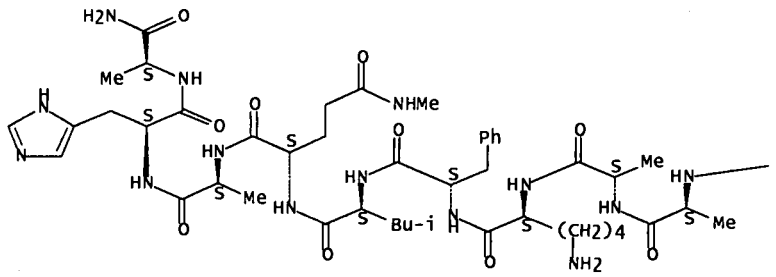
(preparation of constrained helical peptides)

RN 185335-90-4 CAPLUS

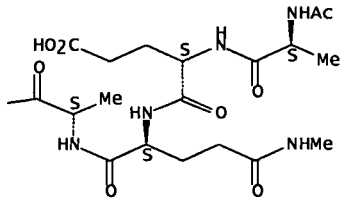
CN L-Alaninamide, N-acetyl-L-alanyl-L-α-glutamyl-N-methyl-L-glutaminyl-
L-alanyl-L-alanyl-L-alanyl-L-lysyl-L-phenylalanyl-L-leucyl-N-methyl-L-
glutaminyl-L-alanyl-L-histidyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



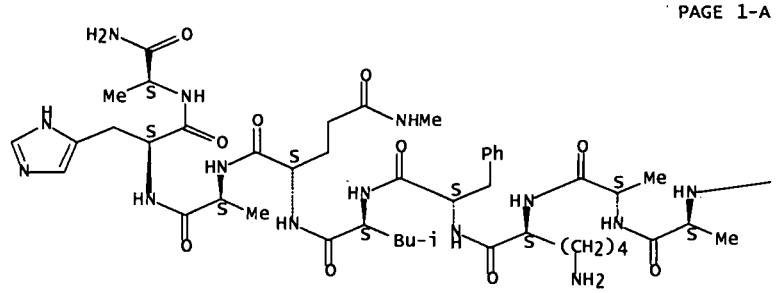
IT 185335-90-4P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of constrained helical peptides)
RN 185335-90-4 CAPLUS
CN L-Alaninamide, N-acetyl-L-alanyl-L-α-glutamyl-N-methyl-L-glutaminyl-
L-alanyl-L-alanyl-L-alanyl-L-lysyl-L-phenylalanyl-L-leucyl-N-methyl-L-
glutaminyl-L-alanyl-L-histidyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 AEQAAAKFLQ AHA

Absolute stereochemistry.

PAGE 1-A



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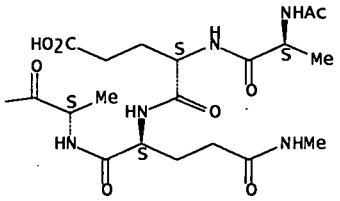


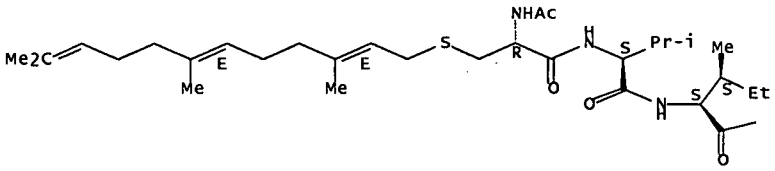
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:249083 CAPLUS Full-text
DOCUMENT NUMBER: 129:64810
TITLE: Substrate specificity of mammalian prenyl protein-specific endoprotease activity. [Erratum to document cited in CA128:280150]
AUTHOR(S): Jang, Geeng-Fu; Gelb, Michael H.
CORPORATE SOURCE: Departments of Chemistry and Biochemistry, University of Washington, Seattle, WA, 98195, USA
SOURCE: Biochemistry (1998), 37(15), 5336
CODEN: BICHAW; ISSN: 0006-2960

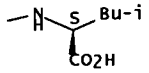
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The following paragraph should have been included on page 4481:"Supporting Information Available: Description of the synthesis of the peptides used in this paper and attempts to further purify PPEP activity (19 pages). Ordering information is given on any current masthead page.".
IT 205696-27-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(substrate specificity of mammalian prenyl protein-specific endoprotease activity (Erratum))
RN 205696-27-1 CAPLUS
CN L-Leucine, N-acetyl-S-[(2E,6E)-3,7,11-trimethyl-2,6,10-dodecatrienyl]-L-cysteinyl-L-valyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



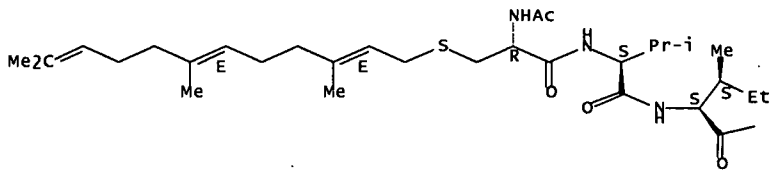
IT 205696-27-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(substrate specificity of mammalian prenyl protein-specific endoprotease activity (Erratum))
RN 205696-27-1 CAPLUS
CN L-Leucine, N-acetyl-S-[(2E,6E)-3,7,11-trimethyl-2,6,10-dodecatrienyl]-L-cysteinyl-L-valyl-L-isoleucyl- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 CVIL

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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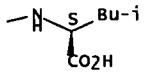


L12 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:161340 CAPLUS Full-text
DOCUMENT NUMBER: 128:280150
TITLE: Substrate Specificity of Mammalian Prenyl Protein-Specific Endoprotease Activity
AUTHOR(S): Jang, Geeng-Fu; Gelb, Michael H.
CORPORATE SOURCE: Departments of Chemistry and Biochemistry, University of Washington, Seattle, WA, 98195, USA
SOURCE: Biochemistry (1998), 37(13), 4473-4481

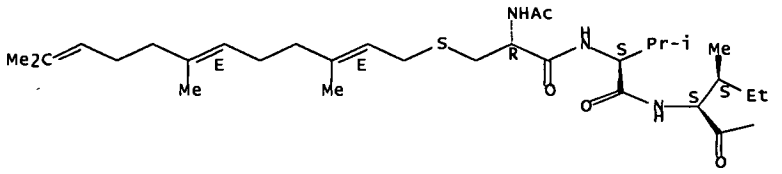
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors have previously identified proteolytic activity in rat liver microsomes that cleaves an intact tripeptide, Val-Ile-Ser, from S-farnesylated-Cys-Val-Ile-Ser tetrapeptide. This enzymic activity, termed prenyl protein-specific endoprotease (PPEP) activity, has been solubilized in CHAPS and purified 5-fold. To probe the peptide recognition features of PPEP activity, 64 tripeptides Ac-Cys(farnesyl)-a1- a2 were prepared and tested as competitive inhibitors of PPEP activity-catalyzed hydrolysis of Ac-Cys(farnesyl)-Val-Ile-[3H]Ser. It was found that PPEP activity prefers large hydrophobic residues in the a1 and a2 positions. A subset of Ac-Cys(farnesyl)-a1-a2 peptides were prepared in radiolabeled form, and it was found that PPEP activity preferences for these substrates correlated well in most cases with the inhibition data. The exception is that Arg in the a1 position does not prevent binding of peptide to PPEP activity, but such peptides are poor substrates. The anionic residue Asp in the a2 position is not tolerated by PPEP activity. Five farnesylated radiolabeled tetrapeptides, Ac-Cys(farnesyl)-Phe-Met- [3H]Leu, Ac-Cys(farnesyl)-Leu-Ile-[3H]Leu, Ac-Cys(farnesyl)-Leu-Leu- [3H]Leu, Ac-Cys(farnesyl)-Leu-Met-[3H]Leu, and Ac-Cys(farnesyl)-Val-Ile- [3H]Leu were prepared, and PPEP activity kinetic studies reveal that they are all good substrates and show comparable KM values (2.2-13.5 μM). Ac-Cys(farnesyl)-Arg-Leu-[3H]Ser is a poor PPEP activity substrate. The reported peptide binding preferences of PPEP activity should be useful in designing compds. that block the C-terminal proteolysis of prenylated proteins. Nonprenylated peptides do not bind to PPEP activity, and replacement of the farnesyl group with an n-pentadecyl group modestly reduces PPEP activity binding. Peptide-membrane partitioning studies are used together with theor. arguments to fully understand the substrate specificity of PPEP activity toward these compds.

IT **205696-27-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(substrate specificity of mammalian prenyl protein-specific endoprotease activity)
RN 205696-27-1 CAPLUS
CN L-Leucine, N-acetyl-S-[(2E,6E)-3,7,11-trimethyl-2,6,10-dodecatrienyl]-L-cysteinyl-L-valyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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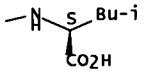


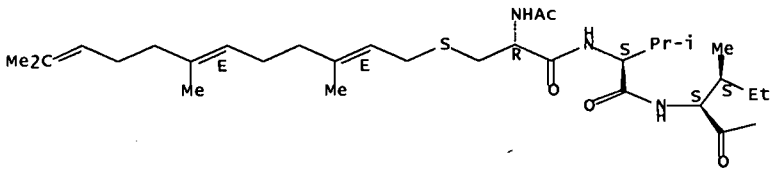
IT **205696-27-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(substrate specificity of mammalian prenyl protein-specific endoprotease activity)
RN 205696-27-1 CAPLUS
CN L-Leucine, N-acetyl-S-[(2E,6E)-3,7,11-trimethyl-2,6,10-dodecatrienyl]-L-cysteinyl-L-valyl-L-isoleucyl- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 CVIL

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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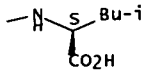

REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:147346 CAPLUS Full-text
DOCUMENT NUMBER: 128:213381
TITLE: Compositions and methods for treating infections using analogs of indolicidin
INVENTOR(S): Fraser, Janet R.; West, Michael H. P.; Krieger, Timothy J.; Taylor, Robert; Erfle, Douglas
PATENT ASSIGNEE(S): Micrologix Biotech, Inc., Can.; Fraser, Janet R.; West, Michael H. P.; Krieger, Timothy J.; Taylor, Robert; Erfle, Douglas
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9807745	A2	19980226	WO 1997-US14779	19970821 <--
WO 9807745	A3	19980709		
W: AL, AM, AT, AU, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9743279	A1	19980306	AU 1997-43279	19970821 <--
EP 925308	A2	19990630	EP 1997-941352	19970821 <--
EP 925308	B1	20020605		
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JP 2001500477	T2	20010116	JP 1998-510994	19970821 <--
EP 1174439	A2	20020123	EP 2001-119148	19970821 <--
EP 1174439	A3	20030326		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 218579	E	20020615	AT 1997-941352	19970821
ES 2178000	T3	20021216	ES 1997-941352	19970821
HK 1021824	A1	20030221	HK 1999-106212	19991230
US 2004009910	A1	20040115	US 2003-351985	20030124

PRIORITY APPLN. INFO.:

US 1996-24754P	P	19960821
US 1997-34949P	P	19970113
US 1997-915314	A1	19970820
EP 1997-941352	A3	19970821
WO 1997-US14779	W	19970821
US 2000-667486	A1	20000922

OTHER SOURCE(S): MARPAT 128:213381

AB Compns. and methods for treating infections, esp. bacterial infections, are provided. Indolicidin peptide analogs containing at least two basic amino acids are prepared The analogs are administered as modified peptides, preferably containing photo-oxidized solubilizer.

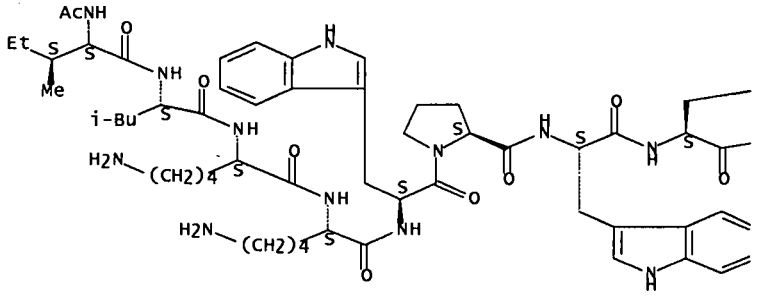
IT 204248-50-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); DEV (Device component use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (indolicidin analogs for treating infections)

RN 204248-50-0 CAPLUS

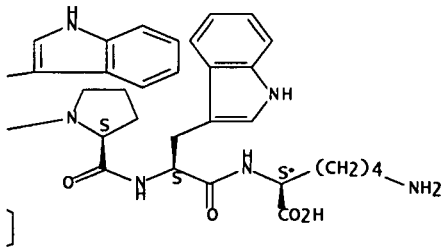
CN L-Lysine, N-acetyl-L-isoleucyl-L-leucyl-L-lysyl-L-lysyl-L-tryptophyl-L-prolyl-L-tryptophyl-L-tryptophyl-L-prolyl-L-tryptophyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 204248-50-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); DEV (Device component use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (indolicidin analogs for treating infections)

RN 204248-50-0 CAPLUS

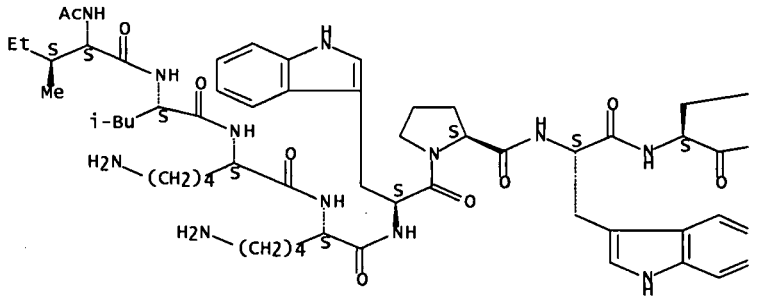
CN L-Lysine, N-acetyl-L-isoleucyl-L-leucyl-L-lysyl-L-lysyl-L-tryptophyl-L-prolyl-L-tryptophyl-L-tryptophyl-L-prolyl-L-tryptophyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 ILKKWPWWPW K

Absolute stereochemistry.

PAGE 1-A



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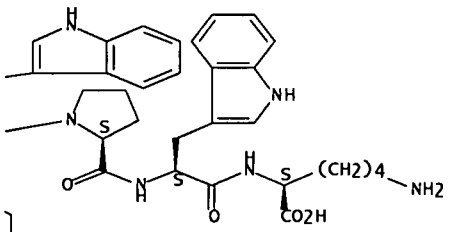

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L12 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:80130 CAPLUS Full-text
DOCUMENT NUMBER: 126:75230
TITLE: A General Method for Constraining Short Peptides to an α-Helical Conformation
AUTHOR(S): Phelan, J. Christopher; Skelton, Nicholas J.; Braisted, Andrew C.; McDowell, Robert S.
CORPORATE SOURCE: Department of Bioorganic Chemistry and Department of Protein Engineering, Genentech Inc., South San Francisco, CA, 94080, USA
SOURCE: Journal of the American Chemical Society (1997), 119(3), 455-460
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

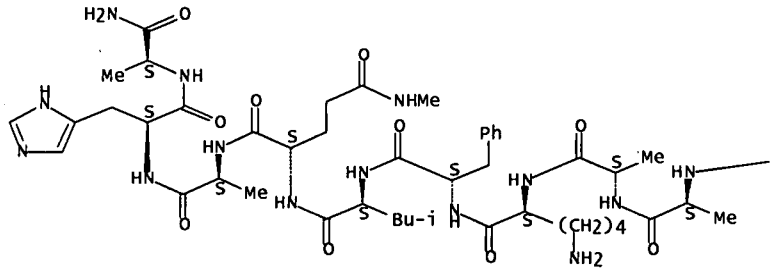
AB A method for constraining short peptides (contg. fewer than 20 residues) of an arbitrary sequence to an α-helical conformation (.apprx.100% helical in H2O at 25 °C) is presented. Gln residues at positions i and i + 7 of the peptides were tethered with an alkanediyl chain between the side chain nitrogen atoms. Peptides containing this tether were readily synthesized on the solid phase by amide formation between an α,ω-diaminoalkane and the side chain carboxylates of Glu residues. The resulting cyclic peptides were studied by NMR and CD and were found to adopt an α-helical conformation in aqueous solution and this α-helix was thermally stable to ≥40°. Corresponding untethered control peptides with N-methylglutamine at the i and i + 7 positions lacked helicity under the same conditions.

IT 185335-90-4P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of short peptides constrained to an α-helical conformation)

RN 185335-90-4 CAPLUS
CN L-Alaninamide, N-acetyl-L-alanyl-L-α-glutamyl-N-methyl-L-glutaminyl-L-alanyl-L-alanyl-L-alanyl-L-lysyl-L-phenylalanyl-L-leucyl-N-methyl-L-glutaminyl-L-alanyl-L-histidyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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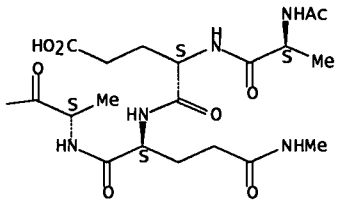


IT 185335-90-4P

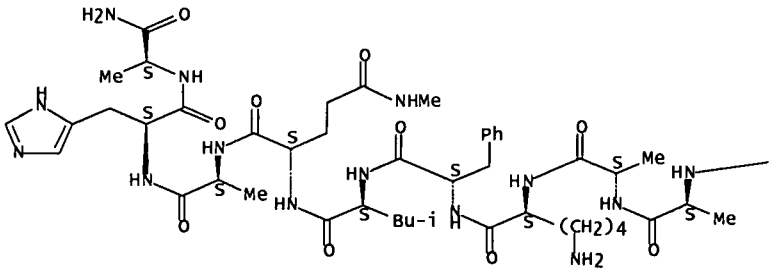
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of short peptides constrained to an α-helical conformation)
RN 185335-90-4 CAPLUS
CN L-Alaninamide, N-acetyl-L-alanyl-L-α-glutamyl-N-methyl-L-glutaminyl-
L-alanyl-L-alanyl-L-alanyl-L-lysyl-L-phenylalanyl-L-leucyl-N-methyl-L-
glutaminyl-L-alanyl-L-histidyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 AEQAAAKFLQ AHA

Absolute stereochemistry.

PAGE 1-A



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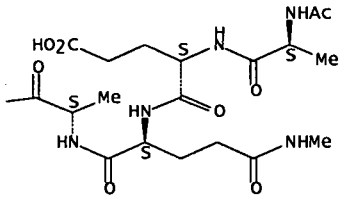
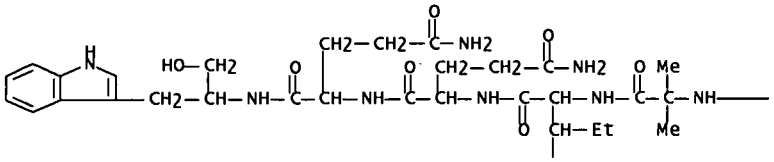


L12 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:661297 CAPLUS Full-text
DOCUMENT NUMBER: 125:322829
TITLE: Cell wall synthesis is a major target of mycoparasitic
antagonism by Trichoderma harzianum
AUTHOR(S): Lorito, Matteo; Farkas, Vladimir; Rebuffat, Sylvie;
Bodo, Bernard; Kubicek, Christian P.
CORPORATE SOURCE: Inst. Biochem. Technol. Microbiol., Univ. Technol.,
Vienna, A-1060, Austria
SOURCE: Journal of Bacteriology (1996), 178(21), 6382-6385
CODEN: JOBAAY; ISSN: 0021-9193
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We have investigated the mol. basis for the reported synergism between peptaibols and cell wall hydrolytic enzymes in the antagonism of phytopathogenic fungi by Trichoderma harzianum. β-Glucan synthase activity on isolated plasma membranes of Botrytis cinerea was inhibited in vitro by the peptaibols trichorzianin TA and TB, and this inhibition was reversed by the addition of phosphatidylcholine. β-Glucan synthesis in vivo, assayed by the incorporation of [2-3H]glucose into cell wall material, was inhibited by the presence of peptaibols, and this inhibition was synergistic with exogenously added T. harzianum β-1,3-glucanase. This synergism is therefore explained by an inhibition of the membrane-bound β-1,3-glucan synthase of the host by the peptaibols, which inhibit the resynthesis of cell wall β-glucans, sustain the disruptive action of β-glucanases, and all together enhance the fungicidal activity. Therefore, we have identified cell wall turnover as a major target of mycoparasitic antagonism.
IT 109173-30-0, Trichorzianin A IIIA
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); BIOL (Biological study)
(cell wall synthesis is major target of mycoparasitic antagonism by
Trichoderma harzianum)
RN 109173-30-0 CAPLUS
CN Trichorzianin A IIIa (9CI) (CA INDEX NAME)

PAGE 1-A



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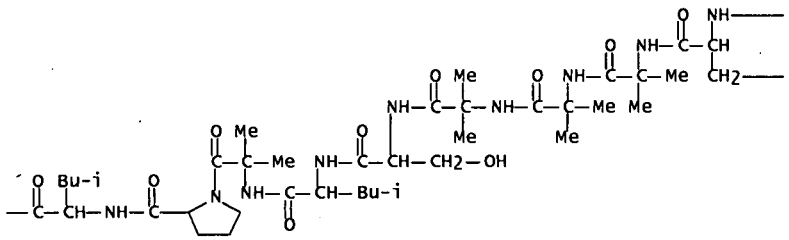

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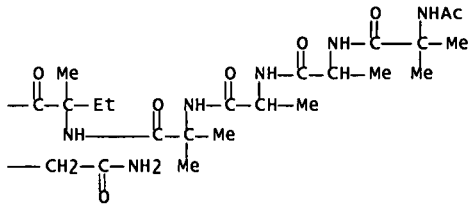


PAGE 2-A

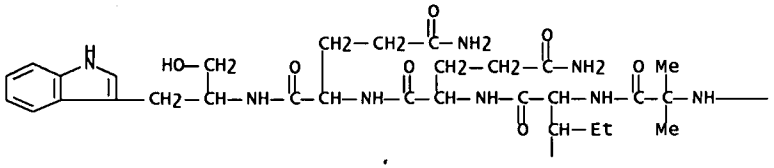
Me

IT 109173-30-0, Trichorzianin A IIIA
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); BIOL (Biological study)
(cell wall synthesis is major target of mycoparasitic antagonism by
Trichoderma harzianum)
RN 109173-30-0 CAPLUS
CN Trichorzianin A IIIa (9CI) (CA INDEX NAME)

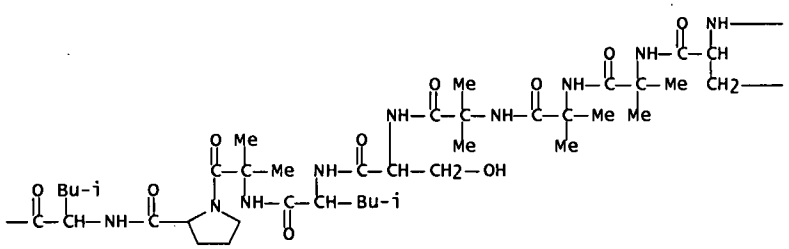
NTE modified

SEQ 1 XAAXXQXXXS LXPLXIQQW

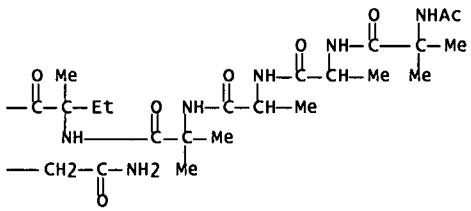
PAGE 1-A



PAGE 1-B



PAGE 1-C



PAGE 2-A

Me

L12 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:610213 CAPLUS Full-text
DOCUMENT NUMBER: 125:296665
TITLE: Scanning synthetic peptide combinatorial libraries: oligopeptide mixture sets having one predetermined residue at a single, predetermined position, methods of making and using the same
INVENTOR(S): Pinilla, Clemencia; Appel, Jon R., Jr.; Houghten, Richard A.
PATENT ASSIGNEE(S): Houghten Pharmaceutical Inc., USA
SOURCE: U.S., 75 pp., Cont.-in-part of U.S. Ser. No. 767,551,abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5556762	A	19960917	US 1992-943709	19920911 <--
CA 2090860	AA	19920522	CA 1991-2090860	19911120 <--
CA 2090860	C	20030916		
AT 176239	E	19990215	AT 1992-902209	19911120 <--

ES 2129442	T3	19990616	ES 1992-902209	
19911120 <--				
US 6197529	B1	20010306	US 1993-63279	
19930518 <--				
US 5504190	A	19960402	US 1994-253854	
19940603 <--				
PRIORITY APPLN. INFO.:			US 1990-617023	B2
19901121				
			US 1991-701658	B2
19910516				
			US 1991-797551	B2
19911119				
			US 1992-943709	A2
19920911				

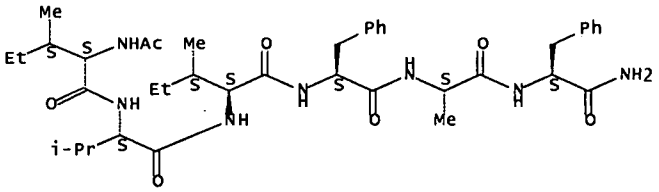
AB Synthetic peptide combinatorial libraries (sets) having a single, predetd. amino acid residue at a single, predetd. oligopeptide chain position and mixts. of amino acid residues at the other chain positions are disclosed, as are their processes of synthesis and use in determining the amino acid residue sequence of an oligopeptide ligand that binds to an acceptor mol., e.g., antibody, antibody combining site-containing antibody fragment, or cell receptor. A major benefit of this invention is the facilitation of the formation and identification of specific biol. active oligopeptide sequences for pharmaceutical, diagnostic, and other uses, especially those oligopeptide sequences that are of particular efficacy for the therapeutic treatment of target diseases. The peptides were used in studies of: binding inhibition of a monoclonal antibody, binding to opioid receptors, inhibition of melittin hemolysis activity, and inhibition of trypsin.

IT **182569-95-5P**
RL: ARU (Analytical role, unclassified); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(scanning synthetic peptide combinatorial libraries and oligopeptide mixture sets with 1 predetd. residue at 1 predetd. position)

RN 182569-95-5 CAPLUS

CN L-Phenylalaninamide, N-acetyl-L-isoleucyl-L-valyl-L-isoleucyl-L-phenylalanyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **182569-95-5P**
RL: ARU (Analytical role, unclassified); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(scanning synthetic peptide combinatorial libraries and oligopeptide mixture sets with 1 predetd. residue at 1 predetd. position)

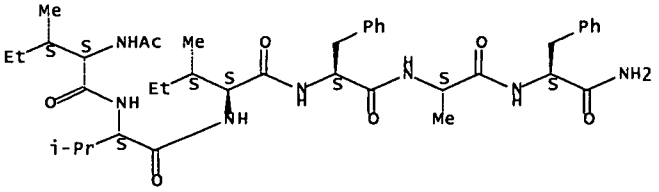
RN 182569-95-5 CAPLUS

CN L-Phenylalaninamide, N-acetyl-L-isoleucyl-L-valyl-L-isoleucyl-L-phenylalanyl-L-alanyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 IVIFAF

Absolute stereochemistry.



L12 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1995:996893 CAPLUS Full-text
DOCUMENT NUMBER:	124:76493
TITLE:	Peptides for inhibition of human immunodeficiency virus (HIV)
PATENT ASSIGNEE(S):	Schramm, Wolfgang, Germany; Schramm, Hans J.
SOURCE:	Ger. Offen., 7 pp.

CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4412174	A1	19951019	DE 1994-4412174	19940408 <--
EP 680973	A1	19951108	EP 1995-105382	19950410 <--

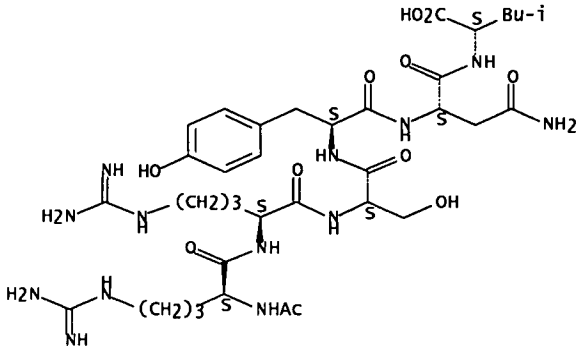
R: DE, ES, FR, GB, IT

PRIORITY APPLN. INFO.: DE 1994-4412174 A 19940408
OTHER SOURCE(S): MARPAT 124:76493

AB Peptides YA1A2A3A4X [Y = H, amino acid (esp. Ile, Leu, Met, Phe, Val, Arg), peptide; A1 = Ser, Thr, homoserine, Asn, Glu; A2 = Arg, Tyr, Phe; A3 = Glu, Gln, Asn, Asp; A4 = Leu, Phe, Met, Tyr, Trp, Ile, Nle; X = OH, NH2, amino acid (especially Glu, Gln, Asp, Asn), peptide] are inhibitors of HIV proteases and can be used for treatment of HIV infections. The peptides assume a β-pleated sheet conformation characteristic of retroviral protease inhibitors. Their therapeutic efficacy can be enhanced by attachment of the peptide at its N-terminus via a linker to a (modified) N-terminal fragment of an HIV protease which may be further conjugated to a carboxylic acid, aliphatic/aromatic hydrocarbon, vitamin, steroid, hormone, antigen, receptor-binding peptide, toxin, cytokine, or a B complex for neutron therapy. Thus, HIV-1 protease was inhibited by the bifunctional peptide LEITLWERXXISYEL (X = 6-aminohexanoic acid linker) with IC50 = 0.5 μM.

IT 172357-65-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(peptides for inhibition of human immunodeficiency virus (HIV))
RN 172357-65-2 CAPLUS
CN L-Leucine, N-[N2-[N-[N-[N2-(N2-acetyl-L-arginyl)-L-arginyl]-L-seryl]-L-tyrosyl]-L-asparaginyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

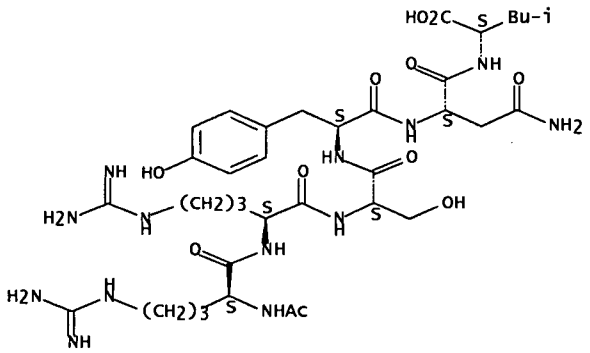


IT 172357-65-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(peptides for inhibition of human immunodeficiency virus (HIV))
RN 172357-65-2 CAPLUS
CN L-Leucine, N-[N2-[N-[N-[N2-(N2-acetyl-L-arginyl)-L-arginyl]-L-seryl]-L-tyrosyl]-L-asparaginyl]- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 RRSYNL

Absolute stereochemistry.



L12 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:696051 CAPLUS Full-text
DOCUMENT NUMBER: 123:78433
TITLE: The ribonucleotide reductase of Plasmodium falciparum
and the genes encoding and the design of inhibitors
for the treatment of malaria
INVENTOR(S): Cooperman, Barry S.; Rubin, Harvey; Salem, Jerome;
Fisher, Alison L.
PATENT ASSIGNEE(S): University of Pennsylvania, USA
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9510526	A1	19950420	WO 1994-US11416	19941007 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KP, KR, KZ, LK, LR, LT, LU, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5459063	A	19951017	US 1993-136743	19931014 <--
CA 2174089	AA	19950420	CA 1994-2174089	19941007 <--
AU 9479712	A1	19950504	AU 1994-79712	19941007 <--
AU 685179	B2	19980115		
EP 724590	A1	19960807	EP 1994-930663	19941007 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				

PRIORITY APPLN. INFO.: US 1993-136743 19931014
WO 1994-US11416 19941007
OTHER SOURCE(S): MARPAT 123:78433

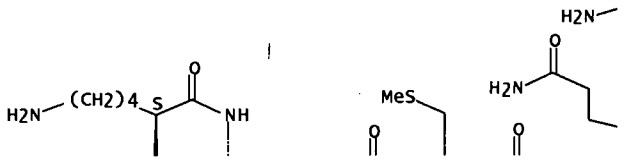
AB The ribonucleotide reductase of Plasmodium falciparum (Pf RR) and its subunits (Pf R1 and Pf R2) are characterized and peptides derived from the Pf R2 C-terminus sequence that inhibit the action of protozoal RR are described for use as possible antimalarials. Methods for diagnosing malaria and for screening potential antimalarial agents are also described. Cloning of the genes for the subunits by screening genomic banks with partial cDNAs prepared by PCR with amino acid sequence-derived probes is described. Expression of the cloned genes in Escherichia coli with the recovery of enzymic activity is described. PCR primers specific for the reductase subunit genes are described for use in the detection of the parasite in blood.

IT 165253-65-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(analog of ribonucleotide reductase peptide as inhibitor; ribonucleotide reductase of Plasmodium falciparum and genes encoding and design of inhibitors for treatment of malaria)

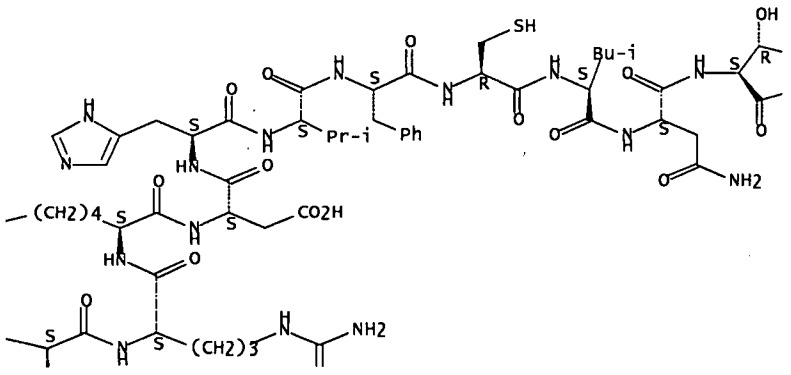
RN 165253-65-6 CAPLUS
CN L-Phenylalanine, N2-acetyl-L-glutaminyl-L-lysyl-L-serylglycyl-L-valyl-L-methionyl-L-alanyl-L-glutaminyl-L-arginyl-L-lysyl-L-α-aspartyl-L-histidyl-L-valyl-L-phenylalanyl-L-cysteinyl-L-leucyl-L-asparaginyl-L-threonyl-L-α-glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

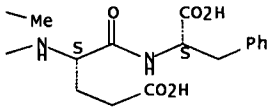
PAGE 1-A



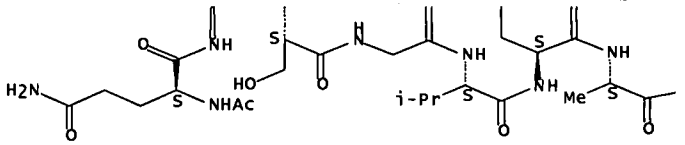
PAGE 1-B



PAGE 1-C



PAGE 2-A



PAGE 2-B

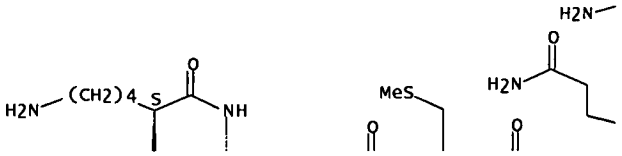
IT 165253-65-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(analog of ribonucleotide reductase peptide as inhibitor;
ribonucleotide reductase of Plasmodium falciparum and genes encoding
and design of inhibitors for treatment of malaria)
RN 165253-65-6 CAPLUS
CN L-Phenylalanine, N2-acetyl-L-glutaminyl-L-lysyl-L-serylglycyl-L-valyl-L-
methionyl-L-alanyl-L-glutaminyl-L-arginyl-L-lysyl-L-α-aspartyl-L-
histidyl-L-valyl-L-phenylalanyl-L-cysteinyl-L-leucyl-L-asparaginyl-L-
threonyl-L-α-glutamyl- (9CI) (CA INDEX NAME)

NTE modified

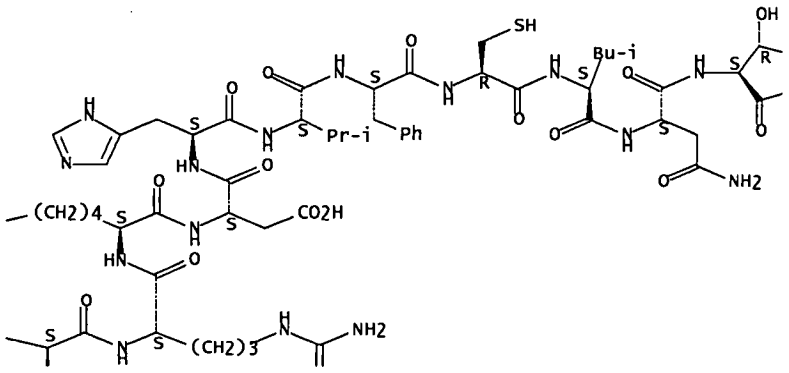
SEQ 1 QKSGVMAQRK DHVFCLNTEF

Absolute stereochemistry.

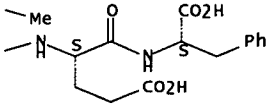
PAGE 1-A



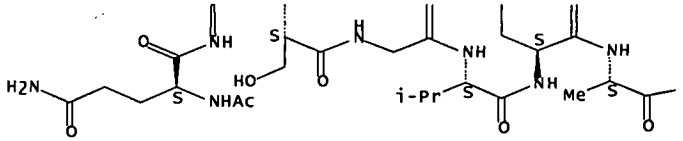
PAGE 1-B



PAGE 1-C



PAGE 2-A



PAGE 2-B

L12 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:673547 CAPLUS Full-text
DOCUMENT NUMBER: 123:314491
TITLE: Design and synthesis of a quenched fluorogenic peptide substrate for human cytomegalovirus proteinase
AUTHOR(S): Handa, B. K.; Keech, E.; Conway, E. A.; Broadhurst, A.; Ritchie, A.
CORPORATE SOURCE: Dep. Physical Methods, Roche Products Limited, Welwyn Garden City, Hertfordshire, AL7 3AY, UK
SOURCE: Antiviral Chemistry & Chemotherapy (1995), 6(4), 255-61
CODEN: ACCHEH; ISSN: 0956-3202
PUBLISHER: Blackwell
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A fluorogenic peptide substrate for human cytomegalovirus proteinase was synthesized by solid-phase peptide synthesis. The amino acid sequence of this substrate is derived from the maturation cleavage site (M site) of the natural substrate, the assembly protein precursor. The min. sequence for efficient cleavage requires at least seven residues (P4-P3'). A systematic modification of the peptide substrate was carried out to identify positions suitable for the introduction of the fluorescent donor and the quencher acceptor groups.

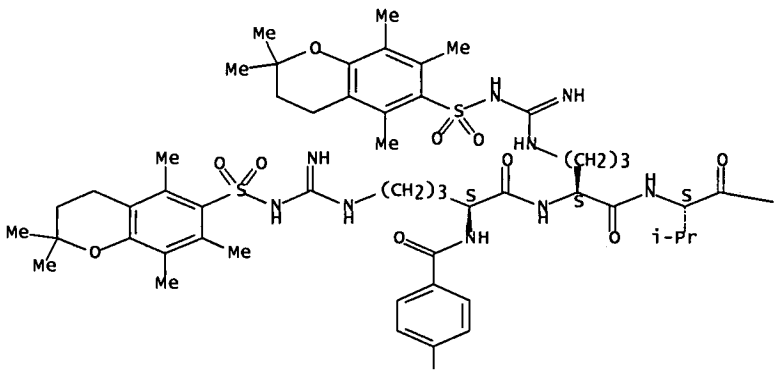
IT **170159-65-6DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(design and synthesis of quenched fluorogenic peptide substrate for
human cytomegalovirus proteinase)

RN 170159-65-6 CAPLUS

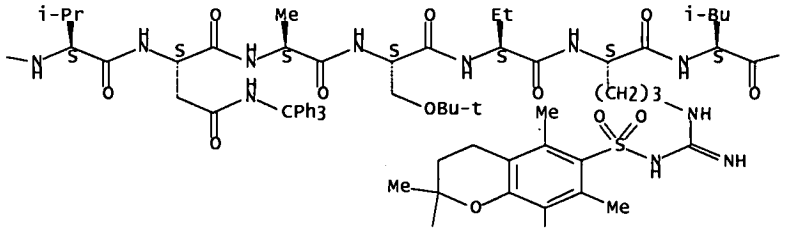
CN L-Aspartamide, N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-
yl)sulfonyl]amino]iminomethyl]-N2-[4-[[4-(dimethylamino)phenyl]azo]benzoyl
]-L-ornithyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-
yl)sulfonyl]amino]iminomethyl]-L-ornithyl-L-valyl-L-valyl-N-
(triphenylmethyl)-L-asparaginyl-L-alanyl-O-(1,1-dimethylethyl)-L-seryl-L-2-
aminobutanoyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-
yl)sulfonyl]amino]iminomethyl]-L-ornithyl-L-leucyl-N4-[2-[(5-sulfo-1-
naphthalenyl)amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

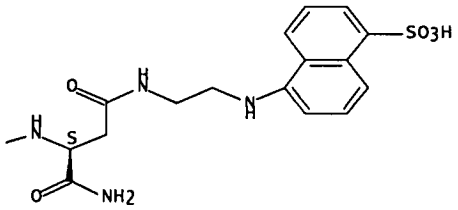
PAGE 1-A



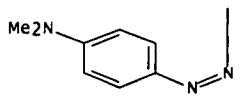
PAGE 1-B



PAGE 1-C



PAGE 2-A

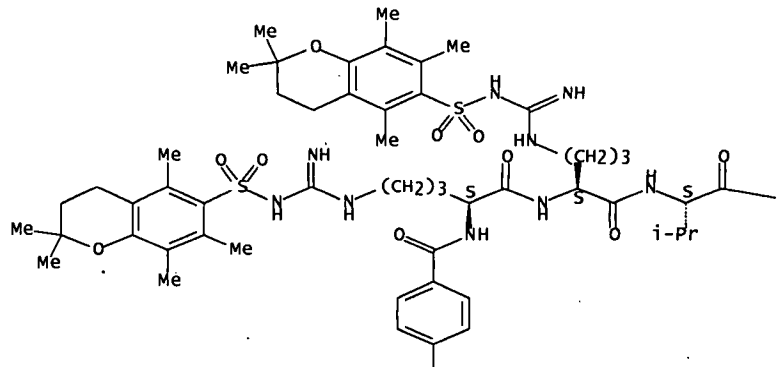


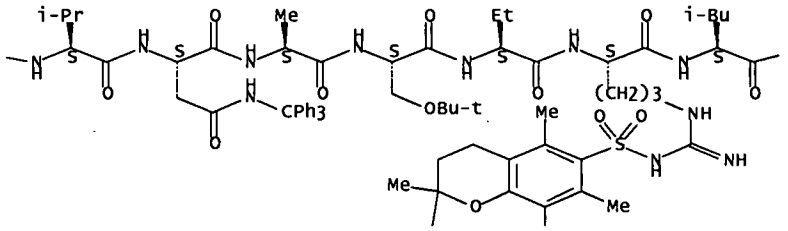
IT 170159-65-6DP, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(design and synthesis of quenched fluorogenic peptide substrate for
human cytomegalovirus proteinase)
RN 170159-65-6 CAPLUS
CN L-Aspartamide, N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-
yl)sulfonyl]amino]iminomethyl]-N2-[4-[[4-(dimethylamino)phenyl]azo]benzoyl
]-L-ornithyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-
yl)sulfonyl]amino]iminomethyl]-L-ornithyl-L-valyl-L-valyl-N-
(triphenylmethyl)-L-asparaginyl-L-alanyl-O-(1,1-dimethylethyl)-L-seryl-L-2-
aminobutanoyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-
yl)sulfonyl]amino]iminomethyl]-L-ornithyl-L-leucyl-N4-[2-[(5-sulfo-1-
naphthalenyl)amino]ethyl]- (9CI) (CA INDEX NAME)

NTE modified

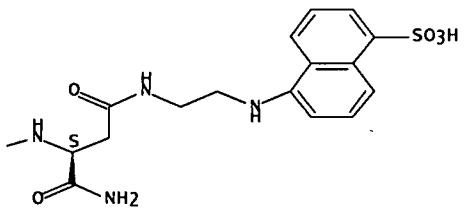
SEQ 1 RRVVNASXRL N

Absolute stereochemistry.
Double bond geometry unknown.

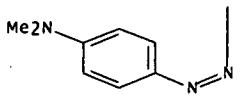




PAGE 1-C



PAGE 2-A



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L12 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:362667 CAPLUS Full-text
DOCUMENT NUMBER: 122:282262
TITLE: Endothelin antagonist peptides
INVENTOR(S): Cody, Wayne L.; Depue, Patricia; Doherty, Annette M.; He, John X.; Taylor, Michael D.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 32 pp. Cont.-in-part of U.S. Ser. No. 809, 746, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5382569	A	19950117	US 1992-995480	19921221 <--
CA 2108754	AA	19921117	CA 1992-2108754	19920424 <--
ES 2151888	T3	20010116	ES 1992-923584	19920424 <--
CA 2146874	AA	19940707	CA 1993-2146874	19931217 <--
WO 9414843	A1	19940707	WO 1993-US12377	19931217 <--
W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, RU, SK				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9458280	A1	19940719	AU 1994-58280	19931217 <--
AU 679712	B2	19970710		
EP 675902	A1	19951011	EP 1994-904089	19931217 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08504823	T2	19960528	JP 1993-515347	19931217 <--
JP 3494649	B2	20040209	JP 1994-515347	19931217
US 5641752	A	19970624	US 1994-316533	19940930 <--
US 5773414	A	19980630	US 1997-813625	19970307 <--

PRIORITY APPLN. INFO.:		
US 1991-701274	B2	19910516
US 1991-809746	B2	19911218
US 1992-995480	A	19921221
WO 1993-US12377	W	19931217
US 1994-316533	A3	19940930

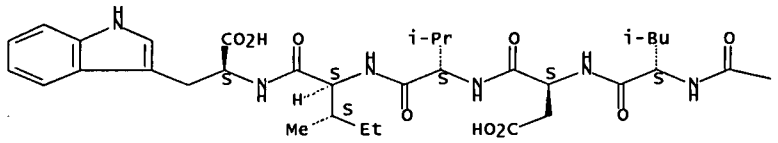
OTHER SOURCE(S): MARPAT 122:282262

AB Novel antagonist peptides (Markush included) of endothelin are described, as well as methods for the preparation and pharmaceutical compns. of the same, which are useful in treating elevated levels of endothelin, acute and chronic renal failure, hypertension, myocardial infarction, metabolic, endocrinol., neurol. disorders, congestive heart failure, endotoxic shock, subarachnoid hemorrhage, arrhythmias, asthma, preeclampsia, Raynaud's disease, percutaneous transluminal coronary angioplasty or restenosis, angina, cancer, pulmonary hypertension, ischemic disease, gastric mucosal damage, ischemic bowel disease, and diabetes. More than 300 specific peptides are claimed. Preparation of peptides is described, and activities (rat heart ventricle binding assay, inositol phosphate accumulation, arachidonic acid release assay) are included for selected peptides.

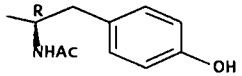
IT 148003-27-4
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(endothelin antagonist peptides for therapeutic use)
RN 148003-27-4 CAPLUS
CN L-Tryptophan, N-[N-[N-[N-(N-acetyl-D-tyrosyl)-L-leucyl]-L-α-aspartyl]-L-valyl]-L-isoleucyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



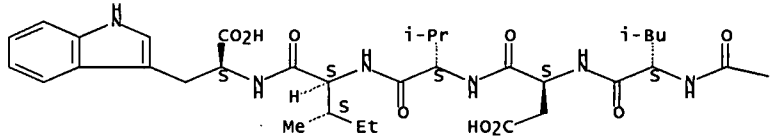
IT 148003-27-4
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(endothelin antagonist peptides for therapeutic use)
RN 148003-27-4 CAPLUS
CN L-Tryptophan, N-[N-[N-[N-(N-acetyl-D-tyrosyl)-L-leucyl]-L-α-aspartyl]-L-valyl]-L-isoleucyl]- (9CI) (CA INDEX NAME)

NTE modified

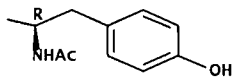
SEQ 1 YLDVIW

Absolute stereochemistry.

PAGE 1-A



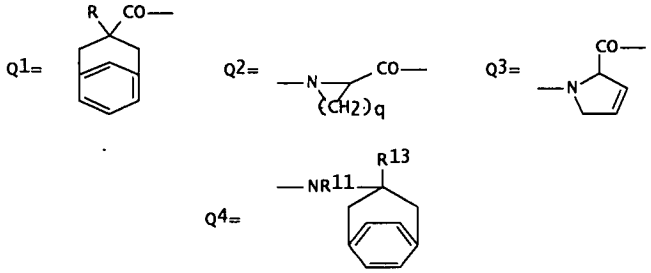
PAGE 1-B



L12 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:314153 CAPLUS Full-text
DOCUMENT NUMBER: 122:106542
TITLE: Preparation of peptide endothelin antagonists.
INVENTOR(S): Cody, Wayne Livingston; Depue, Patricia; Doherty, Annette Marian; He, John Xiaoqiang; Taylor, Michael Douglas
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9414843	A1	19940707	WO 1993-US12377	19931217 <--
W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, RU, SK RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5382569	A	19950117	US 1992-995480	19921221 <--
AU 9458280	A1	19940719	AU 1994-58280	19931217 <--
AU 679712	B2	19970710		

EP 675902 A1 19951011 EP 1994-904089
19931217 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
JP 08504823 T2 19960528 JP 1993-515347
19931217 <--
JP 3494649 B2 20040209 JP 1994-515347
19931217
PRIORITY APPLN. INFO.: US 1992-995480 A
19921221
US 1991-701274 B2
19910516
US 1991-809746 B2
19911218
WO 1993-US12377 W
19931217
OTHER SOURCE(S): MARPAT 122:106542
GI

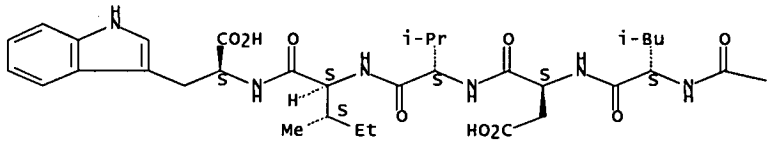


AB A1A2A3A4A5A6 [I; A1 = RCH[(CH2)nR2]CO, Q1, etc.; n = 0-6; R = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, fluorenylmethyl, NR3R4, OR3, CO2R3, etc.; R2 = H, alkyl, trityl, NR3R4, etc.; R3, R4 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, fluorenylmethyl; A2-A5 = null, NR11CH[(CH2)nR10]CO, Q2, Q3, etc.; q = 0-4; R10 = H, alkyl, aryl, cycloalkyl, alkenyl, alkynyl, OR3, NR3R4, CONR3R4, etc.; R11 = H, alkyl, aryl; A6 = NR11CH[(CH2)nR12]R13, Q4, etc.; R12 = aryl, heteroaryl, heterocycloalkyl; R13 = (CH2)nCO2H, (CH2)nOH, (CH2)nCONR3R4, etc.; with provisos], were prepared I are useful in treating elevated levels of endothelin, acute and chronic renal failure, hypertension, myocardial infarction, metabolic, endocrinol., neurol. disorders, congestive heart failure, endotoxic shock, subarachnoid hemorrhage, arrhythmias, asthma, preeclampsia, Raynaud's disease, percutaneous transluminal coronary angioplasty or restenosis, angina, cancer, pulmonary hypertension, ischemic disease, gastric mucosal damage, ischemic bowel disease, and diabetes. Thus, Ac-D-Dip-Leu-Asp-Ile- Ile-Trp-OH (Dip = 3,3-diphenylalanyl) (prepared by solid phase synthesis) at 1.0 μM/kg i.v. in rats significantly attenuated systemic depressor response to endothelin-1 but had no effect on pressor responses.

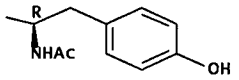
IT 148003-27-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide endothelin antagonists)
RN 148003-27-4 CAPLUS
CN L-Tryptophan, N-[N-[N-[N-[N-(N-acetyl-D-tyrosyl)-L-leucyl]-L-α-aspartyl]-L-valyl]-L-isoleucyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



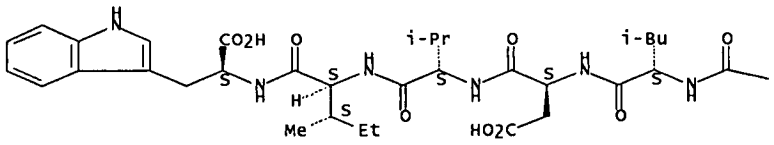
IT 148003-27-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide endothelin antagonists)
RN 148003-27-4 CAPLUS
CN L-Tryptophan, N-[N-[N-[N-[N-(N-acetyl-D-tyrosyl)-L-leucyl]-L-α-aspartyl]-L-valyl]-L-isoleucyl]- (9CI) (CA INDEX NAME)

NTE modified

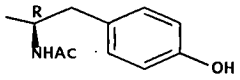
SEQ 1 YLDVIW

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L12 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:531825 CAPLUS Full-text
DOCUMENT NUMBER: 121:131825
TITLE: Investigation of antigen-antibody interactions using a soluble, non-support-bound synthetic decapeptide library composed of four trillion (4 + 1012) sequences
AUTHOR(S): Pinilla, Clemencia; Appel, Jon R.; Houghten, Richard A.
CORPORATE SOURCE: Torrey Pines Institute for Molecular Studies, San Diego, CA, 92121, USA
SOURCE: Biochemical Journal (1994), 301(3), 847-53
CODEN: BIJOAK; ISSN: 0264-6021
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A decapeptide positional-scanning synthetic-peptide combinatorial library (PS-SPCL) made up of 4 trillion (4+1012) decapeptides was synthesized; its use is illustrated here for the study of a peptide-antibody interaction. This library was prepared by a chemical-mixture approach using a specific ratio of amino acids empirically determined to give approx. equimolar incorporation of each amino acid during each coupling step. Despite the immense number of decapeptides making up each peptide mixture [approx. 200 billion (2+1011)], specific sequences having nanomolar affinities for a peptide-antibody interaction could be readily identified. Upon screening this decapeptide PS-SPCL in this well characterized system, the known 6-residue antigen-determinant sequence was found, with the most specific residues appearing to walk through the 10 positions of the peptide library. More importantly, it appears that antibody recognition in this system is stronger when the antigenic determinant is located at the C-terminus of the decapeptide library. Individual decapeptides corresponding to sequences derived from the most active peptide mixts. at each position were synthesized to confirm the results of the screening; 15 peptides were found to have IC50 values between 0.6 and 9.5 nM, 4 of which were 5-10 times more active than the known 6- and 13-residue control peptides. These results further illustrate the power of the positional-scanning peptide library concept, and extend its practical range to a decamer library composed of 4 trillion (4+1012) decapeptides.

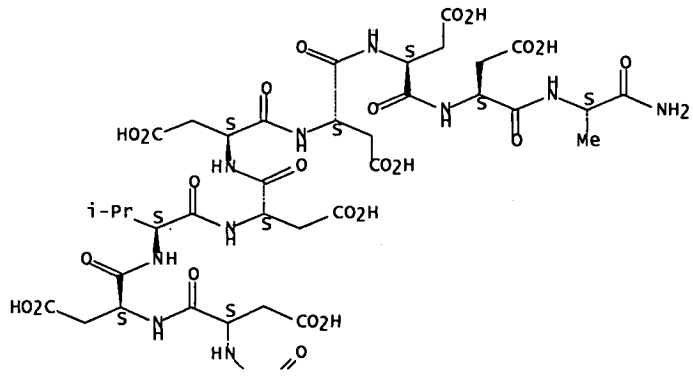
IT 157206-25-2
RL: USES (Uses)
(in study of antigen-antibody interaction with soluble decapeptide library composed of 4 trillion sequences)

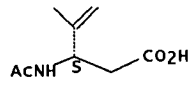
RN 157206-25-2 CAPLUS

CN L-Alaninamide, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-α-aspartyl-L-valyl-L-α-aspartyl-L-α-aspartyl-L-α-aspartyl-L-α-aspartyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



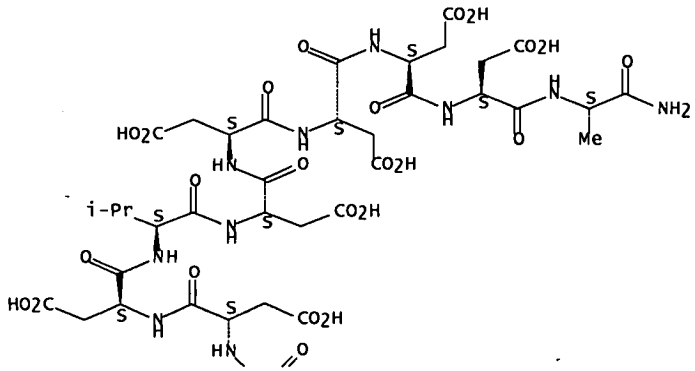


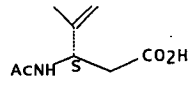
IT 157206-25-2
RL: USES (Uses)
(in study of antigen-antibody interaction with soluble decapeptide library
composed of 4 trillion sequences)
RN 157206-25-2 CAPLUS
CN L-Alaninamide, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-α-aspartyl-L-valyl-L-α-aspartyl-L-α-aspartyl-L-α-aspartyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 DDDVDDDDDA

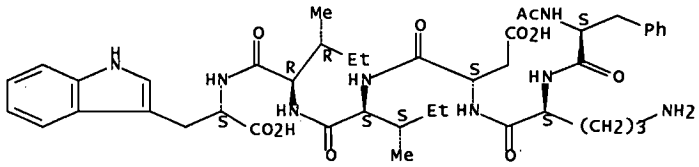
Absolute stereochemistry.





L12 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:671706 CAPLUS Full-text
DOCUMENT NUMBER: 119:271706
TITLE: Endothelin receptor ligands. Multiple D-amino acid
replacement net approach
AUTHOR(S): Spellmeyer, David C.; Brown, Suzy; Stauber, Gregory
B.; Geysen, H. Mario; Valerio, Robert
CORPORATE SOURCE: Chiron Corp., Emmeryville, CA, 94608, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1993
), 3(6), 1253-6
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB All possible single and multiple L- and D-amino acid replacements of potent hexapeptide endothelin receptor (ETR) ligand Ac-D-Phe-Orn-Asp-Ile- Trp-OH were synthesized and tested. While most of these 64 analogs were inactive on the ETRA receptor, three showed submicromolar activity. Interestingly, two of these contain 5 D-amino acids and may be stable to proteolysis.
IT 151378-88-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and endothelin A receptor binding affinity of)
RN 151378-88-0 CAPLUS
CN L-Tryptophan, N-[N-[N-[N-[N2-(N-acetyl-L-phenylalanyl)-L-ornithyl]-L-α-asparty]-L-isoleucyl]-D-isoleucyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



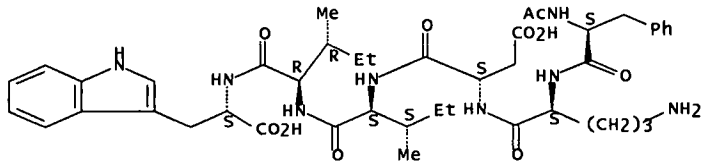
IT 151378-88-0P
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and endothelin A receptor binding affinity of)
RN 151378-88-0 CAPLUS
CN L-Tryptophan, N-[N-[N-[N-[N2-(N-acetyl-L-phenylalanyl)-L-ornithyl]-L-
α-asparty]-L-isoleucyl]-D-isoleucyl]- (9CI) (CA INDEX NAME)

NTE modified

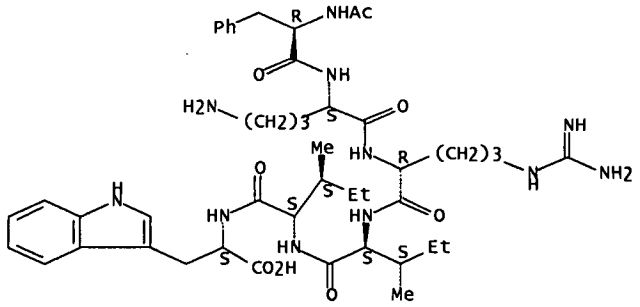
SEQ 1 FXDIIW

Absolute stereochemistry.



L12 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:581212 CAPLUS Full-text
DOCUMENT NUMBER: 119:181212
TITLE: Endothelin receptor ligands. Replacement net approach
to SAR determination of potent hexapeptides
AUTHOR(S): Spellmeyer, David C.; Brown, Suzy; Stauber, Gregory
B.; Geysen, H. Mario; Valerio, Robert
CORPORATE SOURCE: Chiron Corp., Emeryville, CA, 94608, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1993
), 3(4), 519-24
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The SAR of the potent hexapeptide endothelin ligand Ac-D-Phe-Orn-Asp-Ile- Ile-Trp-OH was determined through the systematic replacement of each residue with 50 amino acid substitutes. Multipin peptide synthesis methods allowed the rapid synthesis and screening of all 300 analogs.
IT **150298-32-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and endothelin receptor antagonist activity of)
RN 150298-32-1 CAPLUS
CN L-Tryptophan, N-[N-[N-[N2-[N2-(N-acetyl-D-phenylalanyl)-L-ornithyl]-D-
arginyl]-L-isoleucyl]-L-isoleucyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

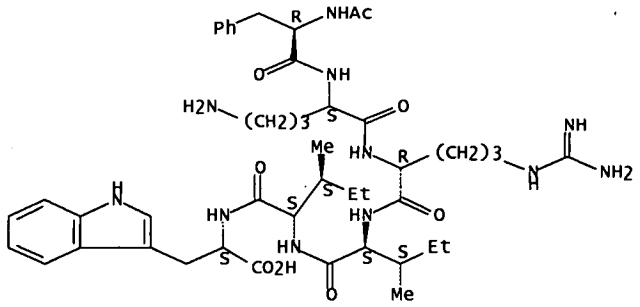


IT **150298-32-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and endothelin receptor antagonist activity of)
RN 150298-32-1 CAPLUS
CN L-Tryptophan, N-[N-[N-[N2-[N2-(N-acetyl-D-phenylalanyl)-L-ornithyl]-D-
arginyl]-L-isoleucyl]-L-isoleucyl]- (9CI) (CA INDEX NAME)

NTE modified

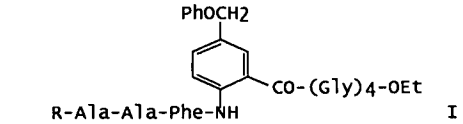
SEQ 1 FXRIIW

Absolute stereochemistry.



L12 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:517782 CAPLUS Full-text

DOCUMENT NUMBER: 119:117782
TITLE: Inhibitors of HIV-1 proteinase. Substrate analogs containing substituted aminobenzoic acids as proline mimics
AUTHOR(S): Xie, J.; Mazaleyrat, J. P.; Savrda, J.; Wakselman, M.
CORPORATE SOURCE: CNRS, Thiais, F-94320, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1993), 129(6), 642-54
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:117782
GI



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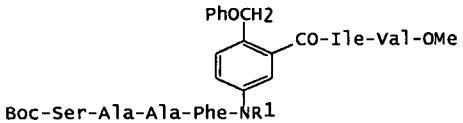
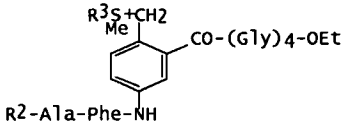


II

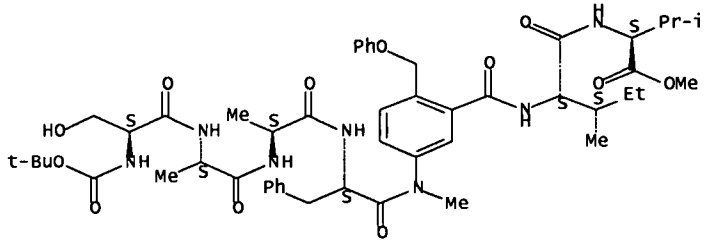


III

AB A series of title linear peptides, e.g. I [R = Me3CO2C (Boc), Ac-Gly], II (R1 = H, Me), and III (R2 = CF3CO, Ac-Gly-Ala; R3 = Me, Ph), that are simplified analogs of the sequence around the p17-p24 cleavage site of the Pr55gag human immunodeficiency virus (HIV) polyprotein, were prepared by solution peptide synthesis,. The above peptides are potential inhibitors (competitive inhibitors, affinity labels, or suicide substrates) of the HIV-1 proteinase. The P1-P1' tyrosyl-proline segment was replaced by phenylalanyl-(phenoxymethyl or sulfoniomethyl) substituted ortho- or meta-aminobenzoic fragments. In order to obtain a closer proline mimic, N-methylation of the aminobenzoic residue was also performed. II (R1 = H, Me) weakly inhibit the in vitro activity of the enzyme.

IT 149381-37-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and HIV-one proteinase-inhibiting activity of)
RN 149381-37-3 CAPLUS
CN L-Valine, N-[N-[5-[[N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-seryl]-L-alanyl]-L-alanyl]-L-phenylalanyl]methylamino]-2-(phenoxymethyl)benzoyl]-L-isoleucyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

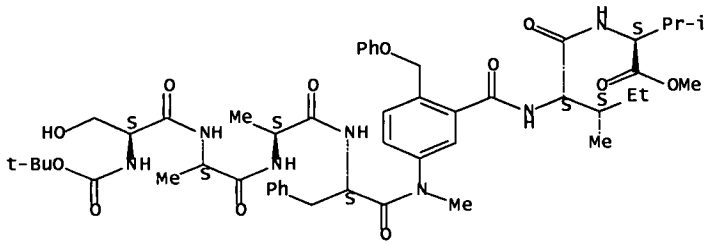


IT 149381-37-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and HIV-one proteinase-inhibiting activity of)
RN 149381-37-3 CAPLUS
CN L-Valine, N-[N-[5-[[N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-seryl]-L-alanyl]-L-alanyl]-L-phenylalanyl]methylamino]-2-(phenoxymethyl)benzoyl]-L-isoleucyl]-, methyl ester (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 SAAFXIV

Absolute stereochemistry.



L12 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:409163 CAPLUS Full-text
DOCUMENT NUMBER: 119:9163
TITLE: Preparation of endothelin antagonists
INVENTOR(S): Cody, Wayne Livingston; DePue, Patricia; Doherty,
Annette Marian; Taylor, Michael Douglas
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9220706	A1	19921126	WO 1992-US3408	19920424 <--
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2108754	AA	19921117	CA 1992-2108754	19920424 <--
EP 584290	A1	19940302	EP 1992-923584	19920424 <--
EP 584290	B1	20000830		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 06507626	T2	19940901	JP 1992-510321	19920424 <--
JP 3294610	B2	20020624		
AT 195948	E	20000915	AT 1992-923584	19920424 <--
ES 2151888	T3	20010116	ES 1992-923584	19920424 <--
GR 3034904	T3	20010228	GR 2000-402595	20001124 <--

PRIORITY APPLN. INFO.: US 1991-701274 A 19910516
US 1991-809746 A 19911218
WO 1992-US3408 W 19920424

OTHER SOURCE(S): MARPAT 119:9163

AB The prepn. of novel modified hexapeptide endothelin antagonists by solid-phase methods is described. These antagonists, and pharmaceutical compns. thereof, are useful in treating hypertension, myocardial infarction, metabolic, endocrinol., and neurol. disorders, congestive heart failure, endotoxic shock, subarachnoid hemorrhage, arrhythmias, asthma, acute renal failure, preeclampsia, and diabetes (no data). Thus, Ac-D-Phe-Leu-Asp-Ile-Ile-Trp-OH (I) was prepared by solid-phase methods on a phenylacetamidomethyl resin using tert-butoxycarbonyl (Boc)/benzyl protections. I showed endothelin 1 antagonistic activity in rat heart binding assay with IC50 = 0.72 μm, and showed IC50 = 0.86 μm in an inositol phosphate accumulation inhibition assay.

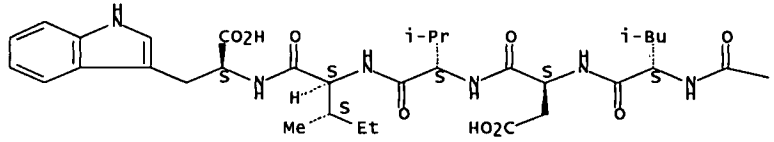
IT 148003-27-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as endothelin antagonist)

RN 148003-27-4 CAPLUS

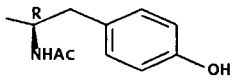
CN L-Tryptophan, N-[N-[N-[N-[N-(N-acetyl-D-tyrosyl)-L-leucyl]-L-α-aspartyl]-L-valyl]-L-isoleucyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



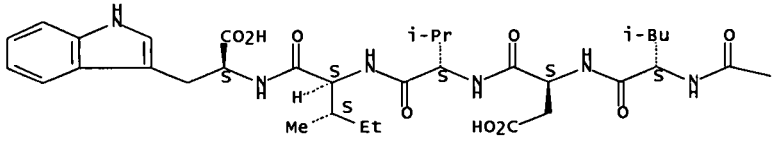
IT 148003-27-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as endothelin antagonist)
RN 148003-27-4 CAPLUS
CN L-Tryptophan, N-[N-[N-[N-[N-(N-acetyl-D-tyrosyl)-L-leucyl]-L-α-asparty]-L-valyl]-L-isoleucyl]- (9CI) (CA INDEX NAME)

NTE modified

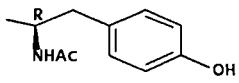
SEQ 1 YLDVIW

Absolute stereochemistry.

PAGE 1-A

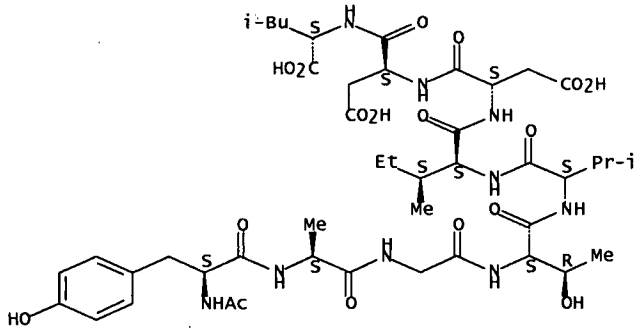


PAGE 1-B



L12 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:50877 CAPLUS Full-text
DOCUMENT NUMBER: 116:50877
TITLE: Structure-function studies of peptides inhibiting the ribonucleotide reductase activity of herpes simplex virus type I
AUTHOR(S): Gaudreau, Pierrette; Brazeau, Paul; Richer, Manon; Cormier, Jean; Langlois, Daniel; Langelier, Yves
CORPORATE SOURCE: Res. Cent., Notre-Dame Hosp., Montreal, QC, H2L 4M1, Can.
SOURCE: Journal of Medicinal Chemistry (1992), 35(2), 346-50
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Ac-Tyr298-Ala299-Gly300-Thr301-Val302-Ile303-Asn304-Asp305-Leu306-OH [Ac-VZV R2-(298-306)] represents the acetylated form of the C-terminus of varicella-zoster virus (VZV) ribonucleotide reductase subunit 2 (R2). This peptide possesses a high degree of homol. with the C-terminus nonapeptide of the herpes simplex virus (HSV) type I and II ribonucleotide reductase R2 protein and is 15 times more potent than the latter in its in vitro inhibition of HSV-1 reductase activity. Accordingly, a new series of analogs based on this structure was studied in vitro. The replacement of Asp305 by Asn, Glu, Gln, Ser, or Cys; of Asn304 by Gln or Ser; of Ile303 and Val302 by D-Val; and of Tyr298 by Cha induced an important loss of inhibitory potency. The substitution of Asn304 by Asp; of Thr301 by Cys, Ser, or Val; of Gly300 by Ala or Val; of Ala299 by Val; or of Tyr298 by homoPhe, 4'-fluoro-Phe, 4'-chloro-Phe, 3'-iodo-Tyr, Me-Tyr, or For-Trp led to a moderate decrease of the Ac-VZV R2-(298-306) potency. The replacement of Val302 by Ile; Ala299 by Cys, Ser, or Thr; or the insertion of a six- or eight-carbon chain between Tyr298 and the NH2 terminus either preserved or slightly increased the inhibitory potency of Ac-VZV R2-(298-306). Finally, the substitution of Tyr298 by Trp or the addition of 4'-nitro-Phe at the amino terminus resulted in a 3-fold increase of potency. Altogether, these results stress the importance of the structural integrity of the min. active core 302-306 in preserving the inhibitory potency and suggest that further studies on monosubstitutions could be directed at the portion 298-301 of the peptide.
IT 138334-08-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and herpes virus ribonucleotide reductase inhibition by)
RN 138334-08-4 CAPLUS
CN L-Leucine, N-[N-[N-[N-[N-[N-[N-(N-acetyl-L-tyrosyl)-L-alanyl]glycyl]-L-threonyl]-L-valyl]-L-isoleucyl]-L-α-asparty]-L-α-asparty]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

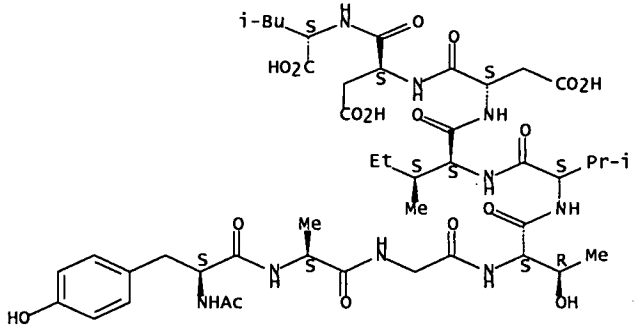


IT 138334-08-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and herpes virus ribonucleotide reductase inhibition by)
RN 138334-08-4 CAPLUS
CN L-Leucine, N-[N-[N-[N-[N-[N-[N-[N-(N-acetyl-L-tyrosyl)-L-alanyl]glycyl]-L-threonyl]-L-valyl]-L-isoleucyl]-L-α-asparty]-L-α-asparty]-(9CI) (CA INDEX NAME)

NTE modified

SEQ 1 YAGTVIDDL

Absolute stereochemistry.



L12 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:534755 CAPLUS Full-text
DOCUMENT NUMBER: 111:134755
TITLE: Preparation of decapeptides as LHRH antagonists having high antiovulatory activity and negligible histamine releasing activity
INVENTOR(S): Folkers, Karl; Bowers, Cyril Y.; Ljungquist, Anders; Tang, Pui Fun Louisa; Kobota, Minoru; Feng, Dong Mei
PATENT ASSIGNEE(S): University of Texas System, USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

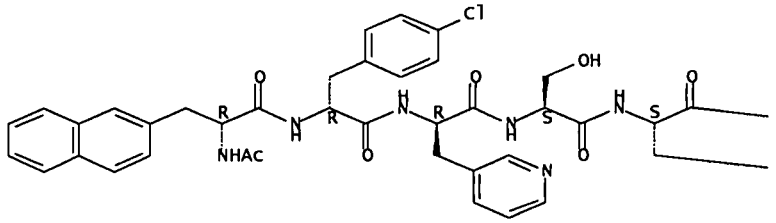
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8901944	A1	19890309	WO 1988-US2922	19880824 <--
W: AT, AU, BB, BG, BR, CH, DE, DK, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MW, NL, NO, RO, SD, SE, SU, US				
RW: AT, BE, BJ, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
US 4935491	A	19900619	US 1987-88431	19870824 <--
AU 8825294	A1	19890331	AU 1988-25294	19880824 <--

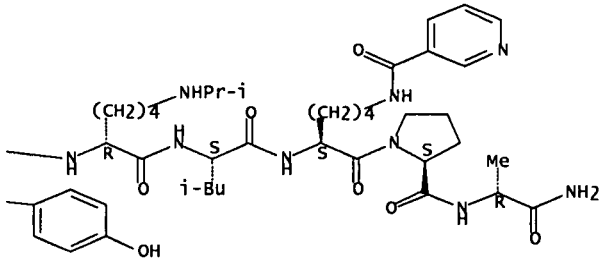
AU 619221 B2 19920123
EP 377665 A1 19900718 EP 1988-908786
19880824 <--
EP 377665 B1 19950712
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
JP 03501969 T2 19910509 JP 1988-507982
19880824 <--
HU 59940 A2 19920728 HU 1988-5868
19880824 <--
HU 213098 B 19970228
CA 1339659 A1 19980203 CA 1988-587364
19881230 <--
KR 135276 B1 19980423 KR 1989-700699
19890421 <--
DK 9000486 A 19900419 DK 1990-486
19900223 <--
DK 173753 B1 20010910
NO 9000888 A 19900423 NO 1990-888
19900223 <--
NO 9402179 A 19900423 NO 1994-2179
19940610 <--
PRIORITY APPLN. INFO.: US 1987-88431 A2
19870824
WO 1988-US2922 A
19880824
NO 1990-888 A
19900223
OTHER SOURCE(S): CASREACT 111:134755

AB Decapeptide analogs of LHRH, e.g. [N-Ac-D-2-Nal1, D-pClPhe2, D-3-Pal3, NicLys5, D-NicLys6, Ilys8, D-Ala10]-LHRH [2-Nal = 3-(2-naphthyl)alanine, pClPhe = 3-(4-chloro)phenylalanine, 3-Pal = 3-(3-pyridyl)alanine, NicLys = Nε-anisotinoy l, Ilys = Nε-isopropyllysine] (I) (Antide) having high ovulation inhibition activity and very low histamine release activity, were prepared I and other decapeptides were synthesized by the solid phase method using a Beckman Model 990 peptide synthesizer, new lysine, ornithine, alanine, glutamic acid and arginine derivs., and benzhydrylamine hydrochloride resin as a solid support. I showed antiovulatory activity (AOA) of 100% at 1 μg and 36% at 0.5 μg in rats and an ED50 of ≥300 μg/mL for histamine release in a rat mast cell assay.

IT **112443-68-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as LHRH antagonist)
RN 112443-68-2 CAPLUS
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-N6-(1-methylethyl)-
D-lysyl-L-leucyl-N6-(3-pyridinylcarbonyl)-L-lysyl-L-prolyl-(9CI) (CA
INDEX NAME)

Absolute stereochemistry.





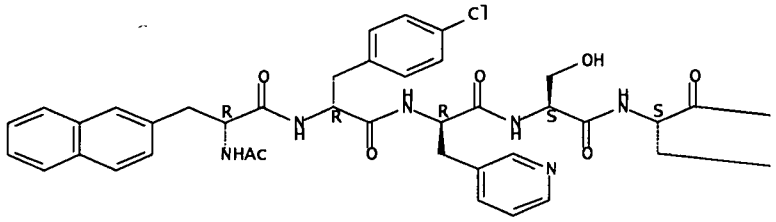
IT **112443-68-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as LHRH antagonist)
RN 112443-68-2 CAPLUS
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-N6-(1-methylethyl)-
D-lysyl-L-leucyl-N6-(3-pyridinylcarbonyl)-L-lysyl-L-prolyl-(9CI) (CA
INDEX NAME)

NTE modified

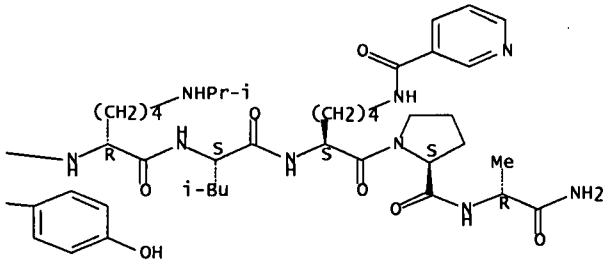
SEQ 1 AFASYKLKPA

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

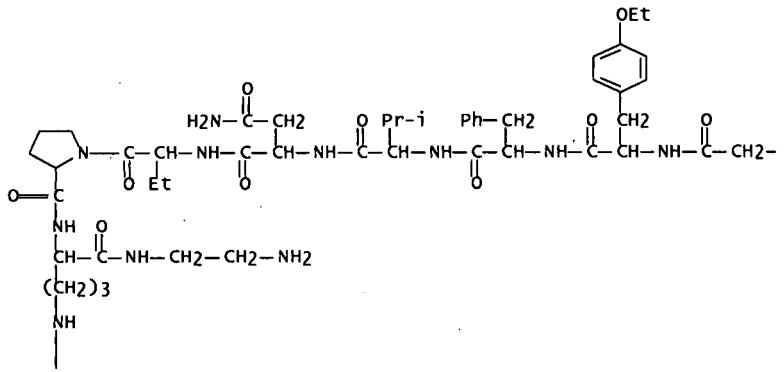


L12 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:497725 CAPLUS Full-text
DOCUMENT NUMBER: 111:97725
TITLE: Preparation and testing of linear arginine vasopressin antagonists
INVENTOR(S): Manning, Maurice; Sawyer, Wilbur Henderson
PATENT ASSIGNEE(S): Medical College of Ohio, USA; Columbia University
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 296892	A2	19881228	EP 1988-305927	19880627 <--
EP 296892	A3	19900711		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 5055448	A	19911008	US 1987-66949	19870625 <--
JP 02019397	A2	19900123	JP 1988-155126	19880624 <--

PRIORITY APPLN. INFO.: US 1987-66949 19870625
OTHER SOURCE(S): MARPAT 111:97725
AB A-X-D-Tyr(R)-Phe-Y-Asn-T-U-Z-Q (I; A = 1-adamantyl, Ph, cyclohexyl, cyclopentyl, 1-mercaptocyclohexyl, Me, etc.; X = CH2CO; R = C1-4 alkyl; Y = Val, Ile, Thr, Ala, Lys, Cha, Nva, Met, Nle, Orn, Ser, Asn, Gln, Phe, Tyr, Gly, Abu, Leu; T = Pen, Abu, Orn, Lys, Arg, Ala, Cha, Thr; U = Pro, Arg, Lys, Orn, bond; Z = D- or L-Arg, Orn, Lys; Q = Gly-NH2, Arg-NH2, Orn-NH2, Lys-NH2, Ser-NH2, Val-NH2, D- or L-Ala-NH2, Phe-NH2, Ile-NH2, Thr-NH2, Pro-NH2, Tyr-NH2, amino, OH; Abu = 2-aminobutanoyl; Cha = 3-cyclohexylalanyl; Nva = norvalyl; Pen = 3-mercaptovalyl), useful as arginine vasopressin antagonists, were prepared Aaa-D-Tyr(Et)-Phe-Val-Asn- Abu-Pro-Arg-NH2 (Aaa = 1-adamantylacetyl) was prepared by the solid-phase method on Merrifield resin. In rats I administered i.v. effectively antagonized the antidiuretic effect of arginine vasopressin with pA2 of 6.55-7.81.
IT 121199-57-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for vasopressin antagonist)
RN 121199-57-3 CAPLUS
CN L-Ornithinamide, O-ethyl-N-(tricyclo[3.3.1.13,7]dec-1-ylacetyl)-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-2-aminobutanoyl-L-prolyl-N-(2-aminoethyl)-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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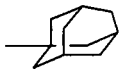

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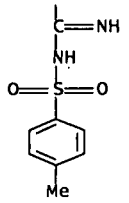


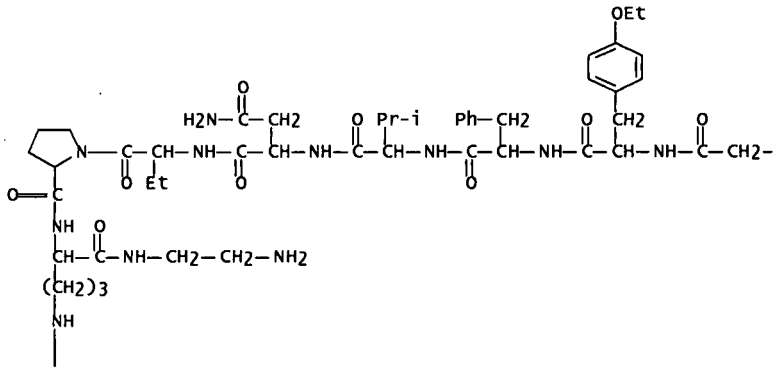
IT 121199-57-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for vasopressin antagonist)

RN 121199-57-3 CAPLUS
CN L-Ornithinamide, O-ethyl-N-(tricyclo[3.3.1.13,7]dec-1-ylacetyl)-D-tyrosyl-
L-phenylalanyl-L-valyl-L-asparaginyl-2-aminobutanoyl-L-prolyl-N-(2-
aminoethyl)-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-(9CI) (CA
INDEX NAME)

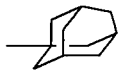
NTE modified (modifications unspecified)

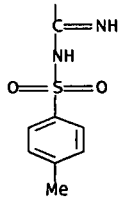
SEQ 1 YFVNXPR

PAGE 1-A



PAGE 1-B



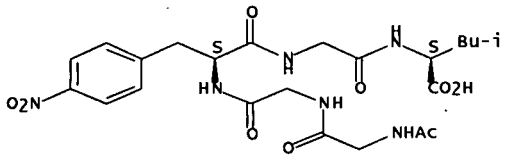


L12 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:545736 CAPLUS Full-text
DOCUMENT NUMBER: 109:145736
TITLE: Yield optimization in the kinetically controlled enzymic peptide synthesis
AUTHOR(S): Bratovanova, E.; Stoineva, I.; Petkov, D.
CORPORATE SOURCE: Lab. Biocatal., Inst. Org. Chem., Sofia, 1040, Bulg.
SOURCE: Tetrahedron (1988), 44(12), 3633-7
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The yield and its time-dependence in acylenzyme mechanism-based enzymic peptide synthesis are controlled by the proteinase kinetic specificity. The maximum yield is limited by a nonequil. constant Kmax. Both Kmax and the time, tmax, taken to attain the maximum yield, are directly related to the enzyme kinetic parameters. These relationships allow kinetic determination of yield optimization in kinetically controlled enzymic peptide synthesis.

IT 116653-30-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of, by chymotrypsin or alkaline mesentericopeptidase, kinetics of)
RN 116653-30-6 CAPLUS
CN L-Leucine, N-[N-[N-[N-(N-acetylglycyl)glycyl]-4-nitro-L-phenylalanyl]glycyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

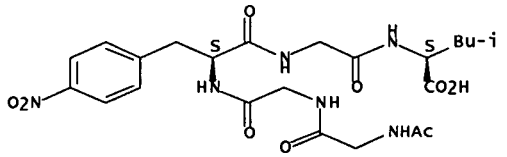


IT 116653-30-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of, by chymotrypsin or alkaline mesentericopeptidase, kinetics of)
RN 116653-30-6 CAPLUS
CN L-Leucine, N-[N-[N-[N-(N-acetylglycyl)glycyl]-4-nitro-L-phenylalanyl]glycyl]- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 GGFGL

Absolute stereochemistry.



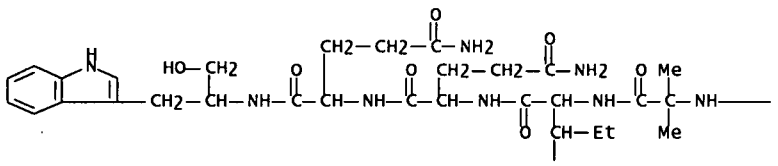
L12 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:108298 CAPLUS Full-text
DOCUMENT NUMBER: 108:108298
TITLE: Isolation and sequence determination of trichorzianines A antifungal peptides from Trichoderma harzianum
AUTHOR(S): El Hajji, Mohamed; Rebuffat, Sylvie; Lecommandeur, Didier; Bodo, Bernard
CORPORATE SOURCE: Lab. Chem., Natl. Mus. Nat. Hist., Paris, 75231, Fr.
SOURCE: International Journal of Peptide & Protein Research (1987), 29(2), 207-15
CODEN: IJPPC3; ISSN: 0367-8377
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Trichorzianines A, membrane active peptides of the peptaibol class, were isolated from cultures of T. harzianum. Trichorzianines A were separated into pure components by HPLC on octadecyl bonded and SiO2 phases successively. Nine trichorzianines A (IIa, IIIa, IIIb, IIIc, IVb, Vb, VIa, VIb, and VII) were isolated from the complex microheterogeneous mixture Their N-terminal amino acid is acetylated, the C-terminal amino alc. is either tryptophanol or phenylalaninol, and 7 to 8 of the 19 residues are α- aminoisobutyric acid (Aib). Gas chromatog.

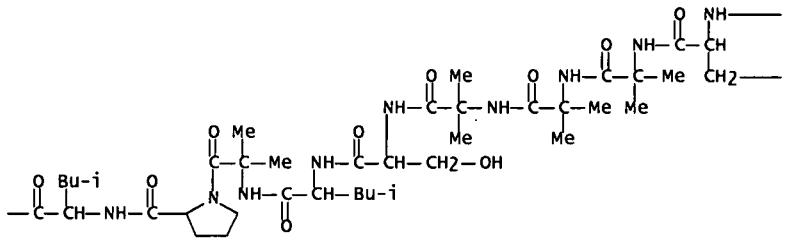
on a chiral phase showed isovaline to have the D-configuration and all the other optically active amino acids and amino alcs. to have the L-configuration. The amino acid sequences were determined from their pos. ion fast-atom bombarbment mass spectra, which exhibited the preferential cleavage of the Aib 12-Pro 13 amide bond as a main fragmentation. The resulting fragments subsequently underwent amide bond ruptures that generated two series of abundant acylium ions which enabled direct determination of the 1-19 sequence. The relative position of the isomeric amino acids in the sequence of trichorzianine A VII was assigned from anal. of the N- and C-terminal oligopeptides yielded by its selective acidic hydrolysis. The microheterogeneity of trichorzianines A results mainly from single or multiple substitution of amino acids at the specific positions 5, 14, 16, and 19.

IT 109173-30-0
RL: BIOL (Biological study)
(of Trichoderma harzianum, amino acid sequence of)
RN 109173-30-0 CAPLUS
CN Trichorzianin A IIIa (9CI) (CA INDEX NAME)

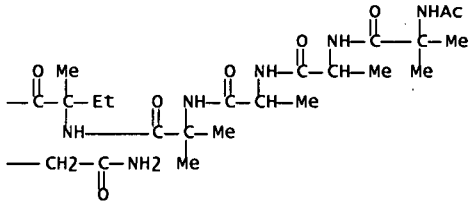
PAGE 1-A



PAGE 1-B



PAGE 1-C



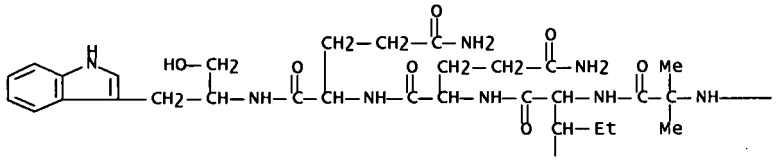
PAGE 2-A

IT 109173-30-0
RL: BIOL (Biological study)
(of Trichoderma harzianum, amino acid sequence of)
RN 109173-30-0 CAPLUS
CN Trichorzianin A IIIa (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 XAAXXQXXXS LXPLXIQQW

PAGE 1-A



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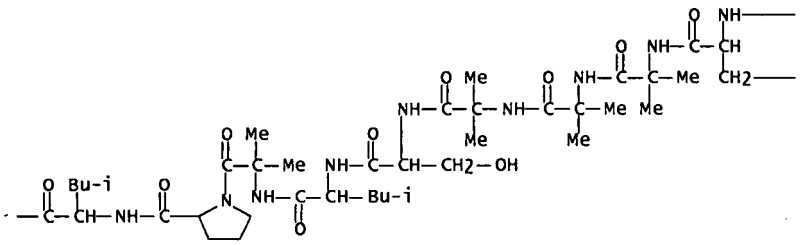

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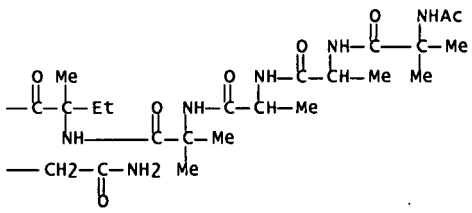


PAGE 2-A

Me

L12 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:49436 CAPLUS Full-text
DOCUMENT NUMBER: 108:49436
TITLE: Design, synthesis and bioassays of antagonists of LH-RH which have high antiovulatory activity and release negligible histamine
AUTHOR(S): Ljungqvist, Anders; Feng, Dong Mei; Tang, Pui Fun Louisa; Kubota, Minoru; Okamoto, Tadashi; Zhang, Yawen; Bowers, Cyril Y.; Hook, William A.; Folkers, Karl
CORPORATE SOURCE: Inst. Biomed. Res., Univ. Texas, Austin, TX, 78712, USA
SOURCE: Biochemical and Biophysical Research Communications (1987), 148(2), 849-56
CODEN: BBRCA9; ISSN: 0006-291X
DOCUMENT TYPE: Journal
LANGUAGE: English

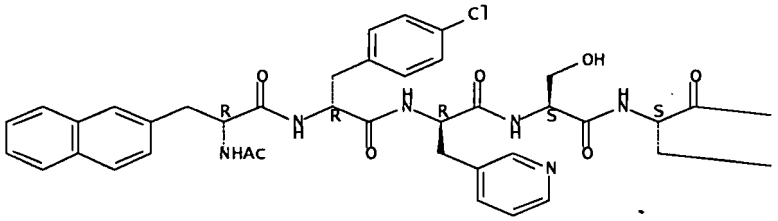
AB Potent antagonists of LH-RH were achieved which release negligible histamine. [N-Ac-D-2-Nal1,D-pClPhe2,D-3-Pal3,NicLys5,D-NicLys6,ILys8,D- Ala10]-LHRH (2-Nal = 3-(2-naphthylalanine; 3-Pal = 3-(3-pyridylalanine); NicLys = Nε-nicotinoyllysine; ILys = Nε-isopropyllysine) showed 100% antiovulatory activity (AOA)/1 μg and 36% AOA/0.5 μg; the ED50 for histamine release was >300 μg/mL. [N-Ac-D-2-Nal1,D-pClPhe2,D-3-Pal3,PicLys5,D-PicLys6,ILys8,D-Ala10]-LHRH (PicLys =

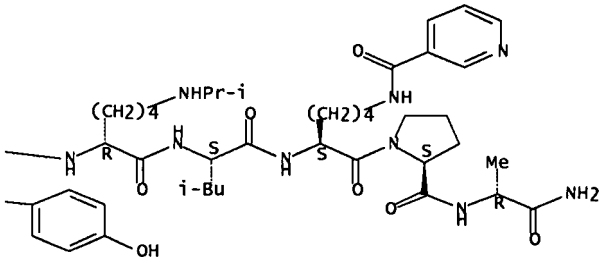
Nε-picoloyllysine) showed 100% AOA/0.5 μg, 40% AOA/0.25 μg, and an ED50 for histamine release of 93 μg/mL; it was the most potent of 52 new peptides. These antagonists feature designs with weakly basic acylated D-Lys6 (D-NicLys6 and D-PicLys6), alkylated Lys8 or Orn8 (ILys8 and IOrn8 (Nδ-isopropylornithine)), NicLys5, and PicLys5. Concepts included balanced overall basicity, superiority of ILys8 and IOrn8 which are sequence dependent, and sensitivity of positions 5 and 6 for potency.

IT **112443-68-2**
RL: BIOL (Biological study)
(ovulation inhibition by, with negligible histamine release, mol.
structure in relation to)
RN 112443-68-2 CAPLUS
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-N6-(1-methylethyl)-
D-lysyl-L-leucyl-N6-(3-pyridinylcarbonyl)-L-lysyl-L-prolyl-(9CI) (CA
INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





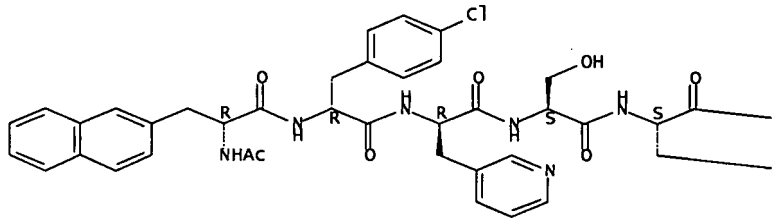
IT **112443-68-2**
RL: BIOL (Biological study)
(ovulation inhibition by, with negligible histamine release, mol.
structure in relation to)
RN 112443-68-2 CAPLUS
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-N6-(1-methylethyl)-
D-lysyl-L-leucyl-N6-(3-pyridinylcarbonyl)-L-lysyl-L-prolyl-(9CI) (CA
INDEX NAME)

NTE modified

SEQ 1 AFASYKLKPA

Absolute stereochemistry.

PAGE 1-A



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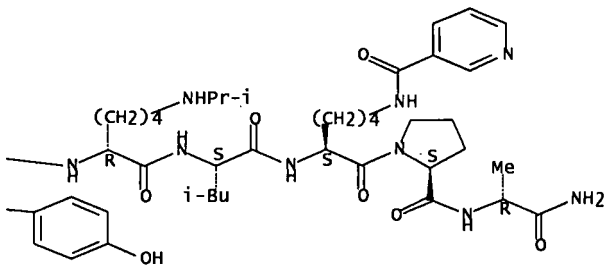


L12 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:568921 CAPLUS Full-text
DOCUMENT NUMBER: 107:168921
TITLE: Activities of antagonists of the luteinizing hormone releasing hormone with emphasis on positions 1, 5 and 6 and on positions 1, 2 and 3
AUTHOR(S): Folkers, Karl; Bowers, Cyril; Xiao, Shao Bo; Tang, Pui Fun Louisa; Kubota, Minoru; Stepinski, Janusz; Kubiak, Teresa
CORPORATE SOURCE: Inst. Biomed. Res., Univ. Texas, Austin, TX, 78712, USA
SOURCE: Zeitschrift fuer Naturforschung, B: Chemical Sciences (1987), 42(1), 101-6
CODEN: ZNBSEN; ISSN: 0932-0776
DOCUMENT TYPE: Journal
LANGUAGE: English

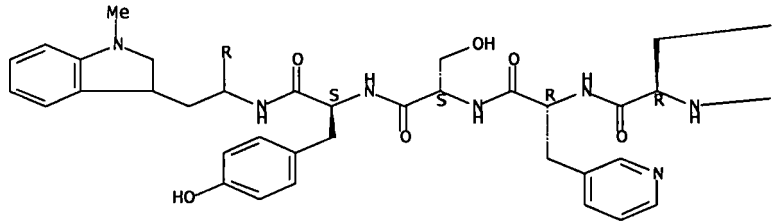
AB Analogs of LH-RH which are antagonists for controlling ovulation require potency and negligible release of histamine as a side effect. Forty analogs were designed, synthesized, and bioassayed in 2 groups with emphasis on positions 1, 5, and 6 and on positions 1, 2, and 3. N-Ac-D-2-Nal1,D-pClPhe2,D-3-Pal3,Ser4,Tyr5,D-Lys6,Leu7,Arg8,Pro9,D-Ala10- NH2 (where 2-Nal = 2-naphthylalanine) and N-Ac-D-Cl2Phe1,D-α-Me- pClPhe2,D-3-Pal3,Ser4,Tyr5,D-Arg6,Leu7,Arg8,Pro9,D-Ala10-NH2 (where pClPhe = p-chlorophenylalanine, Cl2Phe = 3,4-dichlorophenylalanine, and 3-Pal = 3-pyridiylalanine) caused 100% inhibition of ovulation at 0.5 μg in rats. The former analog showed 12.5% antiovulatory activity (AOA) and the latter analog showed 40% AOA at 0.25 μg. The neutral citrulline6 moiety is unique, since it and the basic D-Nε-nicotinoyl-lysine6 (I) moiety provided peptides comparable in activity. Frequently, D-2-Nal, D-pClPhe, and D-Cl2Phe are comparable in position 1. Histamine release was substantially low for a I analog.

IT 110697-54-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ovulation-inhibiting activity of, structure in relation to)

RN 110697-54-6 CAPLUS
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-3-(2,3-dihydro-1-methyl-1H-indol-3-yl)alanyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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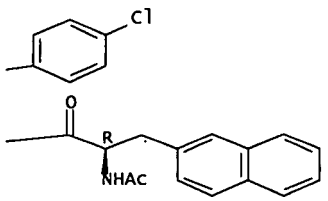
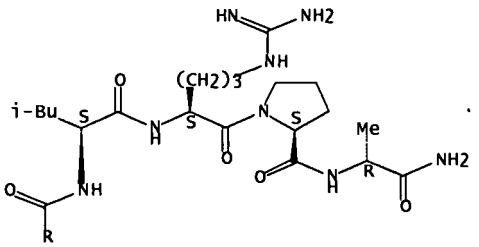


PAGE 2-A



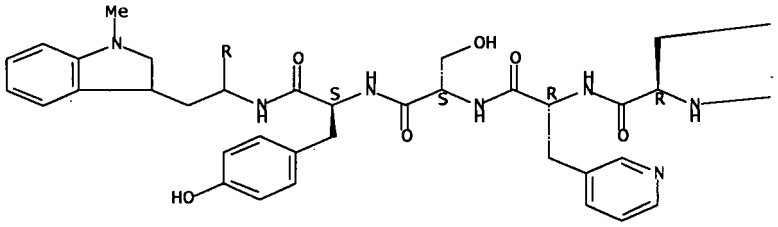
IT 110697-54-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(ovulation-inhibiting activity of, structure in relation to)
RN 110697-54-6 CAPLUS
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-3-(2,3-dihydro-1-
methyl-1H-indol-3-yl)alanyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX
NAME)

NTE modified

SEQ 1 AFASYWLRPA

Absolute stereochemistry.

PAGE 1-A



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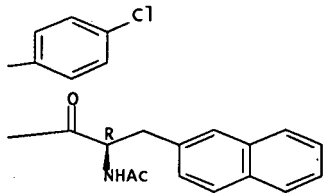
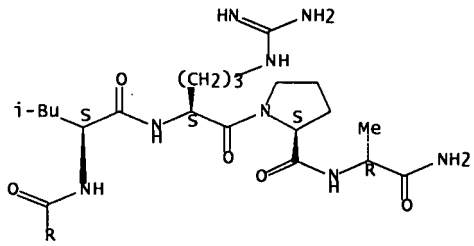


PAGE 2-A



L12 ANSWER 32 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:55742 CAPLUS Full-text
DOCUMENT NUMBER: 66:55742
TITLE: Amino acids and peptides. LXV. Analogs of oxytocin
with isoleucine replaced by L-diethylalanine,
L-cyclopentylglycine, and L- and D-cyclohexylglycines
AUTHOR(S): Eisler, K.; Rudinger, Josef; Sorm, Frantisek
CORPORATE SOURCE: Ceskoslov. Akad. Ved, Prague, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (
1966), 31(12), 4563-80
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
AB cf. CA 66, 11171p. A suspension of 43.7 g. hippuric acid, 19.4 g. freshly fused NaOAc and 1050 ml. Et2CO was treated dropwise over 30 min. with 61.5 ml. Ac2O, the whole refluxed 2 hrs.,

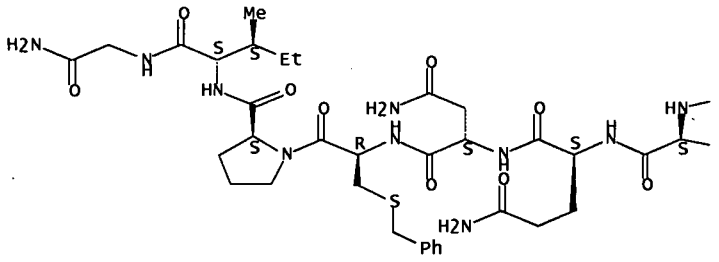
concentrated, the residue neutralized with aqueous NaHCO3, extracted with Et2O, and the extract evaporated to give oily 4-(3-pentylidene)-2-phenyl-5-oxazolone. The oil was refluxed with 95 ml. 56% aqueous HI, 5.6 g. red P, and 130 ml. AcOH 90 min., the mixture filtered, the filtrate evaporated, the residue dissolved in H2O, the solution washed with Et2O, filtered through 200 ml. Zeolite 225 (H+) ion-exchange resin, the column washed with H2O, and eluted with 5% aqueous NH3 to give crude DL-Et2CHCH(NHCO2CH2Ph)CO2H (I) which with 5.5 ml. Ac2O and 33 ml. AcOH yielded 4.3 g. I N-Ac derivative (II), m. 197-8° (H2O). II (3.12 g.) refluxed with 38.5 ml. azeotropic HBr and 25.5 ml. H2O 2 hrs. gave 2.06 g. I, m. 240-3° (moist MeOH-Et2O). A stirred mixture of 1.43 g. DL-cyclopentylglycine (III) and 5 ml. 2M NaOH was treated at -5° with 0.9 ml. ClCH2COCl and addnl. 2M NaOH at such a rate as to keep the pH value at approx. 9 to give 48% III N-COCH2CL derivative, m. 140-2° (H2O). III (8.4 g.) refluxed with 150 ml. AcOH and 10.5 ml. Ac2O 2 min. and the solution evaporated gave 9.4 g. III N-Ac derivative (IV), m. 170-2° (H2O). Acetyl-DL-cyclohexylglycine (V), m. 196-7° (H2O), was obtained similarly. Resolution of IV with hog renal acylase I afforded 87% L-cyclopentylglycine (VI), [α] 25 D 17.8° (c 0.4, 5M HCl), 13.8° (c 0.38, 2M HCl), [α] 25 350 84.3° (c 0.4, 5M HCl) and 95% acetyl-D-cyclopentylglycine, m. 184-6° (H2O), [α] 25 D 2.2° (c 0.6, 98% AcOH), 6.1° (c 0.36, 96% EtOH). Similarly, V gave 85% L-cyclohexylglycine (VII), [α] 25 D 35.8° (c 0.47, 5M HCl), [α] 25 310 215.9° (c 0.86, 1M HCl), and 73% acetyl-D-cyclohexylglycine, m. 210-11° (30% aqueous AcOH), [α] 25 D -32.8° (c 0.65, 98% AcOH). A similar incubation of II in the presence of CoCl2 gave 75% L-Et2CHCH(NH2)CO2H, [α] 25 D 36.9° (c 0.33, 5M HCl), and 95% acetyl-D- Et2CHCH(NH2)CO2H, m. 175-7° (H2O), [α] 25 D -13.6° (c 0.5, 98% AcOH). Refluxing the corresponding N-Ac derivs. with aqueous HBr 2 hrs. gave D-cyclopentylglycine, [α] 25 D -17.0° (c 0.3, 5M HCl), D-cyclohexylglycine (VIII), [α] 25 310 -217.8° (c 0.85, 1M HCl), and D-Et2CHCH(NH2)CO2H (IX), [α] 25 D -34.5° (c 0.4, 5M HCl). VI (3.17 g.) was added at -10° to a mixture of 1.77 ml. SOCl2 and 6.7 ml. MeOH, the whole refluxed 2 hrs., evaporated, and the residue reesterified to give 4.3 g. VI Me ester (X) HCl salt, m. 177-9°, [α] 25 D 31.3° (c 0.17, MeOH). Similarly were prepared VII Me ester-HCl, m. 188-9° (MeOH-Et2O), [α] 25 D 33.4° (c 0.52, MeOH), 24.2° (c 0.44, H2O), VIII Me ester-HCl, m. 190-1° (MeOH-Et2O), and IX Me ester-HCl, m. 159-60° (MeOH-Et2O), [α] 25 D -37.4° (c 0.36, MeOH). Acylation of free X with p-toluenesulfonyl-S-benzyl-L-cysteinyl-L-tyrosine azide (Xa) gave 81% p-toluenesulfonyl-S-benzyl-L-cysteinyl-L-tyrosyl-L-cyclopentylglycine (XI) Me ester (XII), m. 201-3° (aqueous MeOH), [α] 25 D -47.4° (c 0.48, MeOH). Similarly were prepared p-toluenesulfonyl-S-benzyl-L-cysteinyl-L-tyrosyl-L-cyclohexylglycine (XIII) Me ester, m. 208-10° (MeOH), [α] 25 D -37.3° (c 0.43, MeOH), and p-toluenesulfonyl-S-benzyl-L-cysteinyl-L-tyrosyl-D- cyclohexylglycine (XIV) Me ester, m. 228-9° (MeOH), m. 228-9° (MeOH), [α] 25 D -34.5° (c 0.41, MeOH). XII (1 g.) was kept with 1 ml. 92% N2H4 3 days and the mixture diluted with 50 ml. EtOH to give 97% XI hydrazide, m. 252-5° (HCONMe2-H2O). Similarly prepared were XIII hydrazide, m. 249-53° (aqueous AcOH), and XIV hydrazide, m. 234-6° (75% aqueous EtOH). Coupling XI azide with L-glutaminyl-L-asparaginyl-S-benzyl-L-cysteinyl-L-prolyl-L-leucylglycine amide (XV) in HCONMe2 gave p-toluenesulfonyl-S-benzyl-L-cysteinyl-L- tyrosyl-L-cyclopentylglycyl-L-glutaminyl-L-asparaginyl-S-benzyl-L-cysteinyl-L-prolyl-L-leucylglycine amide, (XVI) m. 232-40° (HCONMe2-H2O), [α] 25 D -32.2° (c 0.32, HCONMe2). Similarly were prepared: p-toluenesulfonyl-S-benzyl-L-cysteinyl-L-tyrosyl-L- cyclohexylglycyl-L-glutaminyl-L-asparaginyl-S-benzyl-L-cysteinyl-L-prolyl- L-leucylglycine amide, m. 232-5° (HCONMe2-H2O), [α] 25 D -21.7° (c 0.31, HCONMe2), and D-isomer, m. 216-19° (HCONMe2-H2O), [α] 25 D -24.4° (c 0.35, HCONMe2). Treatment of IX in 0.5M NaHCO3 with ClCO2CH2Ph and 0.5M NaOH (to keep the pH at 9) gave the N-CO2CH2Ph analog of IX m. 75-7° (AcOEt-petroleum ether), [α] 25 D 0.85° (c 0.24, 98% AcOH), 0° (c 0.21; EtOH), [α] 25 350 -227° (c 0.21, EtOH). Treatment of XVI and tert-Bu carbazate with dicyclohexylcarbodiimide (DCC) in MeCN gave XVI tert-butyloxycarbonylhydrazide, m. 124-6° (AcOEt-petroleum ether). Treatment of XVI and p-O2NC6H4OH with DCC in AcOEt gave XVI p-nitrophenyl ester (XVII), m. 69-71° (Et2O-petroleum ether); D-isomer, m. 61-2° (Et2O-petroleum ether). XV (702 mg.) in 5.4 ml. HCONMe2 treated with 390 mg. XVII in 3.3 ml. AcOEt at 0° and the mixture kept 2 days at room temperature gave 646 mg. benzyloxycarbonyl-L-diethylalanyl-L- glutaminyl-L-asparaginyl-S-benzyl-L-cysteinyl-L-prolyl-L-leucylglycine amide hemihydrate, m. 229-31° (aqueous AcOH), [α] 25 D -48.9° (c 0.24, HCONMe2). This was kept in AcOH containing 35% HBr 30 min. at 37° to give 91% L-diethylalanyl-L-glutaminyl-L-asparaginyl- S-benzyl-L-cysteinyl-L-prolyl-L- leucylglycine amide (XVIII) hydrate, m. 238-42° (HCONMe2-H2O), [α] 25 D -31.8° (c 0.21, HCONMe2). The protecting groups of XVIII were removed by reduction with Na in liquid NH3 and the disulfide bridges were formed by oxidation with air to give XIX, [α] 25 D -31.6° (c 0.114, 1M AcOH). Similarly were prepared XX, [α] 25 D -3.7°, [α] 25 350 -10.4° (c 0.11, 1M AcOH), XXI, [α] 25 D -26.5° (c 0.1, 1M AcOH), and XXII, [α] 25 D -8.9° (c 0.09, 1M AcOH). [TABLE OMITTED] The isolation was performed by countercurrent distribution and freeze-drying. The free peptides were characterized by quant. amino acid analysis. XIX and XX showed a relatively high uterotonic, avian depressor, and galactobolic activity; XXI was less active and the activity of XXII was negligible.

IT **14979-14-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 14979-14-7 CAPLUS
CN Glycinamide, S-benzyl-N-p-tolylsulfonyl-L-cysteinyl-L-tyrosyl-L-2-
cyclopentylglycyl-L-glutaminyl-L-asparaginyl-S-benzyl-L-

cysteinyl-L-prolyl-
L-leucyl- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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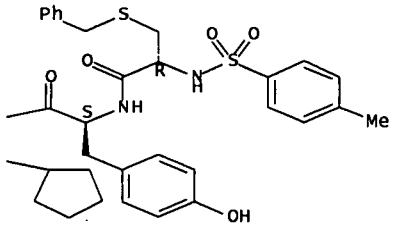


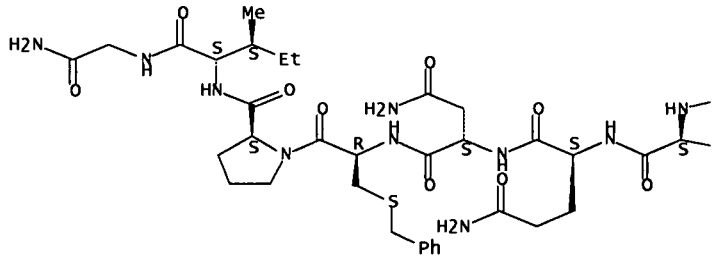
IT **14979-14-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 14979-14-7 CAPLUS
CN Glycinamide, S-benzyl-N-p-tolylsulfonyl-L-cysteinyl-L-tyrosyl-L-2-
cyclopentylglycyl-L-glutaminyl-L-asparaginyl-S-benzyl-L-cysteinyl-L-prolyl-
L-leucyl- (8CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 CYXQNCPLG

Absolute stereochemistry.

PAGE 1-A



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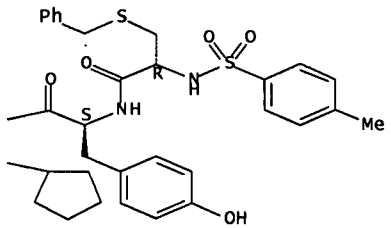

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L3 308369 S L1 FUL

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L5 0 S L4 AND PD<20000217

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L6 STRUCTURE UPLOADED
L7 38 S L6 SAM

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L10            33 S L9 AND PD<20000217
L11       2918396 S 10 AND PD<19990218
L12            32 S L10 AND PD<19990218

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Executing the logoff script...

=> LOG H

COST IN U.S. DOLLARS                            SINCE FILE
TOTAL
                                                     ENTRY
SESSION
FULL ESTIMATED COST                                304.08
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE
TOTAL
                                                     ENTRY
SESSION
CA SUBSCRIBER PRICE                                -22.40
22.40

 SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 11:38:04 ON 09 SEP 2004
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Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

LOGINID:ssspta1653adk

PASSWORD:
  * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'CAPLUS' AT 12:09:53 ON 09 SEP 2004
FILE 'CAPLUS' ENTERED AT 12:09:53 ON 09 SEP 2004
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

COST IN U.S. DOLLARS                            SINCE FILE
TOTAL
                                                     ENTRY
SESSION
FULL ESTIMATED COST                                304.08
486.87

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE
TOTAL
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SESSION
CA SUBSCRIBER PRICE                                -22.40      -
22.40

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COST IN U.S. DOLLARS                            SINCE FILE
TOTAL
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SESSION
FULL ESTIMATED COST                                304.08
486.87

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE
TOTAL
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CA SUBSCRIBER PRICE                                -22.40      -
22.40

FILE 'REGISTRY' ENTERED AT 12:10:10 ON 09 SEP 2004
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:     8 SEP 2004  HIGHEST RN 741635-85-8
DICTIONARY FILE UPDATES:    8 SEP 2004  HIGHEST RN 741635-85-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for
details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> s [gavl][-fwht][-fwhtp][gavl]/sqsp
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         62048 SQL=4
L14       5874 L13 AND SQL=4

=> d l14 1-5 seq

L14  ANSWER 1 OF 5874  REGISTRY  COPYRIGHT 2004 ACS on STN

SEQ      1 VXAL
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HITS AT:   1-4

L14  ANSWER 2 OF 5874  REGISTRY  COPYRIGHT 2004 ACS on STN

SEQ      1 LPNV
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HITS AT:   1-4

**RELATED SEQUENCES AVAILABLE WITH SEQLINK**

L14  ANSWER 3 OF 5874  REGISTRY  COPYRIGHT 2004 ACS on STN

SEQ      1 VPXV
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HITS AT:   1-4

**RELATED SEQUENCES AVAILABLE WITH SEQLINK**

L14  ANSWER 4 OF 5874  REGISTRY  COPYRIGHT 2004 ACS on STN

SEQ      1 GGGG
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HITS AT:   1-4

**RELATED SEQUENCES AVAILABLE WITH SEQLINK**

L14  ANSWER 5 OF 5874  REGISTRY  COPYRIGHT 2004 ACS on STN

SEQ      1 ARVA
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HITS AT:   1-4
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**RELATED SEQUENCES AVAILABLE WITH SEQLINK**


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TOTAL
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FULL ESTIMATED COST                                 55.04
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE
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FILE 'CAPLUS' ENTERED AT 12:14:56 ON 09 SEP 2004
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FILE COVERS 1907 - 9 Sep 2004  VOL 141 ISS 11
FILE LAST UPDATED: 8 Sep 2004  (20040908/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> d his

     (FILE 'HOME' ENTERED AT 10:50:19 ON 09 SEP 2004)

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L1             STRUCTURE UPLOADED
L2             50 S L1 SAM
L3         308369 S L1 FUL

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L4             44 S L2
L5              0 S L4 AND PD<20000217

     FILE 'REGISTRY' ENTERED AT 10:54:26 ON 09 SEP 2004
L6             STRUCTURE UPLOADED
L7             38 S L6 SAM

     FILE 'REGISTRY' ENTERED AT 11:34:50 ON 09 SEP 2004

     FILE 'CAPLUS' ENTERED AT 11:34:54 ON 09 SEP 2004
L8             47 S L7
L9             41 S L8 AND PD<20020312
L10            33 S L9 AND PD<20000217
L11       2918396 S 10 AND PD<19990218
L12            32 S L10 AND PD<19990218

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L14          5874 S L13 AND SQL=4

     FILE 'CAPLUS' ENTERED AT 12:14:56 ON 09 SEP 2004

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L16         3256 L15 AND PD<19990218

=> d l16 1-10 ibib abs hitseq

L16  ANSWER 1 OF 3256  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2004:414513  CAPLUS Full-text
DOCUMENT NUMBER:          140:419882
TITLE:                    Fluorescent peptide substrates for the
detection of
                          enzyme activity in biological samples
INVENTOR(S):              Packard, Beverly S.; Komoriya, Akira
PATENT ASSIGNEE(S):       OncoImmunin, Inc., USA
SOURCE:                   U.S. Pat. Appl. Publ., 114 pp., Cont.-in-
part of Appl.
                          No. PCT/US00/24882.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   5
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.
DATE
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     US 2004096926         A1    20040520    US 2001-874350
20010604
     US 6037137            A     20000314    US 1997-802981
19970220
     WO 9837226            A1    19980827    WO 1998-US3000
19980220 <--
         W:  AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU,
CZ, DE,
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KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
GA, GN, ML, MR, NE, SN, TD, TG

WO 2001018238 A1 20010315 WO 2000-US24882 20000911

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
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CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1997-802981 A2 19970220
WO 1998-US3000 A2 19980220
US 1999-394019 A2 19990910
WO 2000-US24882 A2 20000911

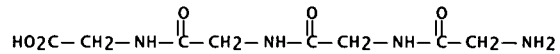
OTHER SOURCE(S): MARPAT 140:419882

AB The present invention provides for novel reagents whose fluorescence increases in the presence of particular proteases. The reagents comprise a characteristically folded peptide backbone conjugated to two fluorophores such that the fluorophores are located opposite sides of a cleavage site. When the folded peptide is cleaved, as by digestion with a protease, the fluorophores provide a high intensity fluorescent signal at a visible wavelength. Because of their high specificity and their high fluorescence signal in the visible wavelengths, these protease indicators are particularly well suited for detection of protease activity in biol. samples, in particular in frozen tissue sections. In one example, the protease indicator having the formula F1-Asp-Ala-Ile-Pro-Nle-Ser-Ile-Pro- Cys-F2, where F1 is a donor fluorophore (5-carboxytetramethylrhodamine) linked to aspartic acid via the α-amino group and F2 is an acceptor fluorophore (rhodamine X acetamide (R492)) linked via the sulfhydryl group of the cysteine, exhibits changes in emission spectrum after addn of an elastase protease. Thus this invention also provides for methods of detecting protease activity in situ in frozen sections.

IT 637-84-3
RL: PRP (Properties)
(unclaimed sequence; fluorescent peptide substrates for the detection of enzyme activity in biol. samples)

RN 637-84-3 CAPLUS
CN Glycine, glycylglycylglycyl- (9CI) (CA INDEX NAME)

SEQ 1 GGGG



L16 ANSWER 2 OF 3256 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:402748 CAPLUS Full-text
DOCUMENT NUMBER: 140:387555
TITLE: Photoresponsive bioelastomeric polypeptides which display inverse temperature transitions and are useful in transducing light energy with a change in hydrophobicity or polarity
INVENTOR(S): Urry, Dan W.; Tirrell, David A.; Heimbach, Catherine Jean
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 34 pp., Cont. of U.S. Ser. No. 32,373.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003166840	A1	20030904	US 2001-759947	20010112
US 5900405	A	19990504	US 1995-487594	19950607
WO 9723729	A1	19970703	WO 1996-US9776	19960607 <--

W: AU, CA, JP
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

EP 830509	A1	19980325	EP 1996-921441	19960607 <--
EP 830509.	B1	20010912		
R: DE, FR, GB				
JP 11508274	T2	19990721	JP 1996-519202	19960607
DE 69615179	T2	20020704	DE 1996-69615179	19960607
PRIORITY APPLN. INFO.:			US 1994-187441	B3 19940124
			US 1995-485426	B1 19950607
			US 1998-32373	B1 19980227
			US 1995-487594	A 19950607
			WO 1996-US9776	W 19960607

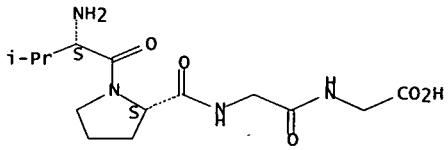
AB A bioelastomeric compn. is provided that expands or contracts upon a change in exposure to light energy that comprises a protein or protein-based polymeric material having an inverse temperature transition in the range of liquid water. At least a fraction of the monomers in the polymer contain an light energy-responsive group that undergoes a change in hydrophobicity or polarity upon a change in exposure to light energy and is present in an amount sufficient to provide a shift in the inverse temperature transition of the polymer upon the change in exposure to light energy. Compns. of the invention, including those further containing a side-chain chemical couple, can be used in a variety of different applications to produce mech. work, cause turbidity changes, cause chemical changes in an enclosed environment, or transduce other free energies by varying the exposure to light energy on the composition The degree and efficiency of mech. or chemical change can be controlled by, inter alia, selection of the type, amount, position, and mole fraction of the light energy-responsive side chain group and hydrophobic residues in the polymer. Thus, a copolypeptide comprising poly[0.68(VPGVG),0.32(VPGEG)] coupled via the glutamic acid residues to phenylazoaniline displays cis-trans isomerization on irradiation at 350 nm, and an inverse temperature transition sensitive to the configuration of the azobenzene chromophore: .apprx.32° for the trans form and .apprx.42° for the cis form when buffered at pH 4.1. Reversible photomodulation of the transition is achieved isothermally at 40°. Addnl. copolypeptides derivatized cinnamic acid, cinnamaldehyde, or spiropyran display inverse temperature transition responsive to irradiation with light in the visible, UV, or IR ranges.

IT 53356-54-0
RL: PRP (Properties)
(unclaimed sequence; photoresponsive bioelastomeric polypeptides which
display inverse temperature transitions and are useful in transducing light energy with a change in hydrophobicity or polarity)

RN 53356-54-0 CAPLUS
CN Glycine, L-valyl-L-prolylglycyl- (9CI) (CA INDEX NAME)

SEQ 1 VPGG

Absolute stereochemistry.



L16 ANSWER 3 OF 3256 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2003:688962 CAPLUS Full-text
DOCUMENT NUMBER:	139:208245
TITLE:	Mammalian activity-dependent neurotrophic factor III and its cDNA and methods for prevention of neuronal cell death
INVENTOR(S):	Gozes, Illana; Brenneman, Douglas E.; Bassan, Merav; Zamostiano, Rachel
PATENT ASSIGNEE(S):	Ramot University Authority for Applied Research and Industrial Development Ltd., Israel; The United States of America, Department of Health and Human Services
SOURCE:	U.S., 105 pp., Cont.-in-part of Appl. No. PCT/US98/02485. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6613740	B1	20030902	US 1998-187330	19981106
WO 9835042	A2	19980813	WO 1998-US2485	19980206 <--

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DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
GA, GN, ML, MR, NE, SN, TD, TG
WO 2000027875 A2 20000518 WO 1999-US26213 19991104
WO 2000027875 A3 20000727
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
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MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM
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CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1124960 A2 20010822 EP 1999-971817 19991104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO
US 2004053313 A1 20040318 US 2003-623272 20030717
PRIORITY APPLN. INFO.: US 1997-37404P P 19970207
US 1998-187330 A 19981106
WO 1998-US2485 A2 19980206
WO 1999-US26213 W 19991104
OTHER SOURCE(S): MARPAT 139:208245

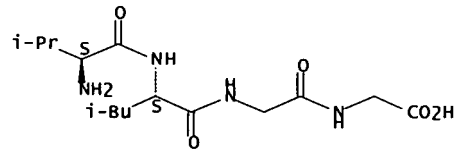
AB The present invention relates generally to Activity Dependent Neurotrophic Factor III (ADNF III), also known as Activity Dependent Neuroprotective Protein (ADNP). More particularly, the present invention relates to nucleic acid sequences encoding ADNF III polypeptides; ADNF III polypeptides encoded by such nucleic acid sequences; antibodies to ADNF III polypeptides; and methods of using such ADNF III polypeptides for the treatment of neurol. deficiencies and for the prevention of cell death associated with (1) gp120, the envelope protein from HIV; (2) N-methyl-D-aspartic acid (excito-toxicity); (3) tetrodotoxin (blockage of elec. activity); and (4) β-amyloid peptide, a substance related to neuronal degeneration in Alzheimer's disease. The mouse and human ADNF III cDNAs were cloned and sequenced. An ADNF III-derived octapeptide, NAPVSIPQ, mimicked the activity of the total protein in a neurodegeneration model system (ApoE-deficient homozygous mice) and a rat model of cholinergic deficiency. Claimed sequences are inadequately identified in the document.

IT 292146-85-1
RL: PRP (Properties)
(unclaimed protein sequence; mammalian activity-dependent neurotrophic factor III and its cDNA and methods for prevention of neuronal cell death)

RN 292146-85-1 CAPLUS
CN Glycine, L-valyl-L-leucylglycyl- (9CI) (CA INDEX NAME)

SEQ 1 VLGG

Absolute stereochemistry.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 3256 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:667404 CAPLUS Full-text
DOCUMENT NUMBER: 139:192555
TITLE: Promoter for telomerase reverse transcriptase and its diagnostic and therapeutic use
INVENTOR(S): Morin, Gregg B.; Andrews, William H.
PATENT ASSIGNEE(S): Geron Corporation, USA
SOURCE: U.S., 202 pp., Cont.-in-part of U.S. Ser. No. 912,951.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6610839	B1	20030826	US 1999-402181	19990929
US 6475789	B1	20021105	US 1997-912951	19970814
WO 9814593	A2	19980409	WO 1997-US17885	19971001 <--
WO 9814593	A3	19990218		
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RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 763956	B2	20030807	AU 2001-47992	20010523
US 2003204069	A1	20031030	US 2002-325810	20021220
PRIORITY APPLN. INFO.:			US 1997-911312	B2 19970814
			US 1997-912951	A2 19970814
			US 1997-915503	B2 19970814
			WO 1997-US17885	W 19971001
			US 1996-724643	B2 19961001
			US 1997-844419	B2 19970418
			US 1997-846017	B2 19970425
			US 1997-851843	A2 19970506
			US 1997-845050	A2 19970509
			US 1997-854050	A 19970509
			AU 1997-48073	A 19971001
			US 1999-402181	A1 19990929

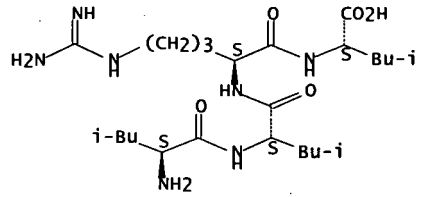
AB The invention provides compns. and methods related to human telomerase reverse transcriptase (hTRT), the catalytic protein subunit of human telomerase. Genomic, cDNA, and encoded amino acid sequences are provided for hTRT from a protozoan (Euplotes aediculatus), Schizosaccharomyces pombe, Tetrahymena thermophila, and human. The human hTRT promoter can be used in recombinant vectors for the expression of proteins toxic to cells expressing hTRT, thereby rendering the cell more susceptible to toxicity of drugs. The polynucleotides and polypeptides of the invention are useful for diagnosis, prognosis and treatment of human diseases, for changing the proliferative capacity of cells and organisms, and for identification and screening of compds. and treatments useful for treatment of diseases such as cancers.

IT 315195-82-5
RL: PRP (Properties)
(unclaimed sequence; promoter for telomerase reverse transcriptase and its diagnostic and therapeutic use)

RN 315195-82-5 CAPLUS
CN L-Leucine, L-leucyl-L-leucyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 LLRL

Absolute stereochemistry.



REFERENCE COUNT: 193 THERE ARE 193 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 5 OF 3256 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:545684 CAPLUS Full-text
DOCUMENT NUMBER: 139:106414
TITLE: Sequences of porcine reproductive and respiratory syndrome virus (prrsv) proteins and use in immunization
INVENTOR(S): Paul, Prem S.; Meng, Xiang-Jin; Halbur, Patrick; Morozov, Igor; Lum, Melissa A.
PATENT ASSIGNEE(S): Iowa State University Research Foundation, Inc., USA; American Cyanamid Company

SOURCE: U.S., 124 pp., Cont.-in-part of U.S. Ser. No. 131,625.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6592873	B1	20030715	US 1994-301435	19940901
US 5695766	A	19971209	US 1993-131625	19931005 <--
US 6251397	B1	20010626	US 1995-478316	19950607
CA 2198461	AA	19960307	CA 1995-2198461	19950901 <--
WO 9606619	A1	19960307	WO 1995-US10904	19950901 <--
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 776209	A1	19970604	EP 1995-932336	19950901 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 6380376	B1	20020430	US 1998-19793	19980206
US 6773908	B1	20040810	US 2000-601326	20000925
AU 771883	B2	20040408	AU 2001-68774	20010906
US 2002168379	A1	20021114	US 2002-104019	20020325
US 2003138442	A9	20030724		
US 2003186225	A1	20031002	US 2003-428826	20030505

PRIORITY APPLN. INFO.:		
US 1992-969071	B2	19921030
US 1993-131625	A2	19931005
US 1994-301435	A2	19940901
US 1995-478316	A2	19950607
WO 1995-US10904	W	19950901
US 1998-19793	A2	19980206
AU 1998-78586	A3	19980729
WO 1999-US2630	W	19990208
US 2000-601326	A3	20000925

AB The present invention provides a purified prepn. contg. a polynucleic acid encoding at least one polypeptide selected from the group consisting of proteins encoded by one or more open reading frames (ORF's) of an Iowa strain of porcine reproductive and respiratory syndrome virus (PRRSV), proteins at least 80% but less than 100% homologous with those encoded by one or more of ORF 2, ORF 3, ORF 4 and ORF 5 of an Iowa strain of PRRSV, proteins at least 97% but less than 100% homologous with proteins encoded by one or both of ORF 6 and ORF 7 of an Iowa strain of PRRSV, antigenic regions of such proteins which are at least 5 amino acids in length and which effectively stimulate immunol. protection in a porcine host against a subsequent challenge with a PRRSV isolate, and combinations thereof, in which amino acids non-essential for antigenicity may be conservatively substituted. The present invention also concerns a polypeptide encoded by such a polynucleic acid; a vaccine comprising an effective amount of such a polynucleic acid or protein; antibodies which specifically bind to such a polynucleic acid or protein; methods of producing the same; and methods of raising an effective immunol. response against a PRRSV, treating a pig infected by a PRRSV, and detecting a PRRSV.

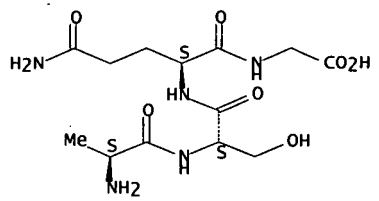
IT 557771-51-4
RL: PRP (Properties)
(unclaimed sequence; sequences of porcine reproductive and respiratory syndrome virus (prrsv) proteins and use in immunization)

RN 557771-51-4 CAPLUS

CN Glycine, L-alanyl-L-seryl-L-glutaminyl- (9CI) (CA INDEX NAME)

SEQ 1 ASQG

Absolute stereochemistry.



REFERENCE COUNT: 166 THERE ARE 166 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 6 OF 3256 CAPLUS

ACCESSION NUMBER: 2003:507642 CAPLUS Full-text
DOCUMENT NUMBER: 139:81311
TITLE: Recombinant production and purification of human neutrophil protease prepro-PR-3 and its proteolytic processing and use for screening inhibitors of release of TNFα
INVENTOR(S): Halenbeck, Robert F.; Kriegler, Michael; Perez, Carl; Jewell, David A.; Koths, Kirston E.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: U.S., 53 pp., Cont.-in-part of U. S. Ser. No. 230,428.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6586222	B1	20030701	US 1995-395456	19950228
AU 9059400	A1	19910403	AU 1990-59400	19900608 <--
EP 491878	A1	19920701	EP 1990-917939	19900608 <--
EP 491878	B1	19970219		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04507044	T2	19921210	JP 1990-509543	19900608 <--
JP 2930713	B2	19990803		
EP 750037	A2	19961227	EP 1996-202206	19900608 <--
EP 750037	A3	19970115		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
NO 9200593	A	19920319	NO 1992-593	19920214 <--
US 5998378	A	19991207	US 1994-230428	19940419
CA 2185162	AA	19950914	CA 1995-2185162	19950302 <--
WO 9524501	A1	19950914	WO 1995-US2513	19950302 <--
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9519364	A1	19950925	AU 1995-19364	19950302 <--
AU 709054	B2	19990819		
EP 749494	A1	19961227	EP 1995-912005	19950302 <--
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JP 10504441	T2	19980506	JP 1995-523506	19950302 <--
US 6599706	B1	20030729	US 1995-487453	19950607
NO 9603726	A	19961031	NO 1996-3726	19960906 <--

PRIORITY APPLN. INFO.: US 1989-395253 B2 19890816
US 1992-905546 B2 19920625
US 1994-208574 B2 19940307
US 1994-230428 A2 19940419
EP 1990-917939 A3 19900608
WO 1990-US3266 A 19900608
US 1995-394600 A 19950227
US 1995-395456 A 19950228
WO 1995-US2513 W 19950302
US 1999-395253 A2 19990816

OTHER SOURCE(S): MARPAT 139:81311

AB Methods and materials are disclosed for the prodn. of purified, active recombinant human neutrophil protease, PR-3 (also known as myeloblastin), via activation of the prepro- and pro-forms. PR-3 is cloned by transfecting Sf9 insect cells with a baculovirus vector and purified to >95% purity with an endotoxin content of <20 ng/mg PR-3 and a specific activity of .apprx.30 μmoles/min/mg PR-3 as assayed on Boc-Ala-ONP at pH 7.5 at 25°. Human PR-3 is useful for discovering inhibitors of excessive release of mature, active TNFα. Also disclosed are methods for the identification of inhibitors of the conversion of the pro-form of TNFα to its mature active form.

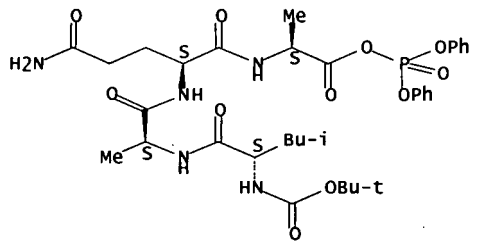
IT 170156-30-6
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibition of PR-3 by; recombinant production and purification of human neutrophil protease prepro-PR-3 and its proteolytic processing and use for screening inhibitors of release of TNFα)

RN 170156-30-6 CAPLUS
CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl-L-alanyl-L-glutaminyl-, anhydride with diphenyl hydrogen phosphate (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 LAQA

Absolute stereochemistry.



REFERENCE COUNT: 180 THERE ARE 180 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 7 OF 3256 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:319378 CAPLUS Full-text
DOCUMENT NUMBER: 138:343951
TITLE: Structures useful for bone engineering
INVENTOR(S): Bhatnagar, Rajendra S.; Qian, Jing Jing
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 10 pp., Cont.-in-part of U.S. Ser. No. 561,554, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003077825	A1	20030424	US 2002-133289	20020425
US 5635482	A	19970603	US 1994-278878	19940722 <--
US 5958428	A	19990928	US 1997-859610	19970520
US 6268348	B1	20010731	US 1999-328347	19990608
US 2002037853	A1	20020328	US 2001-816737	20010323
WO 2001082773	A2	20011108	WO 2001-US10404	20010329
WO 2001082773	A3	20020131		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

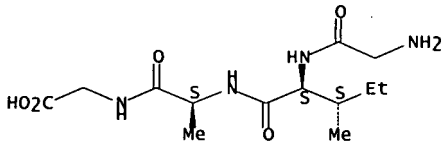
PRIORITY APPLN. INFO.:
US 1994-278878 A3 19940722
US 1997-859610 A1 19970520
US 1999-328347 A1 19990608
US 2000-561554 B1 20000428
US 2001-816737 A2 20010323
US 1989-393621 B2 19890814
US 1991-804782 A2 19911209

AB A bone repair app. is provided where a biol. compatible structure has a compound carried on the structure that mimics collagen binding to cells. Living cells derived from fibroblasts are carried on the structure and display at least one morphol. change consistent with an osteogenic phenotype. The preferred method for practicing the invention includes harvesting a quantity of fibroblasts from a patient in need of a bone graft, growing the tissue under cell growth conditions, and seeding at least some cells of the cultured tissue on the biol. compatible structure with the collagen mimic thereon. The culture tissue cells are seeded on the structure and incubated under cell growth conditions, which results in the differentiation of the cells to bone-like cells and thus provides a tissue engineered apparatus ready for use as a bone graft. The peptide P-15, GTPGPQGIAGQRVV was synthesized by a solid phase procedure. The P-15 was adsorbed on bovine bone derived porous ABM (bovine bone mineral) in a particulate form with a particle size of 250-420 μm and the binding of cells to ABM-P-15 was proportional to the amount of adsorbed P-15. There is a marked increase in the expression of type I collagen in dermal fibroblasts growing on ABM-P-15 matrixes. Marked stimulation of alkaline phosphatase gene expression in HA-P-15 cultures is consistent with the induction of a bone-like phenotype.

IT 136266-47-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structures useful for bone engineering)
RN 136266-47-2 CAPLUS
CN Glycine, N-[N-(N-glycyl-L-isoleucyl)-L-alanyl]- (9CI) (CA INDEX NAME)

SEQ 1 GIAG

Absolute stereochemistry.



L16 ANSWER 8 OF 3256 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:113343 CAPLUS Full-text
DOCUMENT NUMBER: 138:164723
TITLE: Vectors encoding chimeric fusion cytotoxins (IL-13
fused to mutant forms of exotoxin A), and ability of
cytotoxins to bind and inhibit IL-13 receptor bearing
tumor cells
INVENTOR(S): Puri, Raj K.; Debinski, Waldemar; Pastan, Ira; Obiri,
Nicholas
PATENT ASSIGNEE(S): The United States of America as Represented by the
Department of Health and Human Services, USA
SOURCE: U.S., 26 pp., Cont.-in-part of U.S. 5,614,191.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

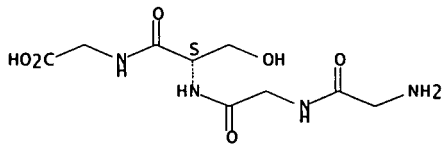
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6518061	B1	20030211	US 1998-913370	19980217
US 5614191	A	19970325	US 1995-404685	19950315 <--
WO 9629417	A1	19960926	WO 1996-US3486	19960315 <--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 2003129132	A1	20030710	US 2002-318608	20021213
PRIORITY APPLN. INFO.:			US 1995-404685	A2 19950315
			WO 1996-US3486	W 19960315
			US 1998-913370	A1 19980217

AB The invention provides genetic vectors contg. nucleic acid mols. encoding chimeric fusion proteins (cytotoxins) composed of human interleukin 13 or circularly permutated IL-13 (cpIL-13) attached to toxins, such as mutant forms Pseudomonas aeruginosa exotoxin A (PE38QQR or PE4E). The invention also provides host cells transformed with said vectors. The invention relates that said chimeric fusion proteins (IL-13-PE38QQR, cpIL-13-PE38QQR, IL-13-PE4E and cpIL-13-PE4E) are able to bind tumor cells bearing IL-13 receptors. The invention further provides a composition comprising a pharmaceutical acceptable carrier and said chimeric proteins. The invention is based in part on the discovery that certain tumor cells, such as renal cell carcinoma (RCC) overexpress IL-13 receptors, and on the premise that specific vectors encoding the IL-13-PE fusion proteins can be used to target such cells. The invention demonstrated that various cancer cell lines, including RCC cell lines, glioma cell lines, Kaposi's sarcoma cell lines, and/or neural cancer cell lines were sensitive to said chimeric proteins resulting in growth inhibition or cytotoxicity of cells.

IT 496847-20-2
RL: PRP (Properties)
(unclaimed sequence; vectors encoding chimeric fusion cytotoxins (IL-13
fused to mutant forms of exotoxin A), and ability of cytotoxins to bind
and inhibit IL-13 receptor bearing tumor cells)
RN 496847-20-2 CAPLUS
CN Glycine, glycylglycyl-L-seryl- (9CI) (CA INDEX NAME)

SEQ 1 GGSG

Absolute stereochemistry.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 9 OF 3256 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:92421 CAPLUS Full-text
DOCUMENT NUMBER: 138:147747
TITLE: The γ-carboxyglutamic acid containing conantokins and therapeutic use
INVENTOR(S): Abogadie, Fe C.; Cruz, Lourdes J.; Olivera, Baldomero M.; Walker, Craig; Colledge, Clark; Hillyard, David R.; Jimenez, Elsie; Layer, Richard T.; Zhou, Li-Ming; Shen, Gregory S.; McCabe, R. Tyler; Rivier, Jean E.
PATENT ASSIGNEE(S): University of Utah Research Foundation, USA; Cognetix, Inc.; Salk Institute
SOURCE: U.S., 69 pp., Cont.-in-part of U.S. Ser. No. 684,742, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6515103	B1	20030204	US 2000-142080	20000511
WO 9803541	A1	19980129	WO 1997-US12618	19970721 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 2003194729	A1	20031016	US 2003-357467	20030204
PRIORITY APPLN. INFO.:			US 1996-684742	B2 19960722
			WO 1997-US12618	W 19970721
			US 2000-142080	A3 20000511

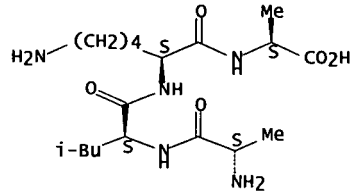
OTHER SOURCE(S): MARPAT 138:147747

AB The present invention provides sequences of conantokins, having 10-30 amino acids, including preferably two or more γ-carboxyglutamic acid residues. The conantokins are useful for the treatment of neurol. and psychiatric disorders, such as anticonvulsant agents, neuroprotective agents or analgesic agents.

IT 202984-85-8P 202984-89-2P 202984-91-6P 202984-96-1P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(conantokin sequence; γ-carboxyglutamic acid containing conantokins and therapeutic use)

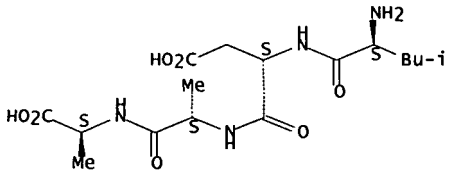
RN 202984-85-8 CAPLUS
CN L-Alanine, L-alanyl-L-leucyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



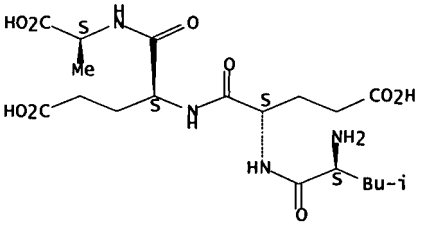
RN 202984-89-2 CAPLUS
CN L-Alanine, L-leucyl-L-α-aspartyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



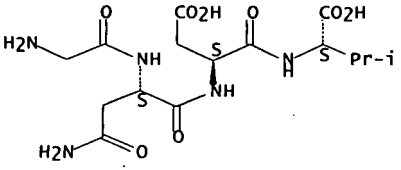
RN 202984-91-6 CAPLUS
CN L-Alanine, L-leucyl-L-α-glutamyl-L-α-glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 202984-96-1 CAPLUS
CN L-Valine, glycyl-L-asparaginyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

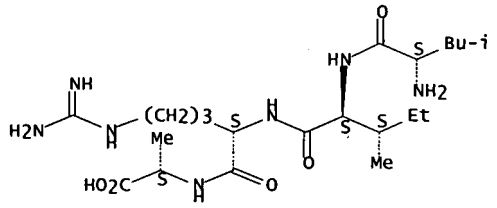
Absolute stereochemistry.



IT 202984-75-6
RL: PRP (Properties)
(unclaimed sequence; γ-carboxyglutamic acid containing conantokins and therapeutic use)
RN 202984-75-6 CAPLUS
CN L-Alanine, L-leucyl-L-isoleucyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 LIRA

Absolute stereochemistry.



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 10 OF 3256 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:845512 CAPLUS Full-text
DOCUMENT NUMBER: 137:347555
TITLE: cDNA encoding human telomerase reverse transcriptase and its potential use in diagnosis and treatment of cancer
INVENTOR(S): Cech, Thomas R.; Lingner, Joachim; Nakamura, Toru; Chapman, Karen B.; Morin, Gregg B.; Harley, Calvin B.; Andrews, William H.
PATENT ASSIGNEE(S): University Technology Corporation, USA; Geron Corporation
SOURCE: U.S., 234 pp., Cont.-in-part of U.S. 5,743,518.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6475789	B1	20021105	US 1997-912951	--

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US 6093809 A 20000725 US 1997-851843
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GB 2317891 A1 19980408 GB 1997-20890
19971001 <--
GB 2317891 B2 19980819
WO 9814592 A2 19980409 WO 1997-US17618
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AU 9748036 A1 19980424 AU 1997-48036
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AU 9748073 A1 19980424 AU 1997-48073
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AU 734089 B2 20010607
EP 841396 A1 19980513 EP 1997-307757
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FR 2757177 A1 19980619 FR 1997-12217
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GB 2321642 A1 19980805 GB 1998-4859
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DE 19743497 A1 19980820 DE 1997-19743497
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CH 689672 A 19990813 CH 1997-2312
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EP 1333094 A2 20030806 EP 2003-75454
19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, AL
AT 245194 E 20030815 AT 1997-307757
19971001
ES 2205132 T3 20040501 ES 1997-307757
19971001
US 6166178 A 20001226 US 1997-974549
19971119
US 6444650 B1 20020903 US 1998-52919
19980331
FI 9900655 A 19990324 FI 1999-655
19990324
MX 9902841 A 20000331 MX 1999-2841

19990325				
NO 9901588	A	19990531	NO 1999-1588	
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KR 2000048820	A	20000725	KR 1999-702815	
19990401				
KR 2000048839	A	20000725	KR 1999-702838	
19990401				
US 6610839	B1	20030826	US 1999-402181	
19990929				
US 6617110	B1	20030909	US 2000-721456	
20001124				
AU 763956	B2	20030807	AU 2001-47992	
20010523				
US 2002173476	A1	20021121	US 2001-953052	
20010914				
US 6627619	B2	20030930		
US 2003096344	A1	20030522	US 2002-44692	
20020111				
US 2003100093	A1	20030529	US 2002-44539	
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US 2003204069	A1	20031030	US 2002-325810	
20021220				
US 2004072787	A1	20040415	US 2003-674836	
20030929				
PRIORITY APPLN. INFO.:			US 1996-724643	B2
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			US 1997-915503	A
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19971001				
			GB 1997-20890	A3
19971001				
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			NZ 1997-334709	A1
19971001				
			WO 1997-US17618	W
19971001				
			WO 1997-US17885	W
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			US 1997-974549	A2
19971119				
			US 1997-974584	A2
19971119				
			US 1997-979742	B2
19971126				
			US 1998-42460	A2
19980316				
			US 1998-52919	A3
19980331				
			US 1999-244438	A1
19990204				
			US 1999-402181	A1
19990929				

AB The invention provides compns. and methods related to human telomerase reverse transcriptase (hTRT), the catalytic protein subunit of human telomerase. The polynucleotides and polypeptides of the invention are useful for diagnosis, prognosis, and treatment of human diseases, for changing the proliferative capacity of cells and organisms, and for identification and screening of compds. and treatments useful for treatment of diseases such as cancers.

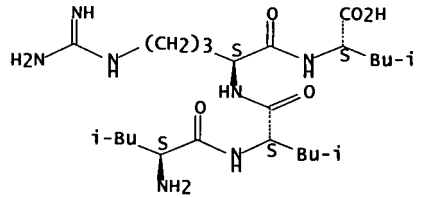
IT 315195-82-5
RL: PRP (Properties)
(Unclaimed; cDNA encoding human telomerase reverse transcriptase and its potential use in diagnosis and treatment of cancer)

RN 315195-82-5 CAPLUS

CN L-Leucine, L-leucyl-L-leucyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 LLRL

Absolute stereochemistry.



REFERENCE COUNT: 138 THERE ARE 138 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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           513 PATEN
                 (PATEN OR PATENS)
L17          0 L16 AND PATEN

=> l16 and patent
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          8924 PATENTS
         27579 PATENT
                 (PATENT OR PATENTS)
L18          3 L16 AND PATENT

=> l16 and dt=patent
NUMERIC VALUE NOT VALID 'PATENT'
Numeric values may contain 1-8 significant figures.  If range
notation
is used, both the beginning and the end of the range must be
specified, e.g., '250-300/MW'.  Expressions such as '250-/MW' are not
allowed.  To search for values above or below a given number, use the
>, =>, <, or <= operators, e.g., 'MW => 250'.  Text terms cannot be
used in numeric expressions.  If you specify a unit, it must be
dimensionally correct for that field code.  To see the unit
designations for field codes in the current file, enter "DISPLAY UNIT
ALL" at an arrow prompt (=>).

=> l16 and patent/dt
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L19         441 L16 AND PATENT/DT

=> l16 not l19
L20         2815 L16 NOT L19

=> d his

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L1              STRUCTURE UPLOADED
L2            50 S L1 SAM
L3        308369 S L1 FUL

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L4            44 S L2
L5             0 S L4 AND PD<20000217

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L6              STRUCTURE UPLOADED
L7            38 S L6 SAM

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L9            41 S L8 AND PD<20020312
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L11      2918396 S 10 AND PD<19990218
L12           32 S L10 AND PD<19990218

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L14         5874 S L13 AND SQL=4

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L15         4169 S L14
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L18            3 L16 AND PATENT
L19          441 L16 AND PATENT/DT
L20         2815 L16 NOT L19

=> d l20 1-10 ibib abs hitseq

L20  ANSWER 1 OF 2815  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2000:784096  CAPLUS Full-text
DOCUMENT NUMBER:          134:116212
TITLE:                    Modeling the conformation of polyphenols and
their
                          complexation with polypeptides: Self-
association of
                          catechin and its complexation with L-proline
glycine
                          oligomers
AUTHOR(S):                Tobiason, Fred L.; Hemingway, Richard W.;
Vergoten,
                          Gerard
CORPORATE SOURCE:         Department of Chemistry, Pacific Lutheran
University,
                          Tacoma, WA, 98447, USA
SOURCE:                   Basic Life Sciences (1999), 66(Plant
                          Polyphenols 2), 527-544
                          CODEN: BLFSBY; ISSN: 0090-5542
PUBLISHER:                Kluwer Academic/Plenum Publishers
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
AB    The computational models for mols. such as L-prolyl-glycine and
      glycyl-L-prolylglycyl-glycine ion (GPGG ion) interacting with
      (+)-catechin and (+)-catechin-(4a→8)-(+)-catechin (B3) to form
      complexes were explored.  These results are compared to the
      close-contact positions obtained from NOE NMR expts. in aqueous
      solution  The complex structures found using conformational
      search methods are discussed in terms of the specific
      hydrophobic and hydrophilic interactions observed
IT    321349-65-9 321349-67-1
      RL: PRP (Properties)
         (conformation of complexes of catechin with proline glycine
oligomers)
RN    321349-65-9  CAPLUS
CN    Glycine, glycyl-L-prolylglycyl-, compd. with (2R,3S)-2-(3,4-
      dihydroxyphenyl)-3,4-dihydro-2H-1-benzopyran-3,5,7-triol (1:1)
(9CI)  (CA
      INDEX NAME)
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NTE modified (modifications unspecified)

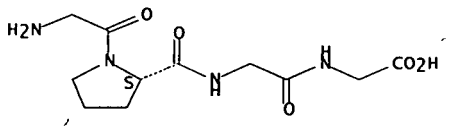
SEQ 1 GPGG

CM 1

CRN 13054-03-0
CMF C11 H18 N4 O5

SEQ 1 GPGG

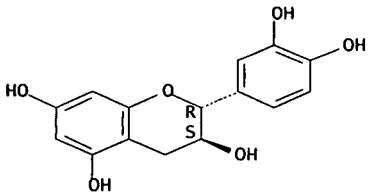
Absolute stereochemistry.



CM 2

CRN 154-23-4
CMF C15 H14 O6

Absolute stereochemistry. Rotation (+).



RN 321349-67-1 CAPLUS
CN Glycine, glycyl-L-prolylglycyl-, compd. with (2R,2'R,3S,3'S,4S)-2,2'-
bis(3,4-dihydroxyphenyl)-3,3',4,4'-tetrahydro[4,8'-bi-2H-1-benzopyran]-
3,3',5,5',7,7'-hexol (1:1) (9CI) (CA INDEX NAME)

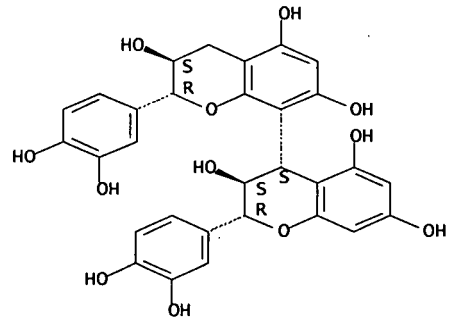
NTE modified (modifications unspecified)

SEQ 1 GPGG

CM 1

CRN 23567-23-9
CMF C30 H26 O12

Absolute stereochemistry. Rotation (-).

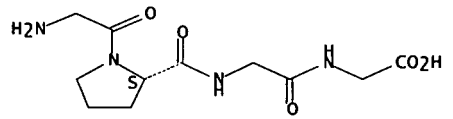


CM 2

CRN 13054-03-0
CMF C11 H18 N4 O5

SEQ 1 GPGG

Absolute stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 2815 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:473249 CAPLUS Full-text
DOCUMENT NUMBER: 133:346293

TITLE: A method for assaying deubiquitinating enzymes
AUTHOR(S): Lee, Jae II; Woo, Seung Kyoon; Kim, Keun II; Park, Kyung Chan; Baek, Sung Hee; Yoo, Yung Joon; Chung, Chin Ha
CORPORATE SOURCE: Department of Molecular Biology and Research Center for Cell Differentiation, College of Natural Sciences, Seoul National University, Seoul, 151-742, S. Korea
SOURCE: Biological Procedures Online [online computer file] (1998), 1(1), No pp. given
CODEN: BLPOF8; ISSN: 1480-9222
URL: http://www.science.uwaterloo.ca/bpo/1/11/a11.pdf
PUBLISHER: Biological Procedures Online
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English

AB A general method for the assay of deubiquitinating enzymes was described in detail using 125I-labeled ubiquitin-fused αNH-MHISPPEPESEEEEEHYC (referred to as Ub-PESTc) as a substrate. Since the tyrosine residue in the PESTc portion of the fusion protein was almost exclusively radioiodinated under a mild labeling condition, such as using IODO-BEADS, the enzymes could be assayed directly by simple measurement of the radioactivity released into acid soluble products. Using this assay protocol, we could purify six deubiquitinating enzymes from chick skeletal muscle and yeast and compare their specific activities. Since the exts. of E. coli showed little or no activity against the substrate, the assay protocol should be useful for identification and purification of eukaryotic deubiquitinating enzymes cloned and expressed in the cells.

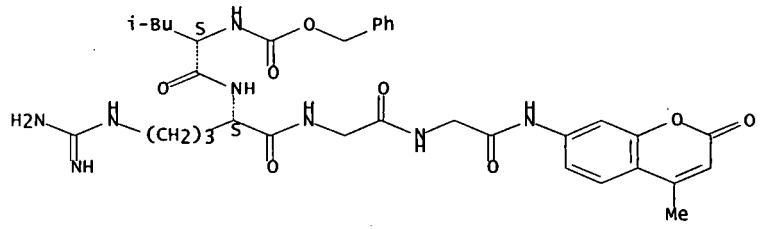
IT 167698-68-2
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
(a method for assaying deubiquitinating enzymes)

RN 167698-68-2 CAPLUS
CN Glycinamide, N-[(phenylmethoxy)carbonyl]-L-leucyl-L-arginylglycyl-N-(4-methyl-2-oxo-2H-1-benzopyran-7-yl)- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 LRGG

Absolute stereochemistry.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 2815 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:288578 CAPLUS Full-text
DOCUMENT NUMBER: 133:120640
TITLE: Study on the cyclization tendency of tetrapeptides containing N-alkylated alanines
AUTHOR(S): Besser, Diana; Olender, Roberto; Rosenfeld, Rakefet; Reissmann, Siegmund
CORPORATE SOURCE: Institute of Biochemistry and Biophysics, Friedrich-Schiller-University of Jena, Jena, 07743, Germany
SOURCE: Peptides 1998, Proceedings of the European Peptide Symposium, 25th, Budapest, Aug. 30-Sept. 4, 1998 (1999), Meeting Date 1998, 484-485.
Editor(s): Bajusz, Sandor; Hudecz, Ferenc. Akademiai Kiado: Budapest, Hung.
CODEN: 68WKAY
DOCUMENT TYPE: Conference
LANGUAGE: English

AB A symposium report. Linear tetrapeptide nitrophenyl esters, contg. Nα-(carboxymethyl)alanine at position 1 and Nα-(aminoethyl)alanine at position 4, were synthesized via solid-phase synthesis. Cyclization for these peptide esters was studied in solution and their cyclization rates were obtained.

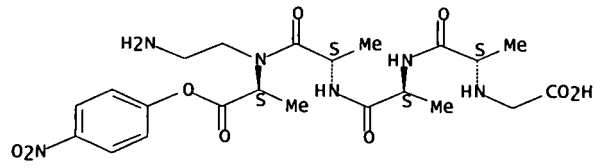
IT 284666-66-6P 284666-67-7P 284666-69-9P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization tendencies of tetrapeptides containing N-alkylated alanines)

RN 284666-66-6 CAPLUS
CN L-Alanine, N-(carboxymethyl)-L-alanyl-L-alanyl-L-alanyl-N-(2-aminoethyl)-,
4-(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 AAAA

Absolute stereochemistry.

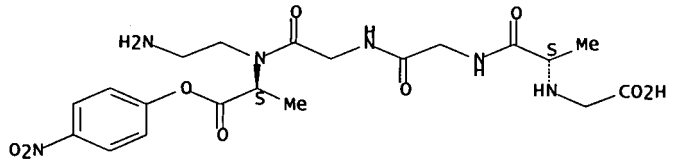


RN 284666-67-7 CAPLUS
CN L-Alanine, N-(carboxymethyl)-L-alanylglycylglycyl-N-(2-aminoethyl)-,
4-(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 AGGA

Absolute stereochemistry.

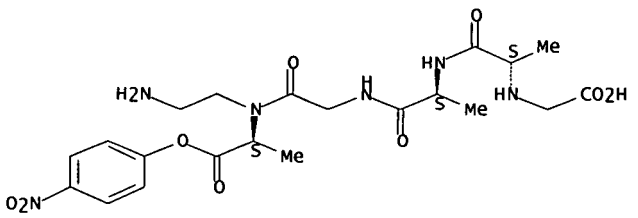


RN 284666-69-9 CAPLUS
CN L-Alanine, N-(carboxymethyl)-L-alanyl-L-alanylglycyl-N-(2-aminoethyl)-,
4-(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 AAGA

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 2815 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:288575 CAPLUS Full-text
DOCUMENT NUMBER: 134:1500
TITLE: Relationship between structure and phytotoxic activity of cyclic tetradepsipeptides, AM-toxin analogs
AUTHOR(S): Miyashita, Masahiro; Nakamori, Tomoko; Murai, Takahiro; Miyagawa, Hisashi; Akamatsu, Miki; Ueno, Tamio
CORPORATE SOURCE: Graduate School of Agriculture, Kyoto University, Kyoto, 606-8502, Japan
SOURCE: Peptides 1998, Proceedings of the European Peptide Symposium, 25th, Budapest, Aug. 30-Sept. 4, 1998 (1999), Meeting Date 1998, 478-479.
Editor(s): Bajusz, Sandor; Hudecz, Ferenc. Akademiai Kiado: Budapest, Hung.
CODEN: 68WKAY
DOCUMENT TYPE: Conference
LANGUAGE: English
AB In this study, an AM-toxin I analog in which the L-Ala residue was replaced by β-Ala as well as analogs in which a Gly residue was inserted at either terminus of L-Ala were synthesized and their structure-activity relationship was examined The mol. hydrophobicity of these AM-toxin analogs was also determined in terms of the partition coefficient in a 1-octanol/water system and the HPLC retention time, in order to evaluate the effect of the penetration of the compds. through cell membranes of apple leaves. In conclusion, the AM-toxin I analogs having an

extended backbone-ring structure were synthesized and the effect of the structure on necrotic activity was investigated. The fact these compds. had the much lower activity than AM-toxin I suggests that the backbone structure of AM-toxin I is important for activity.

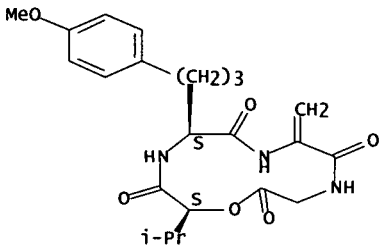
IT 308341-40-4P
RL: ADV (Adverse effect, including toxicity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(relationship between structure and phytotoxic activity of cyclic tetradepsipeptides, AM-toxin analogs)

RN 308341-40-4 CAPLUS
CN 1-Oxa-4,7,10-triazacyclododecane-2,5,8,11-tetrone, 9-[3-(4-methoxyphenyl)propyl]-6-methylene-12-(1-methylethyl)-, (9S,12S)-(9CI)
(CA INDEX NAME)

NTE cyclic
modified (modifications unspecified)

SEQ 1 AGXX

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 2815 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:288538 CAPLUS Full-text
DOCUMENT NUMBER: 133:17814
TITLE: Synthesis, conformation and interaction of peptides containing 9-aminofluorene-9-carboxylic acid
AUTHOR(S): Yamada, Takashi; Makihira, Kazunari; Suzuki, Satomi; Yanagihara, Ryoji; Miyazawa, Toshifumi
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Konan University, Kobe, 658-8501, Japan
SOURCE: Peptides 1998, Proceedings of the European Peptide Symposium, 25th, Budapest, Aug. 30-Sept. 4, 1998 (1999), Meeting Date 1998, 404-405.
Editor(s): Bajusz, Sandor; Hudecz, Ferenc. Akademiai Kiado: Budapest, Hung.
CODEN: 68WKAY
DOCUMENT TYPE: Conference
LANGUAGE: English

AB A symposium report. We report the synthesis of peptides contg. 9-aminofluorene-9-carboxylic acid (Afc), in order to compare them with α,α-diphenylglycine-containing peptides. Afc has a rigid planar side chain in which two Ph groups are forced to be coplanar.

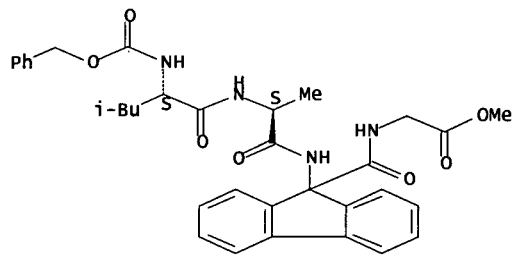
IT 256381-25-6P 256381-26-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis, conformation and interaction of peptides containing aminofluorenecarboxylic acid)

RN 256381-25-6 CAPLUS
CN Glycine, N-[(phenylmethoxy)carbonyl]-L-leucyl-L-alanyl-9-amino-9H-fluorene-9-carbonyl-, methyl ester (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 LAXG

Absolute stereochemistry.



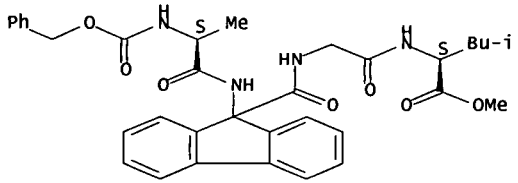
RN 256381-26-7 CAPLUS
CN L-Leucine, N-[(phenylmethoxy)carbonyl]-L-alanyl-9-amino-9H-fluorene-9-

carbonylglycyl-, methyl ester (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 AXGL

Absolute stereochemistry.



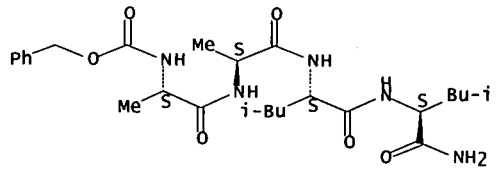
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 2815 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:288402 CAPLUS Full-text
DOCUMENT NUMBER: 132:347920
TITLE: Peptide synthesis catalyzed by subtilisin and
thermolysin in organic solvents
AUTHOR(S): Getun, Irina V.; Filippova, Irina Yu.; Lysogorskaya,
Elena N.; Anisimova, Veronika V.; Oksenoit, Elena S.;
Bacheva, Anna V.; Stepanov, Valentin M.
CORPORATE SOURCE: Department of Chemistry, Lomonosov Moscow State
University, Russia
SOURCE: Peptides 1998, Proceedings of the European Peptide
Symposium, 25th, Budapest, Aug. 30-Sept. 4, 1998 (
1999), Meeting Date 1998, 132-133.
Editor(s): Bajusz, Sandor; Hudecz, Ferenc. Akademiai Kiado:
Budapest, Hung.
CODEN: 68WKAY
DOCUMENT TYPE: Conference
LANGUAGE: English
AB A symposium report. The purpose of the present work is to study the possibility of dissolving and using subtilisin 72 and thermolysin as catalysts for peptide bond synthesis in organic solvents.
IT 197719-42-9P
RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP
(Preparation)
(peptide synthesis catalyzed by subtilisin and thermolysin in organic
solvents)
RN 197719-42-9 CAPLUS
CN L-Leucinamide, N-[(phenylmethoxy)carbonyl]-L-alanyl-L-alanyl-L-leucyl-
(9CI) (CA INDEX NAME)

NTE modified

SEQ 1 AALL

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 7 OF 2815 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:264469 CAPLUS Full-text
DOCUMENT NUMBER: 133:105301
TITLE: Synthesis of oligopeptides containing α,α-diphenylglycine
AUTHOR(S): Yamada, Takashi; Urabe, Yuka; Yanagihara, Ryoji;
Miyazawa, Toshifumi
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Konan
University, Kobe, 658-8501, Japan
SOURCE: Peptide Science (1999), 36th, 143-146
CODEN: PSCIFQ; ISSN: 1344-7661
PUBLISHER: Japanese Peptide Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB By elongation in the N- and C-terminals of tripeptides contg. α,α-diphenylglycine (Dph), Z-AA1-Dph-AA3-OMe (AA1, AA3 = Gly, Aib), which were obtained by a modified Ugi reaction, Dph-containing tetra-, penta- and hexapeptides were synthesized by the EDC-HOBt and EDC-HOAt methods. Both couplings of Z-Aib-OH

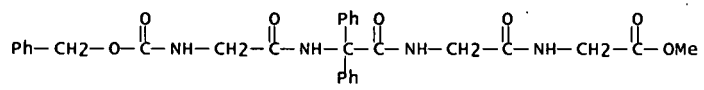
with Aib-Dph-Aib-Aib-OMe and Z-Aib-Dph-Aib-OH with Aib-Dph-Aib-OMe were very difficult.

IT 283168-05-8P 283168-09-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of α,α-diphenylglycine-containing oligopeptides)

RN 283168-05-8 CAPLUS
CN Glycine, N-[(phenylmethoxy)carbonyl]glycyl-2,2-diphenylglycylglycyl-, methyl ester (9CI) (CA INDEX NAME)

NTE modified

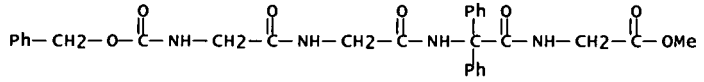
SEQ 1 GXGG



RN 283168-09-2 CAPLUS
CN Glycine, N-[(phenylmethoxy)carbonyl]glycylglycyl-2,2-diphenylglycyl-, methyl ester (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 GGXG



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 8 OF 2815 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:264431 CAPLUS Full-text
DOCUMENT NUMBER: 133:4981
TITLE: Synthesis of amyloid β-peptides in solution: chloroform-phenol mixed solvent is essential for
segment condensation of sparingly soluble protected
peptides
AUTHOR(S): Inui, Tatsuya; Nishio, Hideki; Nishiuchi, Yuji;
Kimura, Terutoshi
CORPORATE SOURCE: Protein Research Foundation, Peptide Institute Inc.,
Osaka, 562-8686, Japan
SOURCE: Peptide Science (1999), 36th, 5-8
CODEN: PSCIFQ; ISSN: 1344-7661
PUBLISHER: Japanese Peptide Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A symposium report. A new solvent system, a mixt. of chloroform and phenol, was developed for the segment condensation of sparingly soluble protected peptides in solution and successfully applied to the synthesis of amyloid β-peptide (1-42), (1-43) and [Pyr3]-(3-42). These peptides of high homogeneity were used to examine the relation between structure and amyloidogenesis by means of CD spectra and fluorimetric assay.

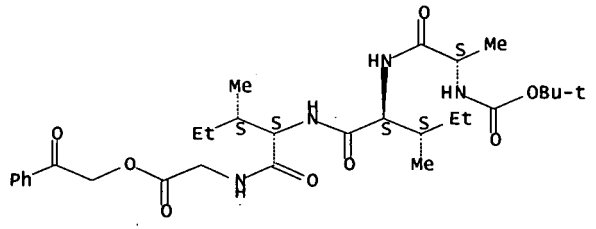
IT 270083-73-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(synthesis of amyloid β-peptides in solution using chloroform-phenol
mixed solvent for segment condensation of sparingly soluble protected
peptides)

RN 270083-73-3 CAPLUS
CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-L-isoleucyl-L-isoleucyl-, 2-oxo-2-phenylethyl ester (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 AIIG

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE

FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L20 ANSWER 9 OF 2815 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:242552 CAPLUS Full-text
DOCUMENT NUMBER: 133:290568
TITLE: US-NCI testing procedures
AUTHOR(S): Plowman, J.; Camalier, R.; Alley, M.; Sausville, E.; Schepartz, S.
CORPORATE SOURCE: Developmental Therapeutics Program, Division of Cancer Treatment and Diagnosis, National Cancer Institute, MD, USA
SOURCE: Contributions to Oncology (1999), 54(Relevance of Tumor Models for Anticancer Drug Development), 121-135
CODEN: COONEV; ISSN: 0250-3220
PUBLISHER: S. Karger AG
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

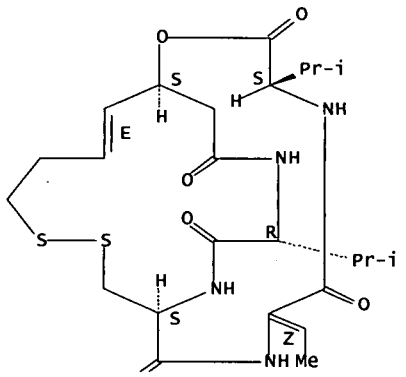
AB A review with 21 refs. The US National Cancer Institute (NCI) has carried out a highly integrated, formal program of anticancer drug discovery and development. One of the key components of this program has been a systematic screening program to identify materials worthy of further development to clin. trials. Anticancer screening must utilize exptl. model systems that are hoped to identify agents that will be effective clin., with the decision on the value of a given model being made retrospectively. For many years, a variety of transplanted rodent models were utilized for in vivo tests. Many of the agents developed during that period were effective largely in hematopoietic malignancies. Later, in hopes of identifying agents active against solid tumor malignancies, a number of human tumor xenografts were developed and used as models. A major shift in strategy occurred in the mid 1980s, when the decision was made to shift the initial screen to an in vitro panel of 60 human tumor cell lines, representing nine histol. types. Compds. exhibiting activity in the in vitro screen and selected for further investigation are then evaluated for their effects on a series of human tumors utilizing the hollow fiber assay, and then tested in selected human tumors growing as s.c. xenografts in athymic (nude) mice. Materials demonstrating activity in vivo are then considered as potential candidates for development to clin. trial. Six agents have entered clin. trials and these are: dolastatin 10; quinocarmycin analog DX-52-1; depsipeptide FR901228; UCN-01; flavopiridol (L86-8275); and semi-synthetic spicamycin analog KRN5500.

IT 128517-07-7, FR901228
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antitumor drug screening and US National Cancer Institute testing procedures)
RN 128517-07-7 CAPLUS
CN Cyclo[(2Z)-2-amino-2-butenoyl-L-valyl-(3S,4E)-3-hydroxy-7-mercapto-4-heptenoyl-D-valyl-D-cysteinyl], cyclic (3→5)-disulfide (9CI) (CA INDEX NAME)

SEQ 1 VCXV

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L20 ANSWER 10 OF 2815 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:96808 CAPLUS Full-text
DOCUMENT NUMBER: 132:334737

TITLE: FR901228: Antineoplastic antibiotic
AUTHOR(S): Wang, Hwa-Chain R.
CORPORATE SOURCE: Dept. of Comparative Medicine, University of Tennessee, Knoxville, TN, 37901-1071, USA
SOURCE: Drugs of the Future (1999), 24(11), 1184-1188
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

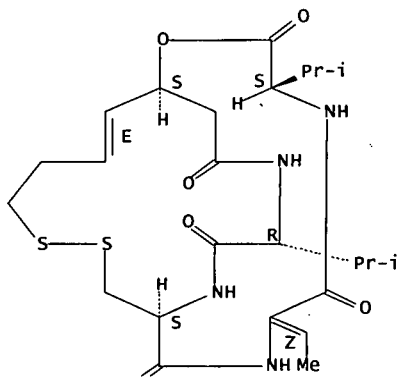
AB A review with 18 ref. on bicyclic depsipeptide FR901228. Synthesis, fermentation, isolation and pharmacol. actions are discussed.

IT 128517-07-7P, FR901228
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation, fermentation, isolation and pharmacol. actions of antineoplastic antibiotic FR901228)

RN 128517-07-7 CAPLUS
CN Cyclo[(2Z)-2-amino-2-butenoyl-L-valyl-(3S,4E)-3-hydroxy-7-mercapto-4-heptenoyl-D-valyl-D-cysteinyl], cyclic (3→5)-disulfide (9CI) (CA INDEX NAME)

SEQ 1 VCXV

Absolute stereochemistry.
Double bond geometry as shown.



O

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	194.08	735.99

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-14.00	-36.40

FILE 'STNGUIDE' ENTERED AT 12:21:11 ON 09 SEP 2004

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Sep  3, 2004 (20040903/UP).

=> file reg
COST IN U.S. DOLLARS                          SINCE FILE
TOTAL
                                                ENTRY
SESSION
FULL ESTIMATED COST                              0.42
736.41

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE
TOTAL
                                                ENTRY
SESSION
CA SUBSCRIBER PRICE                              0.00      -
36.40

FILE 'REGISTRY' ENTERED AT 12:25:06 ON 09 SEP 2004
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STRUCTURE FILE UPDATES:     8 SEP 2004  HIGHEST RN 741635-85-8
DICTIONARY FILE UPDATES:    8 SEP 2004  HIGHEST RN 741635-85-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for
details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> s [gavl][-fwht][-gfwhtp][gavl]/sqsp
L21    3624012 [GAVL][-FWHT][-GFWHTP][GAVL]/SQSP
 75% OF LIMIT FOR TOTAL ANSWERS REACHED

=> d his

     (FILE 'HOME' ENTERED AT 10:50:19 ON 09 SEP 2004)

     FILE 'REGISTRY' ENTERED AT 10:50:27 ON 09 SEP 2004
L1             STRUCTURE UPLOADED
L2          50 S L1 SAM
L3      308369 S L1 FUL

     FILE 'CAPLUS' ENTERED AT 10:52:16 ON 09 SEP 2004
L4          44 S L2
L5           0 S L4 AND PD<20000217

     FILE 'REGISTRY' ENTERED AT 10:54:26 ON 09 SEP 2004
L6             STRUCTURE UPLOADED
L7          38 S L6 SAM

     FILE 'REGISTRY' ENTERED AT 11:34:50 ON 09 SEP 2004

     FILE 'CAPLUS' ENTERED AT 11:34:54 ON 09 SEP 2004
L8          47 S L7
L9          41 S L8 AND PD<20020312
L10         33 S L9 AND PD<20000217
L11    2918396 S 10 AND PD<19990218
L12         32 S L10 AND PD<19990218

     FILE 'REGISTRY' ENTERED AT 12:10:10 ON 09 SEP 2004
L13    3645970 S [GAVL][-FWHT][-FWHTP][GAVL]/SQSP
L14       5874 S L13 AND SQL=4

     FILE 'CAPLUS' ENTERED AT 12:14:56 ON 09 SEP 2004
L15       4169 S L14
L16       3256 L15 AND PD<19990218
L17          0 L16 AND PATEN
L18          3 L16 AND PATENT
L19        441 L16 AND PATENT/DT
L20       2815 L16 NOT L19

     FILE 'STNGUIDE' ENTERED AT 12:21:11 ON 09 SEP 2004

     FILE 'REGISTRY' ENTERED AT 12:25:06 ON 09 SEP 2004
L21    3624012 S [GAVL][-FWHT][-GFWHTP][GAVL]/SQSP

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE
TOTAL
                                                ENTRY
SESSION
FULL ESTIMATED COST                             27.76
764.17

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE
TOTAL
                                                ENTRY
SESSION
CA SUBSCRIBER PRICE                              0.00      -
36.40

FILE 'CAPLUS' ENTERED AT 12:26:40 ON 09 SEP 2004
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FILE COVERS 1907 - 9 Sep 2004 VOL 141 ISS 11
FILE LAST UPDATED: 8 Sep 2004 (20040908/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l21
TOO MANY TERMS FOR FILE CROSSOVER IN L21
There are limits on the size of an answer set being crossed over from one file to another. Enter HELP CROSSOVER at an arrow prompt (=>) for specific information.

=> file reg
COST IN U.S. DOLLARS SINCE FILE
TOTAL
ENTRY

SESSION
FULL ESTIMATED COST 0.44
764.61

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE
TOTAL
ENTRY

SESSION
CA SUBSCRIBER PRICE 0.00 -
36.40

FILE 'REGISTRY' ENTERED AT 12:27:20 ON 09 SEP 2004
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STRUCTURE FILE UPDATES: 8 SEP 2004 HIGHEST RN 741635-85-8
DICTIONARY FILE UPDATES: 8 SEP 2004 HIGHEST RN 741635-85-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

http://www.cas.org/ONLINE/DBSS/registryss.html

=> l21 and sql=4
62048 SQL=4
L22 4775 L21 AND SQL=4

=> file caplus
COST IN U.S. DOLLARS SINCE FILE
TOTAL
ENTRY

SESSION
FULL ESTIMATED COST 4.85
769.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE
TOTAL
ENTRY

SESSION
CA SUBSCRIBER PRICE 0.00 -
36.40

FILE 'CAPLUS' ENTERED AT 12:27:30 ON 09 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 9 Sep 2004 VOL 141 ISS 11
FILE LAST UPDATED: 8 Sep 2004 (20040908/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l22
L23 2994 L22

=> s l23 and l19
L24 346 L23 AND L19

=> d l24 1-5 ibib abs hitseq

L24 ANSWER 1 OF 346 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:667404 CAPLUS Full-text
DOCUMENT NUMBER: 139:192555
TITLE: Promoter for telomerase reverse

transcriptase and its diagnostic and therapeutic use

INVENTOR(S): Morin, Gregg B.; Andrews, William H.

PATENT ASSIGNEE(S): Geron Corporation, USA

SOURCE: U.S., 202 pp., Cont.-in-part of U.S. Ser. No. 912,951.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6610839	B1	20030826	US 1999-402181	19990929
US 6475789	B1	20021105	US 1997-912951	19970814
WO 9814593	A2	19980409	WO 1997-US17885	19971001 <--
WO 9814593	A3	19990218		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 763956	B2	20030807	AU 2001-47992	20010523
US 2003204069	A1	20031030	US 2002-325810	20021220

PRIORITY APPLN. INFO.:

US 1997-911312	B2	19970814
US 1997-912951	A2	19970814
US 1997-915503	B2	19970814
WO 1997-US17885	W	19971001
US 1996-724643	B2	19961001
US 1997-844419	B2	19970418
US 1997-846017	B2	19970425
US 1997-851843	A2	19970506
US 1997-845050	A2	19970509
US 1997-854050	A	19970509
AU 1997-48073	A	19971001
US 1999-402181	A1	19990929

AB The invention provides compns. and methods related to human telomerase reverse transcriptase (hTRT), the catalytic protein subunit of human telomerase. Genomic, cDNA, and encoded amino acid sequences are provided for hTRT from a protozoan (Euplotes aediculatus), Schizosaccharomyces pombe, Tetrahymena thermophila, and human. The human hTRT promoter can be used in recombinant vectors for the expression of proteins toxic to cells expressing hTRT, thereby rendering the cell more susceptible to toxicity of drugs. The polynucleotides and polypeptides of the invention are useful for diagnosis, prognosis and treatment of human diseases, for changing the proliferative capacity of cells and organisms, and for identification and screening of compds. and treatments useful for treatment of diseases such as cancers.

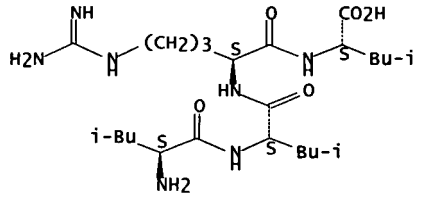
IT 315195-82-5
RL: PRP (Properties)
(unclaimed sequence; promoter for telomerase reverse transcriptase and its diagnostic and therapeutic use)

RN 315195-82-5 CAPLUS

CN L-Leucine, L-leucyl-L-leucyl-L-arginyl- (9CI) (CA INDEX NAME)

SEQ 1 LLRL

Absolute stereochemistry.



REFERENCE COUNT: 193 THERE ARE 193 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 346 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:545684 CAPLUS Full-text

DOCUMENT NUMBER: 139:106414
TITLE: Sequences of porcine reproductive and respiratory syndrome virus (prrsv) proteins and use in immunization
INVENTOR(S): Paul, Prem S.; Meng, Xiang-Jin; Halbur, Patrick; Morozov, Igor; Lum, Melissa A.
PATENT ASSIGNEE(S): Iowa State University Research Foundation, Inc., USA; American Cyanamid Company
SOURCE: U.S., 124 pp., Cont.-in-part of U.S. Ser. No. 131,625.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6592873	B1	20030715	US 1994-301435	19940901
US 5695766	A	19971209	US 1993-131625	19931005 <--
US 6251397	B1	20010626	US 1995-478316	19950607
CA 2198461	AA	19960307	CA 1995-2198461	19950901 <--
WO 9606619	A1	19960307	WO 1995-US10904	19950901 <--
W: CA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 776209	A1	19970604	EP 1995-932336	19950901 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 6380376	B1	20020430	US 1998-19793	19980206
US 6773908	B1	20040810	US 2000-601326	20000925
AU 771883	B2	20040408	AU 2001-68774	20010906
US 2002168379	A1	20021114	US 2002-104019	20020325
US 2003138442	A9	20030724		
US 2003186225	A1	20031002	US 2003-428826	20030505

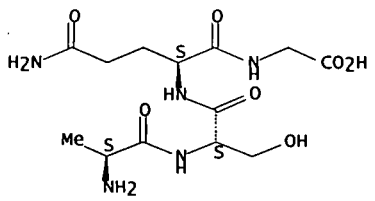
PRIORITY APPLN. INFO.:		
US 1992-969071	B2	19921030
US 1993-131625	A2	19931005
US 1994-301435	A2	19940901
US 1995-478316	A2	19950607
WO 1995-US10904	W	19950901
US 1998-19793	A2	19980206
AU 1998-78586	A3	19980729
WO 1999-US2630	W	19990208
US 2000-601326	A3	20000925

AB The present invention provides a purified prepn. contg. a polynucleic acid encoding at least one polypeptide selected from the group consisting of proteins encoded by one or more open reading frames (ORF's) of an Iowa strain of porcine reproductive and respiratory syndrome virus (PRRSV), proteins at least 80% but less than 100% homologous with those encoded by one or more of ORF 2, ORF 3, ORF 4 and ORF 5 of an Iowa strain of PRRSV, proteins at least 97% but less than 100% homologous with proteins encoded by one or both of ORF 6 and ORF 7 of an Iowa strain of PRRSV, antigenic regions of such proteins which are at least 5 amino acids in length and which effectively stimulate immunol. protection in a porcine host against a subsequent challenge with a PRRSV isolate, and combinations thereof, in which amino acids non-essential for antigenicity may be conservatively substituted. The present invention also concerns a polypeptide encoded by such a polynucleic acid; a vaccine comprising an effective amount of such a polynucleic acid or protein; antibodies which specifically bind to such a polynucleic acid or protein; methods of producing the same; and methods of raising an effective immunol. response against a PRRSV, treating a pig infected by a PRRSV, and detecting a PRRSV.

IT 557771-51-4
RL: PRP (Properties)
(unclaimed sequence; sequences of porcine reproductive and respiratory syndrome virus (prrsv) proteins and use in immunization)
RN 557771-51-4 CAPLUS
CN Glycine, L-alanyl-L-seryl-L-glutaminyl- (9CI) (CA INDEX NAME)

SEQ 1 ASQG

Absolute stereochemistry.



REFERENCE COUNT: 166 THERE ARE 166 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 346 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:507642 CAPLUS Full-text
DOCUMENT NUMBER: 139:81311
TITLE: Recombinant production and purification of human neutrophil protease prepro-PR-3 and its proteolytic processing and use for screening inhibitors of release of TNFα
INVENTOR(S): Halenbeck, Robert F.; Kriegler, Michael; Perez, Carl; Jewell, David A.; Koths, Kirston E.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: U.S., 53 pp., Cont.-in-part of U. S. Ser. No. 230,428.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6586222	B1	20030701	US 1995-395456	19950228
AU 9059400	A1	19910403	AU 1990-59400	19900608 <--
EP 491878	A1	19920701	EP 1990-917939	19900608 <--
EP 491878	B1	19970219		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04507044	T2	19921210	JP 1990-509543	19900608 <--
JP 2930713	B2	19990803		
EP 750037	A2	19961227	EP 1996-202206	19900608 <--
EP 750037	A3	19970115		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
NO 9200593	A	19920319	NO 1992-593	19920214 <--
US 5998378	A	19991207	US 1994-230428	19940419
CA 2185162	AA	19950914	CA 1995-2185162	19950302 <--
WO 9524501	A1	19950914	WO 1995-US2513	19950302 <--
W: AU, CA, JP, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9519364	A1	19950925	AU 1995-19364	19950302 <--
AU 709054	B2	19990819		
EP 749494	A1	19961227	EP 1995-912005	19950302 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10504441	T2	19980506	JP 1995-523506	19950302 <--
US 6599706	B1	20030729	US 1995-487453	19950607
NO 9603726	A	19961031	NO 1996-3726	19960906 <--

PRIORITY APPLN. INFO.:
US 1989-395253 B2 19890816
US 1992-905546 B2 19920625
US 1994-208574 B2 19940307
US 1994-230428 A2 19940419
EP 1990-917939 A3 19900608
WO 1990-US3266 A 19900608
US 1995-394600 A 19950227
US 1995-395456 A 19950228
WO 1995-US2513 W 19950302
US 1999-395253 A2 19990816

OTHER SOURCE(S): MARPAT 139:81311

AB Methods and materials are disclosed for the prodn. of purified, active recombinant human neutrophil protease, PR-3 (also known as myeloblastin), via activation of the prepro- and pro-forms. PR-3 is cloned by transfecting Sf9 insect cells with a baculovirus vector and purified to >95% purity with an endotoxin content of <20 ng/mg PR-3 and a specific activity of .apprx.30 μmoles/min/mg PR-3 as assayed on Boc-Ala-ONP at pH 7.5 at 25°.

Human PR-3 is useful for discovering inhibitors of excessive release of mature, active TNFα. Also disclosed are methods for the identification of inhibitors of the conversion of the pro-form of TNFα to its mature active form.

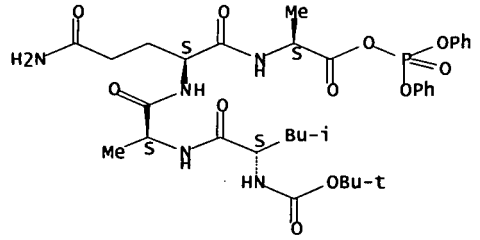
IT 170156-30-6
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibition of PR-3 by; recombinant production and purification of human
neutrophil protease prepro-PR-3 and its proteolytic processing and use
for screening inhibitors of release of TNFα)

RN 170156-30-6 CAPLUS
CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl-L-alanyl-L-glutaminyl-
, anhydride with diphenyl hydrogen phosphate (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 LAQA

Absolute stereochemistry.



REFERENCE COUNT: 180 THERE ARE 180 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L24 ANSWER 4 OF 346 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:319378 CAPLUS Full-text
DOCUMENT NUMBER: 138:343951
TITLE: Structures useful for bone engineering
INVENTOR(S): Bhatnagar, Rajendra S.; Qian, Jing Jing
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 10 pp., Cont.-in-part of U.S.
Ser. No. 561,554, abandoned.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003077825	A1	20030424	US 2002-133289	20020425
US 5635482	A	19970603	US 1994-278878	19940722 <--
US 5958428	A	19990928	US 1997-859610	19970520
US 6268348	B1	20010731	US 1999-328347	19990608
US 2002037853	A1	20020328	US 2001-816737	20010323
WO 2001082773	A2	20011108	WO 2001-US10404	20010329
WO 2001082773	A3	20020131		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:		
US 1994-278878	A3	19940722
US 1997-859610	A1	19970520
US 1999-328347	A1	19990608
US 2000-561554	B1	20000428
US 2001-816737	A2	20010323
US 1989-393621	B2	19890814
US 1991-804782	A2	19911209

AB A bone repair app. is provided where a biol. compatible structure has a compound carried on the structure that mimics collagen binding to cells. Living cells derived from fibroblasts

are carried on the structure and display at least one morphol. change consistent with an osteogenic phenotype. The preferred method for practicing the invention includes harvesting a quantity of fibroblasts from a patient in need of a bone graft, growing the tissue under cell growth conditions, and seeding at least some cells of the cultured tissue on the biol. compatible structure with the collagen mimic thereon. The culture tissue cells are seeded on the structure and incubated under cell growth conditions, which results in the differentiation of the cells to bone-like cells and thus provides a tissue engineered apparatus ready for use as a bone graft. The peptide P-15, GTPGPQGIAGQRVV was synthesized by a solid phase procedure. The P-15 was adsorbed on bovine bone derived porous ABM (bovine bone mineral) in a particulate form with a particle size of 250-420 μm and the binding of cells to ABM-P-15 was proportional to the amount of adsorbed P-15. There is a marked increase in the expression of type I collagen in dermal fibroblasts growing on ABM-P-15 matrixes. Marked stimulation of alkaline phosphatase gene expression in HA-P-15 cultures is consistent with the induction of a bone-like phenotype.

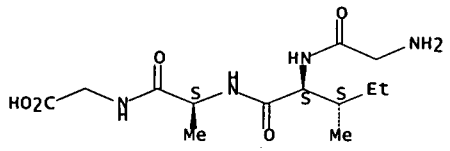
IT 136266-47-2
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (structures useful for bone engineering)

RN 136266-47-2 CAPLUS

CN Glycine, N-[N-(N-glycyl-L-isoleucyl)-L-alanyl]- (9CI) (CA INDEX NAME)

SEQ 1 GIAG

Absolute stereochemistry.



L24 ANSWER 5 OF 346 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:113343 CAPLUS Full-text

DOCUMENT NUMBER: 138:164723

TITLE: Vectors encoding chimeric fusion cytotoxins (IL-13 fused to mutant forms of exotoxin A), and ability of cytotoxins to bind and inhibit IL-13 receptor bearing tumor cells

INVENTOR(S): Puri, Raj K.; Debinski, Waldemar; Pastan, Ira; Obiri, Nicholas

PATENT ASSIGNEE(S): The United States of America as Represented by the Department of Health and Human Services, USA

SOURCE: U.S., 26 pp., Cont.-in-part of U.S. 5,614,191.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6518061	B1	20030211	US 1998-913370	19980217
US 5614191	A	19970325	US 1995-404685	19950315 <--
WO 9629417	A1	19960926	WO 1996-US3486	19960315 <--

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN

US 2003129132	A1	20030710	US 2002-318608	20021213

PRIORITY APPLN. INFO.:

US 1995-404685	A2 19950315
WO 1996-US3486	W 19960315
US 1998-913370	A1 19980217

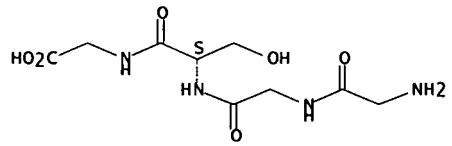
AB The invention provides genetic vectors contg. nucleic acid mols. encoding chimeric fusion proteins (cytotoxins) composed of human interleukin 13 or circularly permutated IL-13 (cpIL-13) attached to toxins, such as mutant forms Pseudomonas aeruginosa exotoxin A (PE38QQR or PE4E). The invention also provides host cells transformed with said vectors. The invention relates that said chimeric fusion proteins (IL-13-PE38QQR, cpIL-13-PE38QQR, IL-13-PE4E and cpIL-13-PE4E) are able to bind tumor cells bearing IL-13 receptors. The invention further provides a composition comprising a pharmaceutical acceptable carrier and said chimeric proteins. The invention is based in part on the discovery that certain tumor cells, such as renal cell carcinoma (RCC) overexpress IL-13 receptors, and on the premise that specific vectors encoding the IL-13-PE fusion proteins can be used to target such cells. The invention demonstrated that various cancer cell lines, including RCC cell lines, glioma cell lines,

Kaposi's sarcoma cell lines, and/or neural cancer cell lines were sensitive to said chimeric proteins resulting in growth inhibition or cytotoxicity of cells.

IT 496847-20-2
RL: PRP (Properties)
(unclaimed sequence; vectors encoding chimeric fusion cytotoxins (IL-13
fused to mutant forms of exotoxin A), and ability of cytotoxins to bind
and inhibit IL-13 receptor bearing tumor cells)
RN 496847-20-2 CAPLUS
CN Glycine, glycylglycyl-L-seryl- (9CI) (CA INDEX NAME)

SEQ 1 GGSG

Absolute stereochemistry.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L1 STRUCTURE UPLOADED
L2 50 S L1 SAM
L3 308369 S L1 FUL

FILE 'CAPLUS' ENTERED AT 10:52:16 ON 09 SEP 2004
L4 44 S L2
L5 0 S L4 AND PD<20000217

FILE 'REGISTRY' ENTERED AT 10:54:26 ON 09 SEP 2004
L6 STRUCTURE UPLOADED
L7 38 S L6 SAM

FILE 'REGISTRY' ENTERED AT 11:34:50 ON 09 SEP 2004

FILE 'CAPLUS' ENTERED AT 11:34:54 ON 09 SEP 2004
L8 47 S L7
L9 41 S L8 AND PD<20020312
L10 33 S L9 AND PD<20000217
L11 2918396 S 10 AND PD<19990218
L12 32 S L10 AND PD<19990218

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L14 5874 S L13 AND SQL=4

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L15 4169 S L14
L16 3256 S L15 AND PD<19990218
L17 0 L16 AND PATEN
L18 3 L16 AND PATENT
L19 441 L16 AND PATENT/DT
L20 2815 L16 NOT L19

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L21 3624012 S [GAVL][-FWHT][-GFWHTP][GAVL]/SQSP

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L22 4775 L21 AND SQL=4

FILE 'CAPLUS' ENTERED AT 12:27:30 ON 09 SEP 2004
L23 2994 S L22
L24 346 S L23 AND L19

=> l23 not l24
L25 2648 L23 NOT L24

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L25 ANSWER 1 OF 2648 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:634089 CAPLUS Full-text
DOCUMENT NUMBER: 141:167846
TITLE: Binding peptides for the KDR receptor and vascular
endothelial growth factor/KDR complex and their use in
diagnosis, therapy, and imaging of angiogenesis-related disorders
INVENTOR(S): Sato, Aaron K.; Sexton, Daniel J.; Dransfield, Daniel
T.; Ladner, Robert C.; Arbogast, Christophe; Bussat,
Philippe; Fan, Hong; Khurana, Sudha; Linder, Karen E.;
Marinelli, Edmund R.; Nanjappan, Palaniappa; Nunn,
Adrian; Pillai, Radhakrishna; Pochon, Sibylle;
Ramalingam, Kondareddiar; Shrivastava, Ajay; Song, Bo;
Swenson, Rolf E.; Von Wronski, Mathew A.

PATENT ASSIGNEE(S): Dyax Corp., USA; Bracco International B.V.
SOURCE: PCT Int. Appl., 470 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065621	A1	20040805	WO 2003-US28787	20030911
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2003074005	A2	20030912	WO 2003-US6731	20030303
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:	US 2002-360851P	P 20020301
	US 2003-440411P	P 20030115
	US 2003-382082	A2 20030303
	WO 2003-US6731	A2 20030303

AB The present invention provides peptides, peptide dimers, and multimeric complexes comprising at least one binding moiety for KDR receptor or vascular endothelial growth factor (VEGF)/KDR complex, which have a variety of uses wherever treating, detecting, isolating, or localizing angiogenesis is advantageous. Particularly disclosed are synthetic, isolated peptides capable of binding KDR or VEFG/KDR complex with high affinity (e.g., having a KD < 1 μM), and dimer and multimeric constructs comprising these polypeptides. The involvement of VEGF and KDR in angiogenesis makes the binding peptides particularly useful for imaging important sites of angiogenesis, e.g., neoplastic tumors, for targeting substances, e.g., therapeutics, including radiotherapeutics, to such sites, and for treating certain disease states, including those associated with inappropriate angiogenesis.

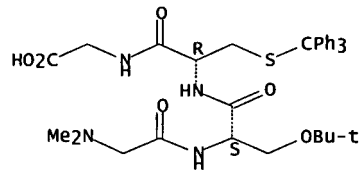
IT 612494-16-3
RL: DGN (Diagnostic use); BIOL (Biological study); USES (Uses)
(chelator; binding peptides for the KDR receptor and vascular endothelial growth factor/KDR complex and their use in diagnosis, therapy, and imaging of angiogenesis-related disorders)

RN 612494-16-3 CAPLUS
CN Glycine, N,N-dimethylglycyl-O-(1,1-dimethylethyl)-L-seryl-S-(triphenylmethyl)-L-cysteinyl- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 GSCG

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 2 OF 2648 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:633438 CAPLUS Full-text
DOCUMENT NUMBER: 141:150974
TITLE: Use of histone deacetylase inhibitors as synergistic agents in cancer therapy
INVENTOR(S): Jung, Mira; Jung, Manfred; Dritschilo, Anatoly
PATENT ASSIGNEE(S): Georgetown University, USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004064727	A2	20040805	WO 2004-US1019	20040116

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI

PRIORITY APPLN. INFO.: US 2003-440349P P 20030116

AB The invention relates to the use of inhibitors of histone deacetylase (HDAC) as synergistic agents in improved cancer therapies. The present invention relates to a treatment for cancer that combine s the administration of a synergistically effective amount of at least one inhibitor of histone deacetylase with at least one other anticancer treatment. The increased effectiveness of radiation therapy provided by the present invention is believed to arise, at least in part, because de-condensed chromatin appears to be more sensitive to radiation damage than condensed chromatin. In the present invention, without wishing to be bound by theory, it is believed that HDAC inhibitors function to disrupt the equilibrium of acetylation states and thereby increase cell killing by ionizing radiation and chemotherapy. On the basis of these and other observations, the authors have discovered that histone deacetylase (HDAC) inhibitors can be employed in conjunction with radiation treatment of neoplastic disorders such as various cancers to provide a synergistic effect. In the present study, they observed that several potent HDAC inhibitors, including trichostatin A, suberoylanilide hydroxamic acid, M344 (an analog of hydroxamic acid), and the cyclic tetrapeptide, depsipeptide (FR90228), modulate cellular responses to ionizing radiation in cells of two human squamous carcinoma lines (SQ-20B and SCC-35), previously characterized as intrinsically resistant to radiation. Also exposure to IC50 concns. of these inhibitors, radiation sensitivities were enhanced in both cell lines. Depsipeptide exhibited the greatest effect on SQ-20B cells, decreasing D0 values from 2.62 Gy to 1.64 Gy. M344 was the most active drug in sensitizing SCC-35 cells, decreasing D0 values from 1.91 Gy to 1.21 Gy. The mechanisms underlying HDAC inhibitor-induced radiosensitization were further investigated by extending trichostatin A studies to assess cell cycle distributions and levels of apoptosis. Treatment of SQ-20B cells with radiosensitizing concns. of trichostatin A resulted in cell cycle arrest in G1 phase (>70%) and inhibition of DNA synthesis. Contrary to previous reports, induction of apoptosis was very low and caspase 3 and 9 were not activated. Taken together, these results implicate G1 arrest and inhibition of DNA synthesis in the mechanisms underlying radiation sensitization by trichostatin A and support the use of HDAC inhibitors for targeting radioresistant cancers.

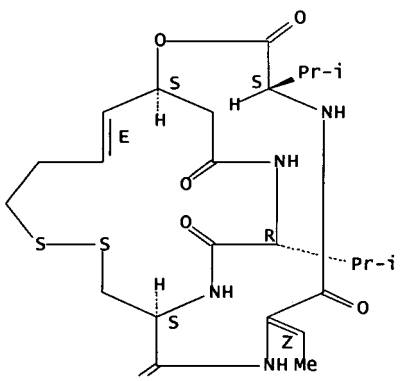
IT 128517-07-7, FR901228
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(histone deacetylase inhibitor; use of histone deacetylase inhibitors as synergistic agents in cancer therapy)

RN 128517-07-7 CAPLUS
CN Cyclo[(2Z)-2-amino-2-butenoyl-L-valyl-(3S,4E)-3-hydroxy-7-mercapto-4-heptenoyl-D-valyl-D-cysteinyl], cyclic (3→5)-disulfide (9CI) (CA INDEX NAME)

SEQ 1 VCXV

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 2-A

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L25 ANSWER 3 OF 2648 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:633410 CAPLUS Full-text
DOCUMENT NUMBER: 141:179562
TITLE: Multivalent constructs for therapeutic and diagnostic applications
INVENTOR(S): Arbogast, Christophe; Bussat, Philippe; Dransfield, Daniel T.; Fan, Hong; Linder, Karen; Marinelli, Edmund R.; Nanjappan, Palaniappa; Nunn, Adrian; Pillai, Radhakrishna; Pochon, Sybille; Ramalingam, Kondareddiar; Sato, Aaron; Shrivastava, Ajay; Song, Bo; Swenson, Rolf E.; Von Wronski, Mathew A.; Walker, Sharon Michele
PATENT ASSIGNEE(S): Bracco International B. V., Neth.; Dyax Corporation
SOURCE: PCT Int. Appl., 320 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004064595	A2	20040805	WO 2003-US28838	20030911

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004018974	A1	20040129	US 2003-379287	20030303

PRIORITY APPLN. INFO.:

US 2003-440201P	P 20030115
US 2003-379287	A 20030303
US 2002-360821P	P 20020301

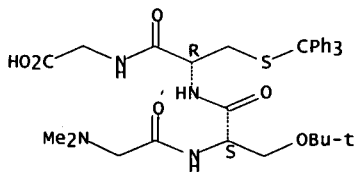
AB The invention features multivalent constructs using small targeting moieties which bind to different sites of the same target allowing for improved localization to the desired target and providing improved means for detecting, imaging and/or treating the target site. These targeting constructs may be linked or conjugated to a detectable label and/or a therapeutic agent and used to deliver the detectable label and/or therapeutic agent to the target of interest. The target may be a receptor involved in angiogenesis, hyperproliferative disorders or wound healing. Among examples provided are human carcinoma cell growth inhibition by an antiangiogenic heterodimeric peptide binding to VEGF receptor 2 (KDR), and ultrasound imaging using microbubbles derivatized with a KDR-binding heterodimer.

IT 612494-16-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(multivalent constructs for therapeutic and diagnostic applications)
RN 612494-16-3 CAPLUS
CN Glycine, N,N-dimethylglycyl-O-(1,1-dimethylethyl)-L-seryl-S-(triphenylmethyl)-L-cysteinyl- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 GSCG

Absolute stereochemistry.



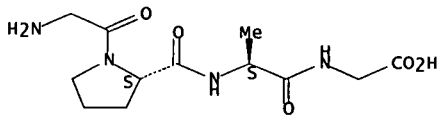
L25 ANSWER 4 OF 2648 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:612485 CAPLUS Full-text
DOCUMENT NUMBER: 141:156097
TITLE: Immunodominant epitopes capable of evoking MHC class I- or II-mediated immune response
INVENTOR(S): Mittelman, Abraham; Kanduc, Darja
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 30 pp., Cont. of U.S. Ser. No. 306,541.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004147044	A1	20040729	US 2003-648547	20030825
US 2004171081	A1	20040902	US 2002-306541	20021125
PRIORITY APPLN. INFO.:			US 2001-333249P	P 20011123
			US 2002-306541	A1 20021125

AB The invention provides a method for identifying amino acid sequences in antigens of interest that are useful for evoking immune responses. The amino acid sequences have low sequence similarity to the host proteome and are predicted to bind to MHC. Also disclosed are HPV epitopes that evoke Class I or Class II mediated immune responses.
IT 211555-82-7 727976-43-4
RL: ANT (Analyte); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study);
USES (Uses)
(immunodominant epitopes capable of evoking MHC class I- or II-mediated immune response)
RN 211555-82-7 CAPLUS
CN Glycine, glycyl-L-prolyl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 GPAG

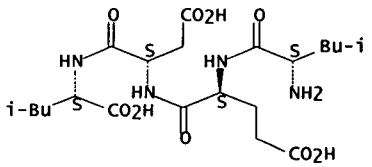
Absolute stereochemistry.



RN 727976-43-4 CAPLUS
CN L-Leucine, L-leucyl-L-α-glutamyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

SEQ 1 LEDL

Absolute stereochemistry.



L25 ANSWER 5 OF 2648 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:610076 CAPLUS Full-text
DOCUMENT NUMBER: 141:134068
TITLE: Use of cyclin D1 inhibitors following breast cancer therapy
INVENTOR(S): Kronblad, Asa; Stendahl, Maria; Landberg, Goeran
PATENT ASSIGNEE(S): Forskarpatent I Syd Ab, Swed.
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062654	A1	20040729	WO 2004-SE6	20040109

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ

PRIORITY APPLN. INFO.: SE 2003-98 A 20030115

AB The invention relates to use of certain cyclin D1 inhibitors at the manuf. of pharmaceutical prepns. to be used in the treatment of patients to improve their response to tamoxifen treatment following a breast cancer treatment, either surgically, using cytotoxic compds. and/or irradiation, as well as a method of treatment.

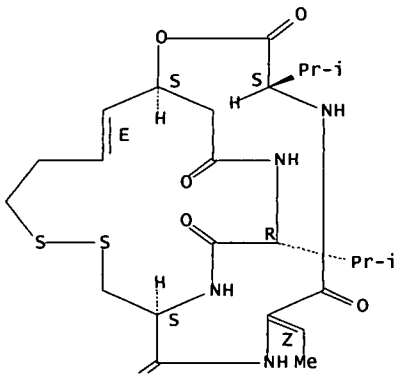
IT 128517-07-7, FR-901228
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of cyclin D1 inhibitors following breast cancer therapy)

RN 128517-07-7 CAPLUS

CN Cyclo[(2Z)-2-amino-2-butenoyl-L-valyl-(3S,4E)-3-hydroxy-7-mercapto-4-heptenoyl-D-valyl-D-cysteinyl], cyclic (3→5)-disulfide (9CI) (CA INDEX NAME)

SEQ 1 VCXV

Absolute stereochemistry.
Double bond geometry as shown.

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L2              50 S L1 SAM
L3          308369 S L1 FUL

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L4              44 S L2
L5               0 S L4 AND PD<20000217

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L6             STRUCTURE UPLOADED
L7              38 S L6 SAM

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L20        2815 L16 NOT L19

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     FILE 'REGISTRY' ENTERED AT 12:25:06 ON 09 SEP 2004
L21     3624012 S [GAVL][-FWHT][-GFWHTP][GAVL]/SQSP

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     FILE 'REGISTRY' ENTERED AT 12:27:20 ON 09 SEP 2004
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     FILE 'CAPLUS' ENTERED AT 12:27:30 ON 09 SEP 2004
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L24         346 S L23 AND L19
L25        2648 L23 NOT L24

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L26         642 L23 AND PATENT/DT

=> l 23 not l26
       1357467 L
        399811 23
           168 L 23
                 (L(W)23)
L27         168 L 23 NOT L26

=> s l23 not l26
L28        2352 L23 NOT L26

=> d l28 1-5 ibib abs hitseq

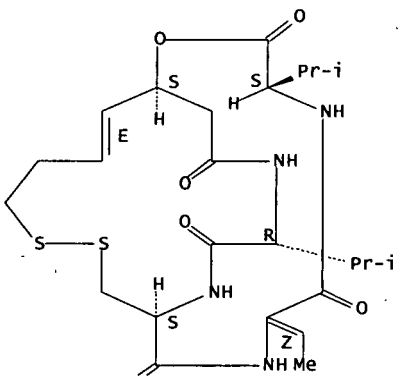
L28  ANSWER 1 OF 2352  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2004:526860  CAPLUS Full-text
DOCUMENT NUMBER:          141:116700
TITLE:                    T-cell lymphoma as a model for the use of
histone
                          deacetylase inhibitors in cancer therapy:
Impact of
                          depsipeptide on molecular markers,
therapeutic
                          targets, and mechanisms of resistance
AUTHOR(S):                Piekarz, Richard L.; Robey, Robert W.; Zhan,
Zhirong;
                          Kayastha, Ganesh; Sayah, Anousheh;
Abdeldaim, Amina
                          H.; Torrico, Sonia; Bates, Susan E.
CORPORATE SOURCE:         Cancer Therapeutics Branch, Center for
Cancer
                          Research, National Cancer Institute (NCI),
National
                          Institutes of Health (NIH), Bethesda, MD,
USA
SOURCE:                   Blood (2004), 103(12), 4636-4643
                          CODEN: BLOOAW; ISSN: 0006-4971
PUBLISHER:                American Society of Hematology
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
AB    Depsipeptide (FK228) is a novel histone deacetylase inhibitor
      currently in clin. trials and the first to demonstrate clin.
      activity in patients. Responses have been observed in patients
      with T-cell lymphomas, despite prior treatment with multiple
      chemotherapeutic agents.  To better understand the effects of
      histone deacetylase inhibitors on T-cell lymphoma, the human T-
      cell lymphoma cell line HUT78 was tested for sensitivity and
      mol. response to depsipeptide.  Treatment with depsipeptide, as
      well as other histone deacetylase inhibitors, caused induction
      of histone acetylation, induction of p21 expression, and
      substantial apoptosis without significant cell cycle arrest.
      Treatment with the caspase inhibitor z-VAD-fmk significantly
      inhibited depsipeptide-induced apoptosis, enabling detection of
      cell cycle arrest.  Treatment with depsipeptide increased
      expression of the interleukin-2(IL-2) receptor, and combination
      with the IL-2 toxin conjugate denileukin diftitox resulted in
      more than additive toxicity. Cells selected for resistance to
      depsipeptide overexpressed the multidrug resistance pump, P-
      glycoprotein (Pgp).  However, cells selected for resistance to
      depsipeptide in the presence of a Pgp inhibitor had a Pgp-
      independent mechanism of resistance.  These studies confirm the
      activity of depsipeptide in a T-cell lymphoma model and suggest
      a general sensitivity of T-cell lymphoma to histone deacetylase
      inhibitors, an emerging new class of anticancer agents.
IT    128517-07-7, FK228
      RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
      (Biological study); USES (Uses)
         (impact of depsipeptide on mol. markers, therapeutic targets,
and
         mechanisms of resistance in T-cell lymphoma)
RN    128517-07-7  CAPLUS
CN    Cyclo[(2Z)-2-amino-2-butenoyl-L-valyl-(3S,4E)-3-hydroxy-7-
mercapto-4-
      heptenoyl-D-valyl-D-cysteinyl], cyclic (3→5)-disulfide (9CI)
(CA
      INDEX NAME)


SEQ       1 VCXV


Absolute stereochemistry.
```

Double bond geometry as shown.

PAGE 1-A



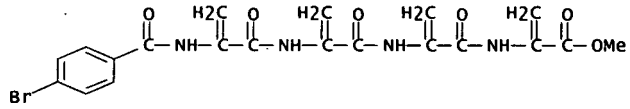
PAGE 2-A

REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES
AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L28 ANSWER 2 OF 2352 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:514097 CAPLUS Full-text
TITLE: A study of a Cα,β-didehydroalanine homo-oligopeptide series in the solid-state by 13C cross-polarization magic angle spinning NMR
AUTHOR(S): Wildman, Katherine A. Henzler; Ramamoorthy, Ayyalusamy; Wakamiya, Tateaki; Yoshikawa, Taichi; Crisma, Marco; Toniolo, Claudio; Formaggio, Fernando
CORPORATE SOURCE: Department of Chemistry, University of Michigan, Ann Arbor, MI, 48109-1055, USA
SOURCE: Journal of Peptide Science (2004), 10(6), 336-341
CODEN: JPSIEI; ISSN: 1075-2617
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The fully extended peptide conformation (2.05-helix) has been investigated for the first time in the solid-state by 13C cross-polarization magic angle spinning NMR. The compds. examined are members of a terminally protected, homo-oligopeptide series (from monomer through hexamer) based on Cα,β-didehydroalanine.
IT 223778-49-2
RL: PRP (Properties)
(secondary structure of didehydroalanine homooligopeptides in solid-state by 13C cross-polarization magic angle spinning NMR)
RN 223778-49-2 CAPLUS
CN Alanine, N-(4-bromobenzoyl)-2,3-didehydroalanyl-2,3-didehydroalanyl-2,3-didehydroalanyl-2,3-didehydro-, methyl ester (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 AAAA



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES
AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L28 ANSWER 3 OF 2352 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:469027 CAPLUS Full-text
DOCUMENT NUMBER: 141:174468
TITLE: Structure-dependent nonenzymatic deamidation of glutaminyl and asparaginyl pentapeptides
AUTHOR(S): Robinson, N. E.; Robinson, Z. W.; Robinson, B. R.; Robinson, A. L.; Robinson, J. A.; Robinson, M. L.; Robinson, A. B.
CORPORATE SOURCE: Division of Chemistry and Chemical Engineering, California Institute of Technology, Pasadena, CA, USA
SOURCE: Journal of Peptide Research (2004), 63(5), 426-436
CODEN: JPERFA; ISSN: 1397-002X

PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

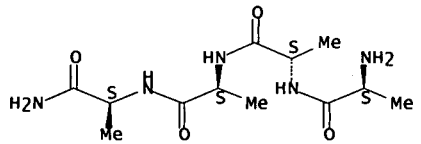
AB Nonenzymic deamidation rates for 52 glutaminyl and 52 asparaginyl pentapeptides in pH 7.4, 37.0 °C, 0.15 M Tris-HCl buffer have been determined by direct injection mass spectrometry. These and the previously reported 306 asparaginyl rates have been combined in a self-consistent model for peptide deamidation. This model depends quant. upon peptide structure and involves succinimide, glutarimide and hydrolysis mechanisms. The exptl. values and suitable interpolated values have been combined to provide deamidation rate values in pH 7.4, 37.0 °C, 0.15 M Tris-HCl buffer for the entire set of 648 single-amide permutations of ordinary amino acid residues in GlyXxxAsnYyyGly and GlyXxxGlnYyyGly. Thus, knowledge about sequence-dependent deamidation in peptides is extended to include very long deamidation half-times in the range of 2-50 yr.

IT 55673-24-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(structure-dependent nonenzymic deamidation rates of peptides)
RN 55673-24-0 CAPLUS
CN L-Alaninamide, L-alanyl-L-alanyl-L-alanyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 AAAA

Absolute stereochemistry.



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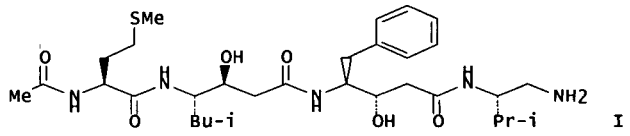
L28 ANSWER 4 OF 2352 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:465491 CAPLUS Full-text
DOCUMENT NUMBER: 141:157465
TITLE: Synthesis and SAR of bis-statine based peptides as BACE 1 inhibitors
AUTHOR(S): Hu, Baihua; Fan, Kristi Yi; Bridges, Kristie; Chopra, Rajiv; Lovering, Frank; Cole, Derek; Zhou, Ping; Ellingboe, John; Jin, Guixiang; Cowling, Rebecca; Bard, Jonathan
CORPORATE SOURCE: Department of Chemical and Screening Sciences, Wyeth Research, Collegeville, PA, 19426, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(13), 3457-3460
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

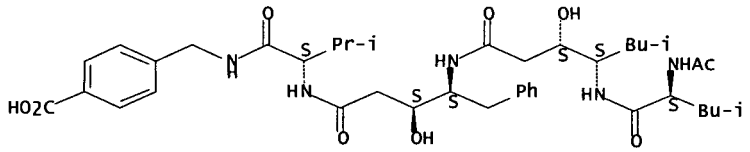
AB A new series of bis-statine based peptidomimetic inhibitors of human β-secretase (BACE 1) was developed by structure-based modification of the three regions of initial bis-statine peptidomimetic I. Introduction of a 4-aminomethylbenzoic acid on the C-terminus resulted in a potent BACE 1 inhibitor with an IC50 value of 21 nM. The general requirements for the optimal substrate-enzyme interaction are disclosed herein.

IT 731860-42-7P 731860-43-8P 731860-44-9P
731860-45-0P 731860-46-1P 731860-47-2P
731860-48-3P 731860-49-4P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);
BIOL (Biological study); PREP (Preparation)
(synthesis and β-secretase-inhibiting structure-activity relationship of bis-statine based peptides)
RN 731860-42-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

NTE modified

SEQ 1 LXXV

Absolute stereochemistry.

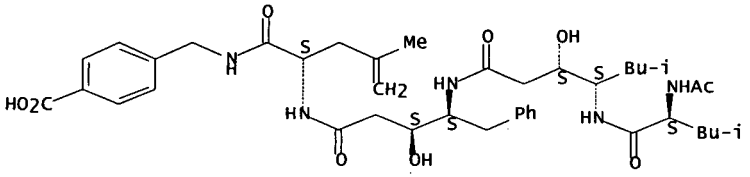


RN 731860-43-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

NTE modified

SEQ 1 LXXL

Absolute stereochemistry.

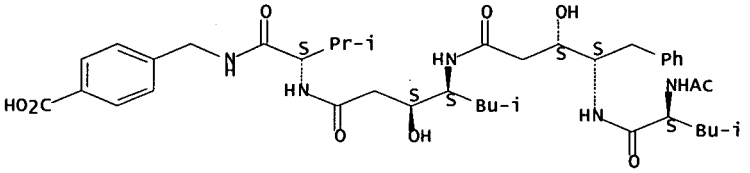


RN 731860-44-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

NTE modified

SEQ 1 LXXV

Absolute stereochemistry.

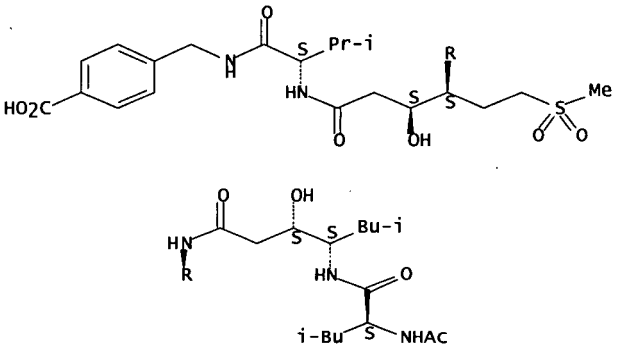


RN 731860-45-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

NTE modified (modifications unspecified)

SEQ 1 LXXV

Absolute stereochemistry.

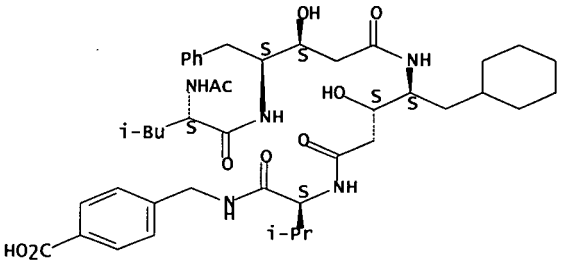


RN 731860-46-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

NTE modified

SEQ 1 LXXV

Absolute stereochemistry.

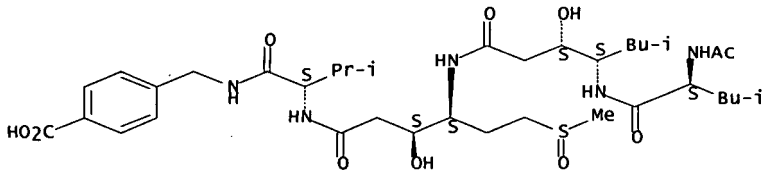


RN 731860-47-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

NTE modified (modifications unspecified)

SEQ 1 LXXV

Absolute stereochemistry.

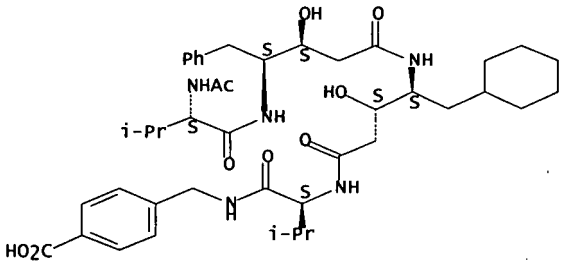


RN 731860-48-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

NTE modified

SEQ 1 VXXV

Absolute stereochemistry.

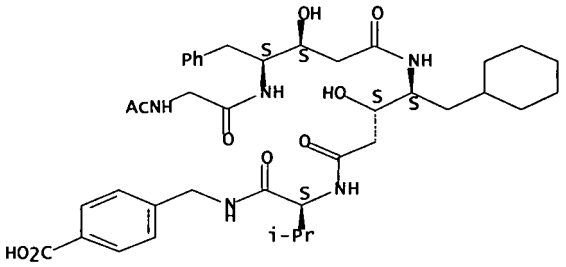


RN 731860-49-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

NTE modified

SEQ 1 GXXV

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 5 OF 2352 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:459215 CAPLUS Full-text
DOCUMENT NUMBER: 141:162318
TITLE: Conjugates of gadolinium complexes to bile acids as hepatocyte-directed contrast agents for magnetic resonance imaging
AUTHOR(S): Anelli, Pier Lucio; Lattuada, Luciano; Lorusso, Vito; Lux, Giovanna; Morisetti, Alberto; Morosini, Pierfrancesco; Serleti, Michele; Uggeri, Fulvio
CORPORATE SOURCE: Bracco Imaging spa, Milano Research Centre, Milan, 20134, Italy
SOURCE: Journal of Medicinal Chemistry (2004), 47(14), 3629-3641
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of structurally different Gd(III) conjugates incorporating a bile acid moiety have been prepared Polyaminopolycarboxylic ligands such as diethylenetriaminepentaacetic acid (DTPA) and 1,4,7,10-tetraazacyclododecane-1,4,7,10-tetracetic acid (DOTA) have been selected as chelating subunit for the Gd(III) ion. Cholic acid, cholylglycine, and cholyltaurine have been incorporated as the bile acid moieties. In first generation conjugates the Gd(III) complex was linked to the carboxyl group of cholic acid. Second generation conjugates featured the attachment of the Gd(III) complex to the 3 position of the steroidic backbone of the bile acid. Finally, in third generation conjugates the Gd(III)

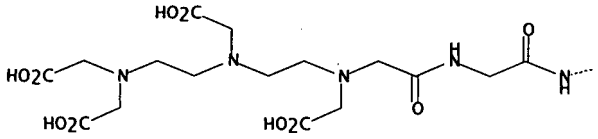
complex was attached to the ε nitrogen atom of cholyllysine. The conjugates were eliminated through the biliary route to a various extent (7.5 to 77% in rats) according to their structural features. Among the most promising terms, a second generation conjugate in which the Gd(III) complex was linked to cholic acid through the 3α hydroxy group seems to enter hepatocytes using the Na+/taurocholate transporter. Noticeably, some of the second generation conjugates were characterized by very high tolerabilities (LD50 up to 9.5 mmol/kg) after i.v. administration in mice.

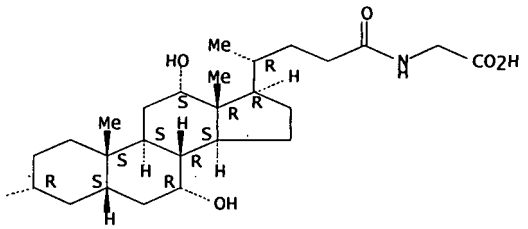
IT 731009-28-2DP, gadolinium complexes
RL: ADV (Adverse effect, including toxicity); PKT (Pharmacokinetics); SPN
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)
(preparation of gadolinium conjugates with bile acids as hepatocyte-directed
contrast agents for magnetic resonance imaging)

RN 731009-28-2 CAPLUS

CN Glycinamide, N-[2-[[2-[bis(carboxymethyl)amino]ethyl](carboxymethyl)amino]ethyl]-N-(carboxymethyl)glycyl-N-[(3α,5β,7α,12α)-24-[(carboxymethyl)amino]-7,12-dihydroxy-24-oxocholan-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





IT 731009-28-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of gadolinium conjugates with bile acids as hepatocyte-directed
contrast agents for magnetic resonance imaging)

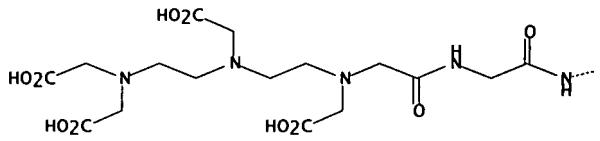
RN 731009-28-2 CAPLUS

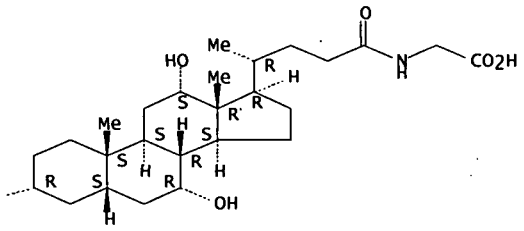
CN Glycinamide, N-[2-[[2-[bis(carboxymethyl)amino]ethyl](carboxymethyl)amino]ethyl]-N-(carboxymethyl)glycyl-N-[(3α,5β,7α,12α)-24-[(carboxymethyl)amino]-7,12-dihydroxy-24-oxocholan-3-yl]- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 GGXG

Absolute stereochemistry.





REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES
AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

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     FILE 'REGISTRY' ENTERED AT 10:50:27 ON 09 SEP 2004
L1             STRUCTURE UPLOADED
L2            50 S L1 SAM
L3        308369 S L1 FUL

     FILE 'CAPLUS' ENTERED AT 10:52:16 ON 09 SEP 2004
L4            44 S L2
L5             0 S L4 AND PD<20000217

     FILE 'REGISTRY' ENTERED AT 10:54:26 ON 09 SEP 2004
L6             STRUCTURE UPLOADED
L7            38 S L6 SAM

     FILE 'REGISTRY' ENTERED AT 11:34:50 ON 09 SEP 2004

     FILE 'CAPLUS' ENTERED AT 11:34:54 ON 09 SEP 2004
L8            47 S L7
L9            41 S L8 AND PD<20020312
L10           33 S L9 AND PD<20000217
L11      2918396 S 10 AND PD<19990218
L12           32 S L10 AND PD<19990218

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L13      3645970 S [GAVL][-FWHT][-FWHTP][GAVL]/SQSP
L14         5874 S L13 AND SQL=4

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L15         4169 S L14
L16         3256 L15 AND PD<19990218
L17            0 L16 AND PATEN
L18            3 L16 AND PATENT
L19          441 L16 AND PATENT/DT
L20         2815 L16 NOT L19

     FILE 'STNGUIDE' ENTERED AT 12:21:11 ON 09 SEP 2004

     FILE 'REGISTRY' ENTERED AT 12:25:06 ON 09 SEP 2004
L21      3624012 S [GAVL][-FWHT][-GFWHTP][GAVL]/SQSP

     FILE 'CAPLUS' ENTERED AT 12:26:40 ON 09 SEP 2004

     FILE 'REGISTRY' ENTERED AT 12:27:20 ON 09 SEP 2004
L22         4775 L21 AND SQL=4

     FILE 'CAPLUS' ENTERED AT 12:27:30 ON 09 SEP 2004
L23         2994 S L22
L24          346 S L23 AND L19
L25         2648 L23 NOT L24
L26          642 L23 AND PATENT/DT
L27          168 L 23 NOT L26
L28         2352 S L23 NOT L26

=> s l28 and pd<19990218
      19597386 PD<19990218
                 (PD<19990218)
L29         1915 L28 AND PD<19990218

=> d l29 1-5 ibib abs hitseq

L29  ANSWER 1 OF 1915  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:          2000:288578  CAPLUS Full-text
DOCUMENT NUMBER:           133:120640
TITLE:                     Study on the cyclization tendency of
tetrapeptides
                           containing N-alkylated alanines
AUTHOR(S):                 Besser, Diana; Olender, Roberto; Rosenfeld,
Rakefet;
                           Reissmann, Siegmund
CORPORATE SOURCE:          Institute of Biochemistry and Biophysics,
                           Friedrich-Schiller-University of Jena, Jena,
07743,
                           Germany
SOURCE:                    Peptides 1998, Proceedings of the European
Peptide
                           Symposium, 25th, Budapest, Aug. 30-Sept. 4,
1998 (
                           1999), Meeting Date 1998, 484-485.
Editor(s):
                           Bajusz, Sandor; Hudecz, Ferenc.  Akademiai
Kiado:
                           Budapest, Hung.
                           CODEN: 68WKAY
DOCUMENT TYPE:             Conference
LANGUAGE:                  English
```

AB A symposium report. Linear tetrapeptide nitrophenyl esters, contg. Nα-(carboxymethyl)alanine at position 1 and Nα-(aminoethyl)alanine at position 4, were synthesized via solid-phase synthesis. Cyclization for these peptide esters was studied in solution and their cyclization rates were obtained.

IT 284666-66-6P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and cyclization tendencies of tetrapeptides containing N-alkylated
alanines)

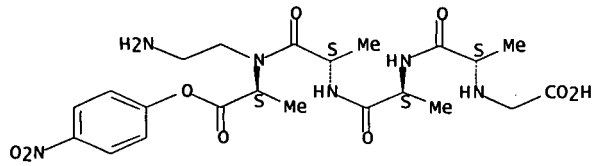
RN 284666-66-6 CAPLUS

CN L-Alanine, N-(carboxymethyl)-L-alanyl-L-alanyl-L-alanyl-N-(2-aminoethyl)-,
4-(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 AAAA

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 2 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:288575 CAPLUS Full-text

DOCUMENT NUMBER: 134:1500

TITLE: Relationship between structure and phytotoxic activity
of cyclic tetradepsipeptides, AM-toxin analogs

AUTHOR(S): Miyashita, Masahiro; Nakamori, Tomoko; Murai,
Takahiro; Miyagawa, Hisashi; Akamatsu, Miki; Ueno,
Tamio

CORPORATE SOURCE: Graduate School of Agriculture, Kyoto University,
Kyoto, 606-8502, Japan

SOURCE: Peptides 1998, Proceedings of the European Peptide
Symposium, 25th, Budapest, Aug. 30-Sept. 4, 1998 (
1999), Meeting Date 1998, 478-479.
Editor(s): Bajusz, Sandor; Hudecz, Ferenc. Akademiai Kiado:
Budapest, Hung.
CODEN: 68WKAY

DOCUMENT TYPE: Conference

LANGUAGE: English

AB In this study, an AM-toxin I analog in which the L-Ala residue was replaced by β-Ala as well as analogs in which a Gly residue was inserted at either terminus of L-Ala were synthesized and their structure-activity relationship was examined The mol. hydrophobicity of these AM-toxin analogs was also determined in terms of the partition coefficient in a 1-octanol/water system and the HPLC retention time, in order to evaluate the effect of the penetration of the compds. through cell membranes of apple leaves. In conclusion, the AM-toxin I analogs having an extended backbone-ring structure were synthesized and the effect of the structure on necrotic activity was investigated. The fact these compds. had the much lower activity than AM-toxin I suggests that the backbone structure of AM-toxin I is important for activity.

IT 308341-40-4P
RL: ADV (Adverse effect, including toxicity); PRP (Properties); SPN
(Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(relationship between structure and phytotoxic activity of cyclic
tetradepsipeptides, AM-toxin analogs)

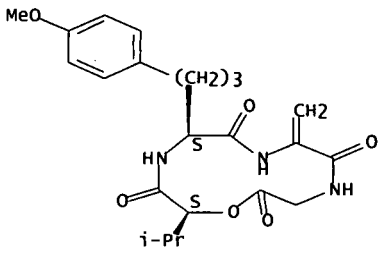
RN 308341-40-4 CAPLUS

CN 1-Oxa-4,7,10-triazacyclododecane-2,5,8,11-tetrone, 9-[3-(4-methoxyphenyl)propyl]-6-methylene-12-(1-methylethyl)-, (9S,12S)-(9CI)
(CA INDEX NAME)

NTE cyclic
modified (modifications unspecified)

SEQ 1 AGXX

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

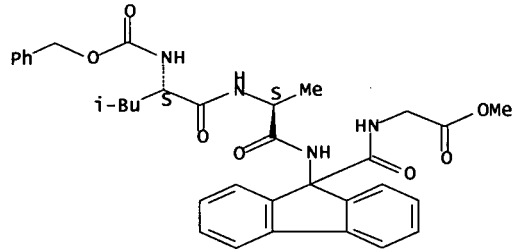
L29 ANSWER 3 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:288538 CAPLUS Full-text
DOCUMENT NUMBER: 133:17814
TITLE: Synthesis, conformation and interaction of peptides containing 9-aminofluorene-9-carboxylic acid
AUTHOR(S): Yamada, Takashi; Makihira, Kazunari; Suzuki, Satomi; Yanagihara, Ryoji; Miyazawa, Toshifumi
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Konan University, Kobe, 658-8501, Japan
SOURCE: Peptides 1998, Proceedings of the European Peptide Symposium, 25th, Budapest, Aug. 30-Sept. 4, 1998 (1999), Meeting Date 1998, 404-405.
Editor(s): Bajusz, Sandor; Hudecz, Ferenc. Akademiai Kiado: Budapest, Hung.
CODEN: 68WKAY
DOCUMENT TYPE: Conference
LANGUAGE: English
AB A symposium report. We report the synthesis of peptides contg. 9-aminofluorene-9-carboxylic acid (Afc), in order to compare them with α,α-diphenylglycine-containing peptides. Afc has a rigid planar side chain in which two Ph groups are forced to be coplanar.
IT 256381-25-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis, conformation and interaction of peptides containing aminofluorenecarboxylic acid)
RN 256381-25-6 CAPLUS

CN Glycine, N-[(phenylmethoxy)carbonyl]-L-leucyl-L-alanyl-9-amino-9H-fluorene-9-carbonyl-, methyl ester (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 LAXG

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 4 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:288402 CAPLUS Full-text
DOCUMENT NUMBER: 132:347920
TITLE: Peptide synthesis catalyzed by subtilisin and thermolysin in organic solvents
AUTHOR(S): Getun, Irina V.; Filippova, Irina Yu.; Lysogorskaya, Elena N.; Anisimova, Veronika V.; Oksenoit, Elena S.; Bacheva, Anna V.; Stepanov, Valentin M.
CORPORATE SOURCE: Department of Chemistry, Lomonosov Moscow State University, Russia
SOURCE: Peptides 1998, Proceedings of the European Peptide Symposium, 25th, Budapest, Aug. 30-Sept. 4, 1998 (1999), Meeting Date 1998, 132-133.
Editor(s): Bajusz, Sandor; Hudecz, Ferenc. Akademiai Kiado: Budapest, Hung.
CODEN: 68WKAY
DOCUMENT TYPE: Conference

LANGUAGE: English

AB A symposium report. The purpose of the present work is to study the possibility of dissolving and using subtilisin 72 and thermolysin as catalysts for peptide bond synthesis in organic solvents.

IT 197719-42-9P
RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(peptide synthesis catalyzed by subtilisin and thermolysin in organic solvents)

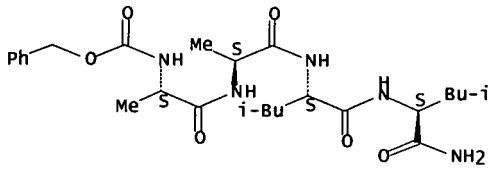
RN 197719-42-9 CAPLUS

CN L-Leucinamide, N-[(phenylmethoxy)carbonyl]-L-alanyl-L-alanyl-L-leucyl-
(9CI) (CA INDEX NAME)

NTE modified

SEQ 1 AALL

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 5 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:264469 CAPLUS Full-text

DOCUMENT NUMBER: 133:105301

TITLE: Synthesis of oligopeptides containing α,α-diphenylglycine

AUTHOR(S): Yamada, Takashi; Urabe, Yuka; Yanagihara, Ryoji; Miyazawa, Toshifumi

CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Konan University, Kobe, 658-8501, Japan

SOURCE: Peptide Science (1999), 36th, 143-146
CODEN: PSCIFQ; ISSN: 1344-7661

PUBLISHER: Japanese Peptide Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB By elongation in the N- and C-terminals of tripeptides contg. α,α-diphenylglycine (Dph), Z-AA1-Dph-AA3-OMe (AA1, AA3 = Gly, Aib), which were obtained by a modified Ugi reaction, Dph-containing tetra-, penta- and hexapeptides were synthesized by the EDC-HOBt and EDC-HOAt methods. Both couplings of Z-Aib-OH with Aib-Dph-Aib-Aib-OMe and Z-Aib-Dph-Aib-OH with Aib-Dph-Aib-OMe were very difficult.

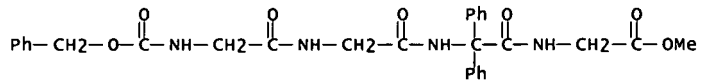
IT 283168-09-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of α,α-diphenylglycine-containing oligopeptides)

RN 283168-09-2 CAPLUS

CN Glycine, N-[(phenylmethoxy)carbonyl]glycylglycyl-2,2-diphenylglycyl-,
methyl ester (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 GGXG



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	
FULL ESTIMATED COST	192.76 962.22

	SINCE FILE TOTAL ENTRY SESSION
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	
CA SUBSCRIBER PRICE	-14.00 - 50.40

FILE 'STNGUIDE' ENTERED AT 12:31:53 ON 09 SEP 2004

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Sep 3, 2004 (20040903/UP).

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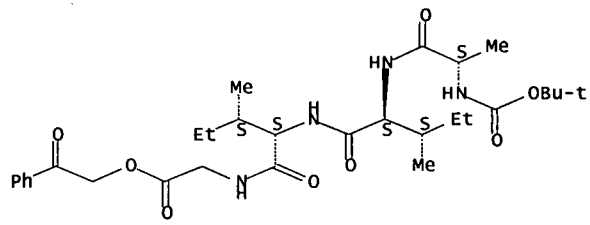
YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

L29 ANSWER 6 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:264431 CAPLUS Full-text
DOCUMENT NUMBER: 133:4981
TITLE: Synthesis of amyloid β-peptides in solution: chloroform-phenol mixed solvent is essential for segment condensation of sparingly soluble protected peptides
AUTHOR(S): Inui, Tatsuya; Nishio, Hideki; Nishiuchi, Yuji; Kimura, Terutoshi
CORPORATE SOURCE: Protein Research Foundation, Peptide Institute Inc., Osaka, 562-8686, Japan
SOURCE: Peptide Science (1999), 36th, 5-8
CODEN: PSCIFQ; ISSN: 1344-7661
PUBLISHER: Japanese Peptide Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A symposium report. A new solvent system, a mixt. of chloroform and phenol, was developed for the segment condensation of sparingly soluble protected peptides in solution and successfully applied to the synthesis of amyloid β-peptide (1-42), (1-43) and [Pyr3]-(3-42). These peptides of high homogeneity were used to examine the relation between structure and amyloidogenesis by means of CD spectra and fluorimetric assay.
IT 270083-73-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(synthesis of amyloid β-peptides in solution using chloroform-phenol mixed solvent for segment condensation of sparingly soluble protected peptides)
RN 270083-73-3 CAPLUS
CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-L-isoleucyl-L-isoleucyl-, 2-oxo-2-phenylethyl ester (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 AIIG

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 7 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:242552 CAPLUS Full-text
DOCUMENT NUMBER: 133:290568
TITLE: US-NCI testing procedures
AUTHOR(S): Plowman, J.; Camalier, R.; Alley, M.; Sausville, E.; Schepartz, S.
CORPORATE SOURCE: Developmental Therapeutics Program, Division of Cancer Treatment and Diagnosis, National Cancer Institute, MD, USA
SOURCE: Contributions to Oncology (1999), 54(Relevance of Tumor Models for Anticancer Drug Development), 121-135
CODEN: COONEV; ISSN: 0250-3220
PUBLISHER: S. Karger AG
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with 21 refs. The US National Cancer Institute (NCI) has carried out a highly integrated, formal program of anticancer drug discovery and development. One of the key components of this program has been a systematic screening program to identify materials worthy of further development to clin. trials. Anticancer screening must utilize exptl. model systems that are hoped to identify agents that will be effective clin., with the decision on the value of a given model being made retrospectively. For many years, a variety of transplanted rodent models were utilized for in vivo tests. Many of the agents developed during that period were effective largely in hematopoietic malignancies. Later, in hopes of identifying agents active against solid tumor malignancies, a number of human tumor xenografts were developed and used as models. A major shift in strategy occurred in the mid 1980s, when the decision was made to shift the initial screen to an in vitro

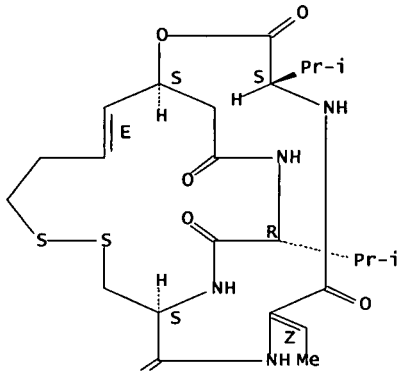
panel of 60 human tumor cell lines, representing nine histol. types. Compds. exhibiting activity in the in vitro screen and selected for further investigation are then evaluated for their effects on a series of human tumors utilizing the hollow fiber assay, and then tested in selected human tumors growing as s.c. xenografts in athymic (nude) mice. Materials demonstrating activity in vivo are then considered as potential candidates for development to clin. trial. Six agents have entered clin. trials and these are: dolastatin 10; quinocarmycin analog DX-52-1; depsipeptide FR901228; UCN-01; flavopiridol (L86-8275); and semi-synthetic spicamycin analog KRN5500.

IT 128517-07-7, FR901228
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(antitumor drug screening and US National Cancer Institute testing procedures)

RN 128517-07-7 CAPLUS
CN Cyclo[(2Z)-2-amino-2-butenoyl-L-valyl-(3S,4E)-3-hydroxy-7-mercapto-4-heptenoyl-D-valyl-D-cysteinyl], cyclic (3→5)-disulfide (9CI) (CA INDEX NAME)

SEQ 1 VCXV

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 8 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:96808 CAPLUS Full-text
DOCUMENT NUMBER: 132:334737
TITLE: FR901228: Antineoplastic antibiotic
AUTHOR(S): Wang, Hwa-Chain R.
CORPORATE SOURCE: Dept. of Comparative Medicine, University of Tennessee, Knoxville, TN, 37901-1071, USA
SOURCE: Drugs of the Future (1999), 24(11), 1184-1188
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with 18 ref. on bicyclic depsipeptide FR901228. Synthesis, fermentation, isolation and pharmacol. actions are discussed.

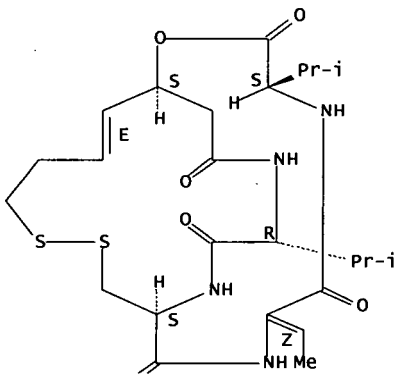
IT 128517-07-7P, FR901228
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation, fermentation, isolation and pharmacol. actions of antineoplastic antibiotic FR901228)

RN 128517-07-7 CAPLUS
CN Cyclo[(2Z)-2-amino-2-butenoyl-L-valyl-(3S,4E)-3-hydroxy-7-mercapto-4-heptenoyl-D-valyl-D-cysteinyl], cyclic (3→5)-disulfide (9CI) (CA INDEX NAME)

SEQ 1 VCXV

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES
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RE FORMAT

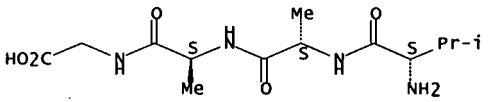
L29 ANSWER 9 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:71997 CAPLUS Full-text
DOCUMENT NUMBER: 132:251420
TITLE: Solid-phase synthesis of hydrophobic peptides on 1,6-hexanediol diacrylate crosslinked polystyrene resin: comparison with merrifield resin
AUTHOR(S): Arunan, C.; Pillai, V. N. Rajasekharan
CORPORATE SOURCE: School of Chemical Sciences, Mahatma Gandhi University, Kottayam, 686 560, India
SOURCE: Protein and Peptide Letters (1999), 6(6), 391-398
CODEN: PPELEN; ISSN: 0929-8665
PUBLISHER: Bentham Science Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A novel polymer support based on 1,6-hexanediol diacrylate and polystyrene was developed. The resin showed better solvation in solvents used for peptide synthesis than Merrifield resin. The synthetic utility of the new support has been illustrated with the synthesis of some hydrophobic peptides which have high affinity for aggregation. The yield and purity of the peptides were high compared to when Merrifield resin was used.

IT 262445-90-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of hydrophobic peptides on hexanediol diacrylate crosslinked polystyrene resin)
RN 262445-90-9 CAPLUS
CN Glycine, L-valyl-L-alanyl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 VAAG

Absolute stereochemistry.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES
AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L29 ANSWER 10 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:71433 CAPLUS Full-text
DOCUMENT NUMBER: 132:237364
TITLE: α-Galactose based neoglycopeptides. Inhibition of verotoxin binding to globotriosylceramide
AUTHOR(S): Arya, Prabhat; Kutterer, Kristina M. K.; Qin, Huiping; Roby, Johanne; Barnes, Michael L.; Lin, Shuqiong; Lingwood, Clifford A.; Peter, Markus G.
CORPORATE SOURCE: Chemical Biology Program, Steacie Institute for Molecular Sciences, National Research Council of Canada, Ottawa, ON, K1A 0R6, Can.
SOURCE: Bioorganic & Medicinal Chemistry (1999), 7(12), 2823-2833
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Soln. and solid phase strategies for the synthesis of α-galactose based neoglycopeptide derivs. 2-13 were developed. Neoglycopeptides generated were tested for the inhibition of verotoxin binding to globotriosylceramide (Gb3) using ELISA.

Among all of the compds. tested, only the lipid derivs. of neoglycopeptides, 11, 12 and 13 were found to be inhibitors, IC50 = 2.0 mM (11b and 12c) and 0.2 mM (11c and 13c). All of the inhibitors (11b, 11c, 12c and 13c) have a similar branching of the two α-galactosyl units at the N-terminal glycine residue of a short peptide and a lipid moiety attached at the C-terminal site. Both of these factors seem to be crucial for the inhibition. It is interesting to note that the inhibitors have only a portion of the natural trisaccharide ligand. The secondary groups either may contribute in sub-site oriented interactions with the protein receptors or may mimic the internal sugar units of the cell-surface ligand, Gb3.

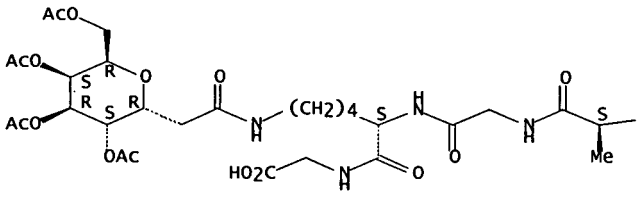
IT 261724-14-5DP, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(inhibition of verotoxin binding to globotriosylceramide by α-galactose based neoglycopeptides)

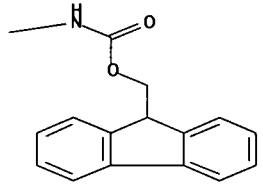
RN 261724-14-5 CAPLUS
CN Glycine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanylglycyl-N6-(4,5,6,8-tetra-O-acetyl-3,7-anhydro-2-deoxy-D-glycero-L-gluco-octonoyl)-L-lysyl-
(9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 AGKG

Absolute stereochemistry.





REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY
SESSION
FULL ESTIMATED COST 0.12
1008.50

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SESSION
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FILE LAST UPDATED: 8 Sep 2004 (20040908/ED)

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=> d l29 11-20 ibib abs hitseq

L29 ANSWER 11 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:47512 CAPLUS Full-text
DOCUMENT NUMBER: 133:45206
TITLE: Synthesis and characterization of some peptides having surface activity using polyethylene glycol
AUTHOR(S): Rahman, Somaya Abdel; Eissa, Abdel-Monem F.; Ameen, Nadia; Naglah, Ahmed M.
CORPORATE SOURCE: Chemistry Dept., Zagazig University, Zagazig, Egypt
SOURCE: Zagazig Journal of Pharmaceutical Sciences (1998), 7(2), 27-32
CODEN: ZJPSEV; ISSN: 1110-5089
PUBLISHER: University of Zagazig, Faculty of Pharmacy
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In order to obtain peptide-based amphoteric surfactants with antimicrobial activity, 6 polyethylene glycol-bounded peptide chains were synthesized using the liquid phase method. The investigated chains contain aliphatic and aromatic amino acids in different sequences. Polyethylene glycol monomethyl ether was employed as soluble polymeric support; dicyclohexyl carbodiimide was used as the coupling reagent while the acid labile tert-butyloxy carbonyl group was applied in N-protection. The synthesized peptide chains were found to have promising surface properties beside their antimicrobial activity.

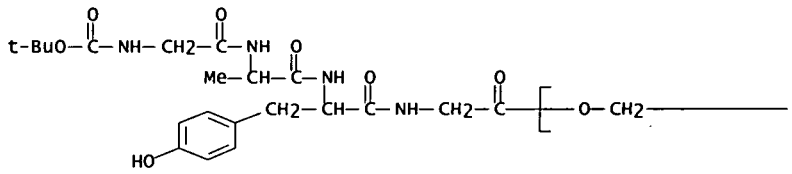
IT 275369-46-5P 275369-49-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and characterization of surface-active poly(ethylene glycol)-bound peptides with antimicrobial activity)

RN 275369-46-5 CAPLUS
CN Poly(oxy-1,2-ethanediyl), α-hydro-ω-methoxy-, ester with N-[(1,1-dimethylethoxy)carbonyl]glycyl-L-alanyl-L-tyrosylglycine (9CI)
(CA INDEX NAME)

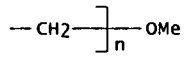
NTE modified (modifications unspecified)

SEQ 1 GAYG

PAGE 1-A



PAGE 1-B

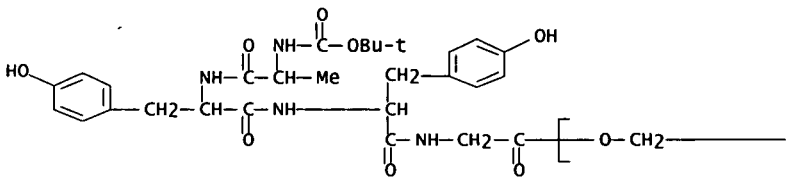


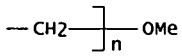
RN 275369-49-8 CAPLUS
CN Poly(oxy-1,2-ethanediyl), α-hydro-ω-methoxy-, ester with N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-L-tyrosyl-L-tyrosylglycine (9CI)
(CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 AYYG

PAGE 1-A





REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES
AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L29 ANSWER 12 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:46397 CAPLUS Full-text
DOCUMENT NUMBER: 132:237357
TITLE: Asymmetric syntheses of unsaturated amino
acids and
peptides via chelate-enolate Claisen
rearrangements
AUTHOR(S): Kazmaier, Uli
CORPORATE SOURCE: Organisch-Chemisches Institut der
Universitat,
Heidelberg, 69120, Germany
SOURCE: Journal of the Indian Chemical Society (1999
), 76(11-12), 631-639
CODEN: JICSAH; ISSN: 0019-4522
PUBLISHER: Indian Chemical Society
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:237357

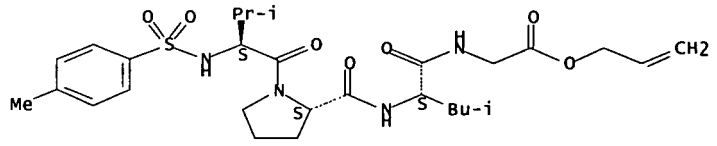
AB N-protected amino acid allylic esters can easily be deprotonated by LDA at -78° and transmetallated by addition of metal salts. Upon warming up to room temperature, these enolates undergo Claisen rearrangements giving rise to unsatd. amino acids. Starting from chiral allylic alcs., optically active amino acids are obtained. This chirality transfer can also be used for stereoselective peptide modifications. If tosylated peptide allylic esters are subjected to Claisen rearrangement, the chirality of the peptide chain can also be used as a stereocontrolling element. Another possibility to introduce chirality is given by the rearrangement in the presence of chiral ligands, such as Chinchona alkaloids.

IT 233591-86-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(asym. syntheses of unsatd. amino acids and peptides via chelate-enolate Claisen rearrangements)
RN 233591-86-1 CAPLUS
CN Glycine, N-[(4-methylphenyl)sulfonyl]-L-valyl-L-prolyl-L-leucyl-
,
2-propenyl ester (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 VPLG

Absolute stereochemistry.



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES
AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L29 ANSWER 13 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:39686 CAPLUS Full-text
DOCUMENT NUMBER: 132:189736
TITLE: 5-HT-moduline controls serotonergic
activity:
implication in neuroimmune reciprocal
regulation
mechanisms
AUTHOR(S): Grimaldi, B.; Fillion, G.
CORPORATE SOURCE: Unite de Pharmacologie
neuroimmunoendocrinieme, Unite
de Pharmacologie neuroimmunoendocrinieme,
Institut
Pasteur, Paris, 75724, Fr.
SOURCE: Progress in Neurobiology (Oxford) (1999),
Volume Date 2000, 60(1), 1-12
CODEN: PGNBA5; ISSN: 0301-0082
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review, with 76 refs. The serotonergic neurotransmission is known as a neuromodulatory system exerting its activity in the central nervous system (CNS) as well as at the periphery. The anatomical and morphol. organization of the system based on a marked centralization of the cellular bodies and the large, almost ubiquitary, presence of axonal projections of the neurons is in good agreement with this modulatory role. Furthermore, a very high number of varicosities located along the axonal branches are capable of releasing serotonin (5-HT). The amine stimulates a number of different specific receptor types which allows 5-HT to exert different activities on its various cellular targets. Among these receptors, the 5-HT1B subtypes play a particular role as they are autoreceptors located on 5-HT neurons terminals and heteroreceptors located on non-serotonergic terminals where they control the release of the neurotransmitter. 5-HT-moduline, an endogenous tetrapeptide,

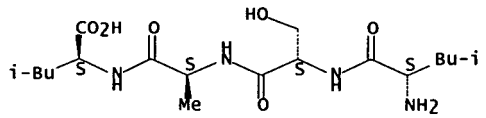
regulates the efficacy of these 5-HT1B receptors, hence, is able to control the serotonergic activity in a synchronous manner for the various varicosities from a single neuron and thus may favor the differential effect of that neuron on distinct cerebral functions. Accordingly, the peptide allows the "fine tuning" of the cerebral activity by the serotonergic system to elaborate the response given by the brain to a particular stimulus, i.e., stress situations. At the periphery, the serotonergic system also appears to possess a regulatory activity via 5-HT1B receptors. In particular, the receptors located on immunocompetent cells control their activity and are themselves regulated by 5-HT-moduline likely originating from adrenal medulla and released after acute stress. The serotonergic system appears to play a major role in the reciprocal signaling existing between the neuronal and the immune system. The participation of 5-HT-moduline is likely in physiol. functions as well as in pathol. disorders affecting central and peripheral activities.

IT 169249-03-0, 5-HT-moduline
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(5-HT-moduline controls serotonergic activity and implication in neuroimmune reciprocal regulation mechanisms)

RN 169249-03-0 CAPLUS
CN L-Leucine, L-leucyl-L-seryl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LSAL

Absolute stereochemistry.



REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 14 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:18144 CAPLUS Full-text
DOCUMENT NUMBER: 132:222850
TITLE: Preferred solution conformation of peptides rich in the lipophilic, chiral, Cα-methylated α-amino acid (αMe)Aoc
AUTHOR(S): Peggion, Cristina; Formaggio, Fernando; Crisma, Marco; Toniolo, Claudio; Kaptein, Bernard; Broxterman, Quirinus B.; Kamphuis, Johan
CORPORATE SOURCE: Biopolymer Research Centre, CNR, Department of Organic Chemistry, University of Padova, Padua, 35131, Italy
SOURCE: Journal of Peptide Science (1999), 5(12), 547-554
CODEN: JPSIEI; ISSN: 1075-2617
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The lipophilic, chiral, Cα-methylated α-amino acid L-(αMe)Aoc (2-methyl-2-amino-octanoic acid) was prepared using a chemo-enzymic approach. Two series of terminally protected model peptides, from dimer through to hexamer, containing L-(αMe)Aoc in combination with either Gly or Aib, were synthesized by solution methods and were fully characterized. A solution conformational anal., based on FT-IR absorption, 1H-NMR and CD techniques, was performed with the aim at determining the preferred conformation of this novel amino acid and the relationship between chirality at its α-carbon atom and screw sense of the helix that is formed. The results obtained strongly support the view that L-(αMe)Aoc favors the formation of the right-handed 310-helical conformation.

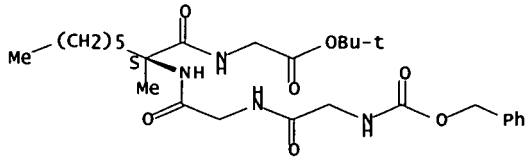
IT 261517-55-9P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preferred solution conformation of peptides rich in the lipophilic, chiral, Cα-methylated α-amino acid (αMe)Aoc (2-methyl-2-amino-octanoic acid))

RN 261517-55-9 CAPLUS
CN Glycine, N-[(phenylmethoxy)carbonyl]glycylglycyl-(2S)-2-amino-2-methyloctanoyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 GGXG

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

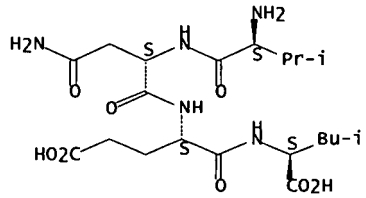
L29 ANSWER 15 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:809520 CAPLUS Full-text
DOCUMENT NUMBER: 133:16465
TITLE: Process scale chromatographic isolation, characterization and identification of tryptic
bioactive casein phosphopeptides
AUTHOR(S): Ellegard, Katrine H.; Gammelgard-Larsen, Claus;
Sorensen, Esben S.; Fedosov, Sergey
CORPORATE SOURCE: MD Foods R and D, Viby, DK-8260, Den.
SOURCE: International Dairy Journal (1999), 9(9), 639-652
CODEN: IDAJE6; ISSN: 0958-6946
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A process scale isolation of 40 kg tryptic casein phosphopeptides (CPP) was implemented using tryptic hydrolysis, acid precipitation, diafiltration and anion exchange chromatog. The obtained yield corresponded to 20% (weight/weight) CPPs from caseinate. The CPP-product was obtained either as Ca-CPP (6.97% Ca, 0.23% Na) or Na-CPP (0.01% Ca, 8.53% Na). These products were completely soluble at pH as low as 0.5 and displayed no particular taste. All produced CPPs were phosphorylated peptides which exhibited calcium binding ability and inhibited calcium phosphate crystallization The peptides were identified by mass spectrometry and N-terminal sequencing as genuine truncated tryptic peptides. A high purity CPP product, available as Na-CPP and Ca-CPP, was obtained by this high yield process scale isolation of tryptic CPPs.

IT 271788-41-1
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(process scale chromatog. isolation, characterization and identification of tryptic bioactive casein phosphopeptides)
RN 271788-41-1 CAPLUS
CN L-Leucine, L-valyl-L-asparaginyl-L-α-glutamyl- (9CI) (CA INDEX NAME)

SEQ 1 VNEL

Absolute stereochemistry.



REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 16 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:799430 CAPLUS Full-text
DOCUMENT NUMBER: 132:194651
TITLE: Synthesis and activity of NH2- and COOH-terminal
elastase recognition sequences on cotton
AUTHOR(S): Edwards, J. V.; Batiste, S. L.; Gibbins, E. M.;
Goheen, S. C.
CORPORATE SOURCE: Agricultural Research Service, Southern Regional
Research Center, Cotton Textile Chemistry Research
Unit, United States Department of Agriculture, New
Orleans, LA, 70124, USA
SOURCE: Journal of Peptide Research (1999), 54(6), 536-543
CODEN: JPERFA; ISSN: 1397-002X
PUBLISHER: Munksgaard International Publishers Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The application of peptide recognition sequences of elastase to fibers of wound dressings is a possible route to inhibiting high levels of destructive elastase in the chronic wound. For this reason we have synthesized the elastase recognition sequence Val-Pro-Val on both cotton cellulose, and carboxymethylated cellulose cotton (CMC) and prepared chromatog. columns of these to examine elastase retention. The tripeptide was synthesized on cotton-based cellulose fibers both in sequence and as a tripeptide Me ester. Glycine was employed as a linker of the recognition sequence to the cotton cellulose. Pre-treatment of cotton cellulose with cellulase improved the substitution level of glycine. The peptidocellulose conjugates were employed as a chromatog. stationary phase to assess elastase retention. The sequence Val-Pro-Val-OMe was amino-terminally anchored to carboxymethylated cotton and demonstrated retention of up to 58% of elastase when first applied to the column. Higher repetitive retention was demonstrated subsequently. Cotton gauze similarly

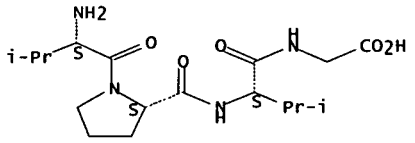
modified with Val-Pro-Val-Gly cellulose was compared with untreated gauze for reduction of elastase activity in buffered saline. Solns. of elastase that were treated with Val-Pro-Val-Gly cellulose cotton gauze, demonstrated reduced elastase activity. This study demonstrates the use of elastase recognition sequences as sequestering agents of elastase when attached to cotton fibers and constitutes a model for the design of peptidocellulose analogs in dressing fibers for chronic wounds.

IT 135069-63-5DP, cellulose-bound
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and activity of elastase recognition sequences on cotton for use in wound dressings)

RN 135069-63-5 CAPLUS
CN Glycine, L-valyl-L-prolyl-L-valyl- (9CI) (CA INDEX NAME)

SEQ 1 VPVG

Absolute stereochemistry.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 17 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:763313 CAPLUS Full-text
DOCUMENT NUMBER: 132:45114
TITLE: Structural requirements of 5-hydroxytryptamine-moduline analogues to interact with the 5-hydroxytryptamine1B receptor
AUTHOR(S): Plantefol, Mathieu; Rousselle, Jean Claude; Massot, Olivier; Bernardi, Elizabeth; Schoofs, Alain Rene; Pourrias, Bernard; Ollivier, Roland; Fillion, Gilles
CORPORATE SOURCE: Unite de Pharmacologie Neuro-Immuno-Endocrinienne, Institut Pasteur, Paris, Fr.
SOURCE: Journal of Neurochemistry (1999), 73(6), 2617-2620
CODEN: JONRA9; ISSN: 0022-3042
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

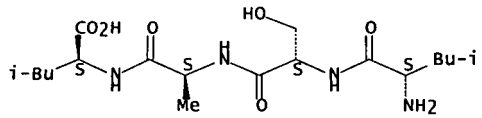
AB 5-Hydroxytryptamine-moduline is an endogenous cerebral tetrapeptide that regulates the activity of 5-hydroxytryptamine1B receptors. Direct binding of 5-[3H]hydroxytryptamine-moduline on rat brain homogenate evidenced the existence of two interacting sites for the peptide, very likely corresponding to different conformations of the 5-hydroxytryptamine1B receptor. The peptide first binds to a low-affinity state of the receptor (pIC50 = 7.68) and then induces (or stabilizes) a high-affinity complex (pIC50 = 11.62). This work focuses on the ability of 5-hydroxytryptamine- moduline analogs to recognize the high- and low-affinity sites for 5-hydroxytryptamine-moduline. The results obtained show that the two conformers of the 5-hydroxytryptamine1B receptor have similar but not identical binding pockets for 5-hydroxytryptamine-moduline. These two sites proved to be stereoselective and selective for tetrapeptides and favored the binding of peptides with hydrophobic amino acids in positions 1 and 4, serine in position 2, and a short amino acid in position 3. However, the serine in position 2 seems to be more important for the interaction of the peptide with the low-affinity site than the high-affinity one, which only needs a short hydrophobic amino acid in position 2.

IT 169249-03-0 169249-03-0D, 5-HT-moduline, analogs
169249-06-3 169249-07-4 252985-19-6, Leu-Ala-Ala-Leu 252985-20-9, Leu-Abu-Ala-Leu 252985-21-0, Leu-Pro-Ala-Leu 252985-22-1 252985-24-3, Ala-Ser-Ala-Leu 252985-25-4, Leu-Ser-Abu-Leu 252985-26-5 252985-27-6, Leu-Ser-Ala-D-Leu 252985-28-7 252985-29-8 252985-30-1 252985-31-2 252985-32-3 252985-33-4 252985-34-5 252985-35-6 252985-36-7 252985-37-8 252985-38-9 252985-39-0 252985-40-3 252985-41-4
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(5-HT-moduline analog interaction with high- and low-affinity 5-HT1B receptor states and structural requirements therein)

RN 169249-03-0 CAPLUS
CN L-Leucine, L-leucyl-L-seryl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LSAL

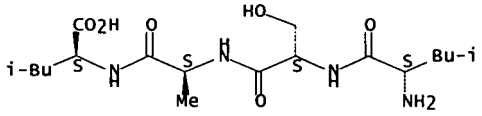
Absolute stereochemistry.



RN 169249-03-0 CAPLUS
CN L-Leucine, L-leucyl-L-seryl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LSAL

Absolute stereochemistry.

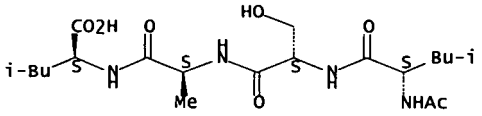


RN 169249-06-3 CAPLUS
CN L-Leucine, N-acetyl-L-leucyl-L-seryl-L-alanyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 LSAL

Absolute stereochemistry.

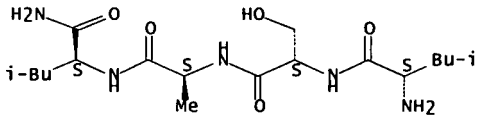


RN 169249-07-4 CAPLUS
CN L-Leucinamide, L-leucyl-L-seryl-L-alanyl- (9CI) (CA INDEX NAME)

NTE modified

SEQ 1 LSAL

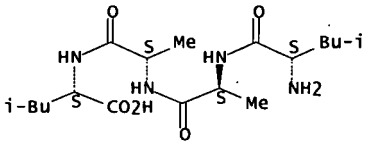
Absolute stereochemistry.



RN 252985-19-6 CAPLUS
CN L-Leucine, L-leucyl-L-alanyl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LAAL

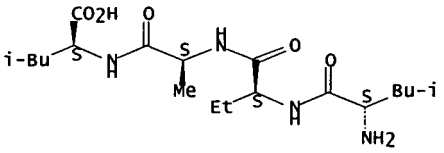
Absolute stereochemistry.



RN 252985-20-9 CAPLUS
CN L-Leucine, L-leucyl-(2S)-2-aminobutanoyl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LXAL

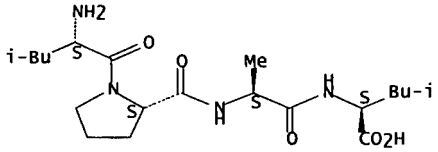
Absolute stereochemistry.



RN 252985-21-0 CAPLUS
CN L-Leucine, L-leucyl-L-prolyl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LPAL

Absolute stereochemistry.

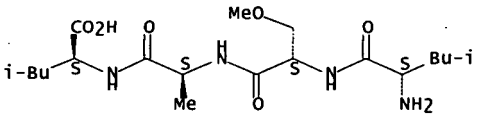


RN 252985-22-1 CAPLUS
CN L-Leucine, L-leucyl-O-methyl-L-seryl-L-alanyl- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 LSAL

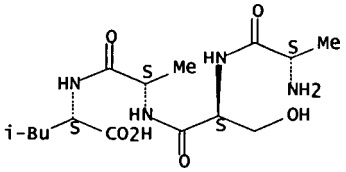
Absolute stereochemistry.



RN 252985-24-3 CAPLUS
CN L-Leucine, L-alanyl-L-seryl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 ASAL

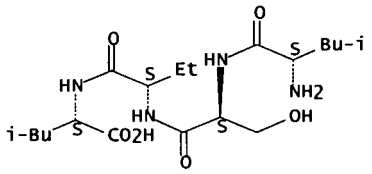
Absolute stereochemistry.



RN 252985-25-4 CAPLUS
CN L-Leucine, L-leucyl-L-seryl-(2S)-2-aminobutanoyl- (9CI) (CA INDEX NAME)

SEQ 1 LSXL

Absolute stereochemistry.

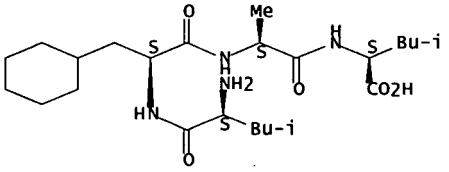


RN 252985-26-5 CAPLUS
CN L-Leucine, L-leucyl-3-cyclohexyl-L-alanyl-L-alanyl- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 LAAL

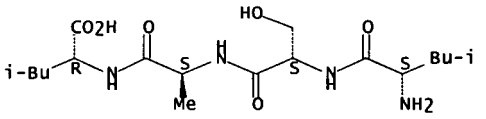
Absolute stereochemistry.



RN 252985-27-6 CAPLUS
CN D-Leucine, L-leucyl-L-seryl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LSAL

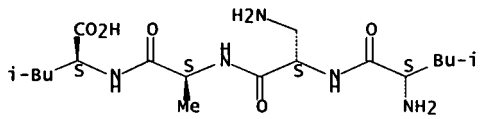
Absolute stereochemistry.



RN 252985-28-7 CAPLUS
CN L-Leucine, L-leucyl-3-amino-L-alanyl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LXAL

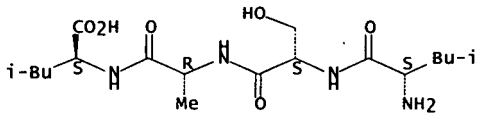
Absolute stereochemistry.



RN 252985-29-8 CAPLUS
CN L-Leucine, L-leucyl-L-seryl-D-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LSAL

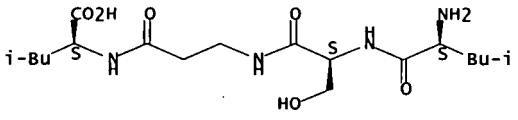
Absolute stereochemistry.



RN 252985-30-1 CAPLUS
CN L-Leucine, L-leucyl-L-seryl-β-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LSXL

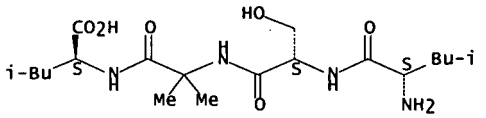
Absolute stereochemistry.



RN 252985-31-2 CAPLUS
CN L-Leucine, L-leucyl-L-seryl-2-methylalanyl- (9CI) (CA INDEX NAME)

SEQ 1 LSXL

Absolute stereochemistry.

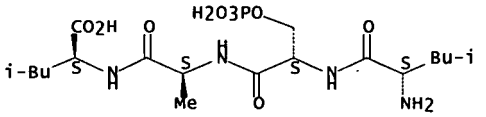


RN 252985-32-3 CAPLUS
CN L-Leucine, L-leucyl-O-phosphono-L-seryl-L-alanyl- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 LSAL

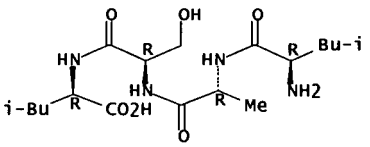
Absolute stereochemistry.



RN 252985-33-4 CAPLUS
CN D-Leucine, D-leucyl-D-alanyl-D-seryl- (9CI) (CA INDEX NAME)

SEQ 1 LASL

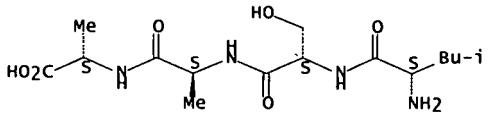
Absolute stereochemistry.



RN 252985-34-5 CAPLUS
CN L-Alanine, L-leucyl-L-seryl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LSAA

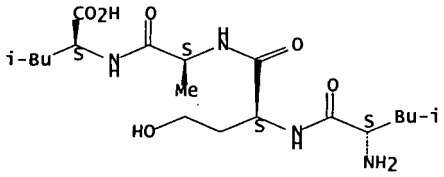
Absolute stereochemistry.



RN 252985-35-6 CAPLUS
CN L-Leucine, L-leucyl-L-homoseryl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LXAL

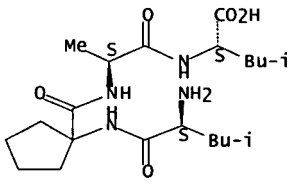
Absolute stereochemistry.



RN 252985-36-7 CAPLUS
CN L-Leucine, L-leucyl-1-aminocyclopentanecarbonyl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LXAL

Absolute stereochemistry.

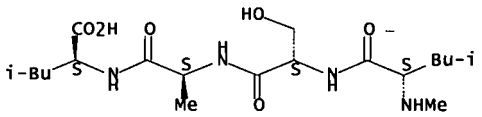


RN 252985-37-8 CAPLUS
CN L-Leucine, N-methyl-L-leucyl-L-seryl-L-alanyl- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 LSAL

Absolute stereochemistry.

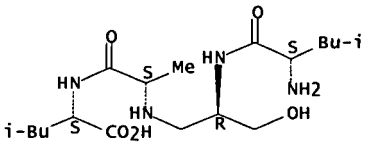


RN 252985-38-9 CAPLUS
CN L-Leucine, L-leucyl-L-seryl-ψ(CH2-NH)-L-alanyl- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 LSAL

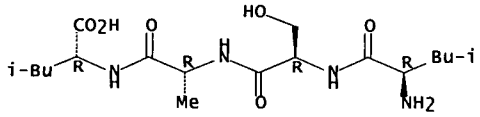
Absolute stereochemistry.



RN 252985-39-0 CAPLUS
CN D-Leucine, D-leucyl-D-seryl-D-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LSAL

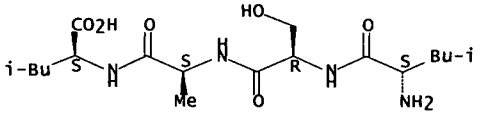
Absolute stereochemistry.



RN 252985-40-3 CAPLUS
CN L-Leucine, L-leucyl-D-seryl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LSAL

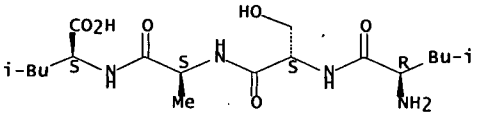
Absolute stereochemistry.



RN 252985-41-4 CAPLUS
CN L-Leucine, D-leucyl-L-seryl-L-alanyl- (9CI) (CA INDEX NAME)

SEQ 1 LSAL

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 18 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:757943 CAPLUS Full-text
DOCUMENT NUMBER: 132:180846
TITLE: A Convenient Method of Preparing High Specific
Activity Technetium Complexes Using Thiol-Containing
Chelators Adsorbed on Gold
AUTHOR(S): Pollak, Alfred; Roe, David G.; Pollock, Catherine M.;
Lu, Linda F. L.; Thornback, John R.
CORPORATE SOURCE: Resolution Pharmaceuticals Inc., Mississauga, ON, L4V
1V7, Can.
SOURCE: Journal of the American Chemical Society (1999
), 121(49), 11593-11594
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:180846

AB The phys. and chem. properties of technetium-99m make it an ideal radioisotope for use in medical imaging agents. Here, the preparation and labeling of the thiol-containing dimethylglycine-serine-cysteine-glycine (RP414), adsorbed onto a gold surface, is described. The chelator having the cysteine protected by the acetamidomethyl (Acm) group was easily prepared by standard solid-phase peptide synthesis techniques employing FMOC chemical Removal of the Acm group using mercury acetate in the presence of acetic acid, followed by precipitation of the mercury with H2S gas, gave the chelator as the free thiol. A solution of this chelator in phosphate buffered saline (PBS) and ethanol was then used to load the gold surface. Unreacted chelator was removed by thorough washing of the gold and complete removal was confirmed by HPLC anal. of the supernatant. Utilization of this attachment provides an ideal opportunity to develop a procedure to generate high specific activity formulations of technetium radiopharmaceuticals. Radiolabeling of the gold-bound chelator with Tc-99m pertechnetate was carried out. Initial complexation of the surface bound chelator with the technetium (after reduction) weakens the gold-sulfur bond. This bond breaks and a sulfur-technetium bond forms causing the technetium complex to be released into solution Uncomplexed chelator remains attached to the gold surface. The end result of this is the generation of technetium complexes having high specific activity in which the only receptor-targeting mol. in the solution is the radiopharmaceutical.

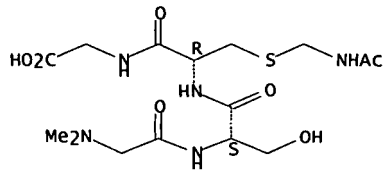
IT 231614-43-0P 259198-91-9DP, gold surface bonded 259198-91-9P, RP 414
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(convenient method of preparing high specific activity technetium
complexes for radioimaging agents using thiol-containing chelators adsorbed
on gold)

RN 231614-43-0 CAPLUS
CN Glycine, N,N-dimethylglycyl-L-seryl-S-[(acetylamino)methyl]-L-cysteinyl-
(9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 GSCG

Absolute stereochemistry.

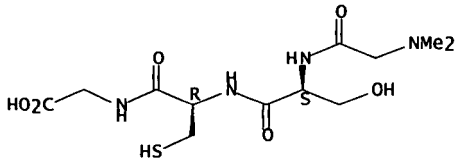


RN 259198-91-9 CAPLUS
CN Glycine, N,N-dimethylglycyl-L-seryl-L-cysteinyl- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 GSCG

Absolute stereochemistry.

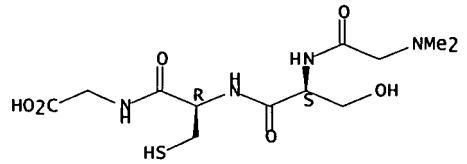


RN 259198-91-9 CAPLUS
CN Glycine, N,N-dimethylglycyl-L-seryl-L-cysteinyl- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 GSCG

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

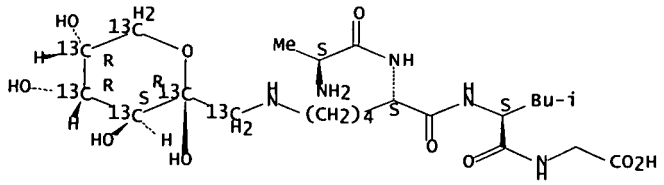
L29 ANSWER 19 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:757434 CAPLUS Full-text
DOCUMENT NUMBER: 132:77704
TITLE: Development of a Stable Isotope Dilution Assay for an
Accurate Quantification of Protein-Bound Nε-(1-Deoxy-D-fructos-1-yl)-L-lysine Using a 13C-Labeled Internal Standard
AUTHOR(S): Vinale, F.; Fogliano, V.; Schieberle, P.; Hofmann, T.
CORPORATE SOURCE: Department of Food Science, The University of Naples
Federico II, Portici, 80055, Italy
SOURCE: Journal of Agricultural and Food Chemistry (1999), 47(12), 5084-5092
CODEN: JAFCAU; ISSN: 0021-8561
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Syntheses of the labeled Amadori compd. [13C6]-Nε-(1-deoxy-D-fructos-1-yl)-L-lysine ([13C6]-DFLys) and the labeled glycated tetrapeptide Ala-[13C6]-DFLys-Leu-Gly are presented. The compds. were used in the development of stable isotope dilution assays for the quantification of the degree of glycosylation of bovine serum albumin treated for 20 min at 95°C in the presence of glucose. The expts. revealed that the use of the labeled stds. in combination with LC/MS allowed the exact quantification of protein-bound DFLys with the high recovery rate of 95% (at a spike level of 150 nmol/mg of protein) and a low detection limit of 5 nmol/mg of protein. The data revealed, however, that DFLys is significantly degraded during the enzymic hydrolysis of the protein backbone generally needed in the quantification procedure and, furthermore, incomplete digestion of the protein was observed Both sources of errors were clearly overcome by using in particular the labeled peptide as the internal standard

IT 253584-91-7P
RL: ARU (Analytical role, unclassified); SPN (Synthetic preparation); ANST
(Analytical study); PREP (Preparation)
(development of a stable isotope dilution assay for an

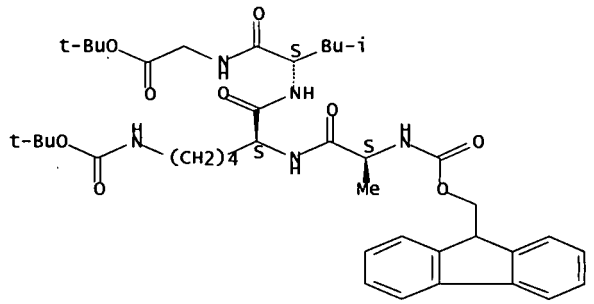
accurate
quantification of protein-bound Nε-(1-Deoxy-D-fructos-1-yl)-L-
lysine using a 13C-labeled internal standard)
RN 253584-91-7 CAPLUS
CN Glycine, L-alanyl-N6-(1-deoxy-β-D-fructopyranos-1-yl-13C6)-L-lysyl-L-
leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 253584-98-4P 253585-00-1P 253585-01-2P
253585-02-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(development of a stable isotope dilution assay for an accurate
quantification of protein-bound Nε-(1-Deoxy-D-fructos-1-yl)-L-
lysine using a 13C-labeled internal standard)
RN 253584-98-4 CAPLUS
CN Glycine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-leucyl-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

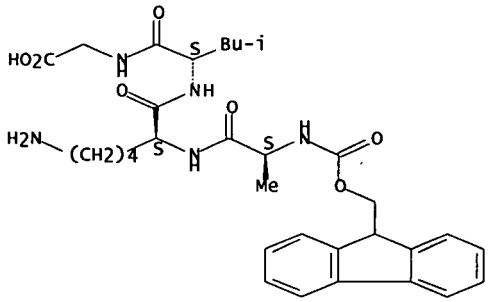


RN 253585-00-1 CAPLUS
CN Glycine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl-L-lysyl-L-leucyl-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

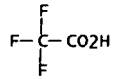
CRN 253584-99-5
CMF C32 H43 N5 O7

Absolute stereochemistry.



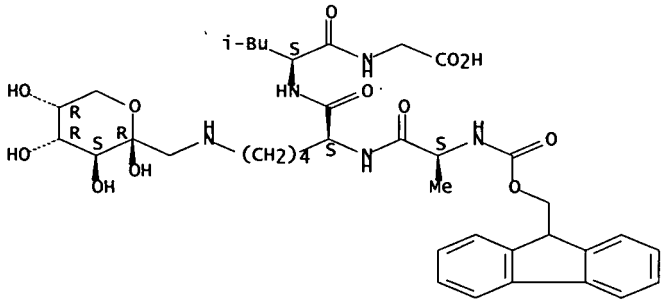
CM 2

CRN 76-05-1
CMF C2 H F3 O2



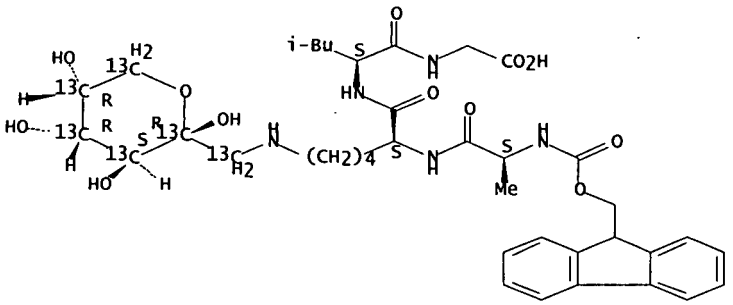
RN 253585-01-2 CAPLUS
CN Glycine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl-N6-(1-deoxy-β-
D-fructopyranos-1-yl)-L-lysyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253585-02-3 CAPLUS
CN Glycine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl-N6-(1-deoxy-β-
D-fructopyranos-1-yl-13C6)-L-lysyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

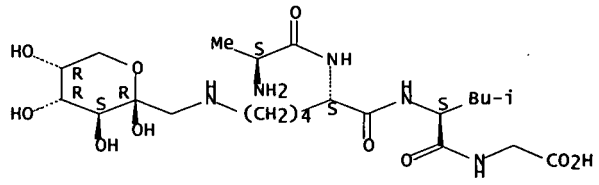


IT 253585-03-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(development of a stable isotope dilution assay for an accurate
quantification of protein-bound Nε-(1-Deoxy-D-fructos-1-yl)-L-
lysine using a 13C-labeled internal standard)
RN 253585-03-4 CAPLUS
CN Glycine, L-alanyl-N6-(1-deoxy-β-D-fructopyranos-1-yl)-L-lysyl-L-leucyl- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 AKLG

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 20 OF 1915 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:754627 CAPLUS Full-text
DOCUMENT NUMBER: 132:166498
TITLE: Correlation between the mobility of spin-labeled
peptide chains and resin solvation: an approach to
optimize the synthesis of aggregating sequences
AUTHOR(S): Cilli, Eduardo M.; Marchetto, Reinaldo; Schreier,
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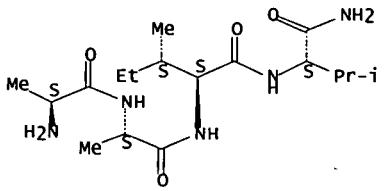
AB Resin solvation properties affect the efficiency of the coupling reactions in solid-phase peptide synthesis. Here, the authors report a novel approach to evaluate resin solvation properties, making use of spin label ESR (EPR) spectroscopy. The aggregating peptide sequences VVLGAAIV and ING were assembled in benzhydrylamine-resin with different amino group contents (up to 2.6 mmol/g) to examine the extent of chain association within the beads. These model peptidyl-resins were first labeled at their N-terminus with the amino acid spin label 2,2,6,6-tetramethylpiperidine-N- oxyl-4-amino-4-carboxylic acid (Toac). Their solvation properties in different solvents were estimated, either by bead swelling measurement or by assessing the dynamics of their polymeric matrixes through the anal. of Toac EPR spectra, and were correlated with the yield of the acylation reaction. In most cases the coupling rate was found to depend on bead swelling. Comparatively, the EPR approach was more effective. Line shape anal. allowed the detection of more than one peptide chain population, which influenced the reaction. The results demonstrated the unique potential of EPR spectroscopy not only for improving the yield of peptide synthesis, even in challenging conditions, but also for other relevant polymer-supported methodologies in chemical and biol.

IT 258511-39-6DP, benzhydrylamine resin-bound
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(determination of optimal resin solvation for solid-phase peptide coupling by studying spin-labeled, resin-bound peptides with EPR spectroscopy and bead swelling methods)

RN 258511-39-6 CAPLUS
CN L-Valinamide, L-alanyl-L-alanyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



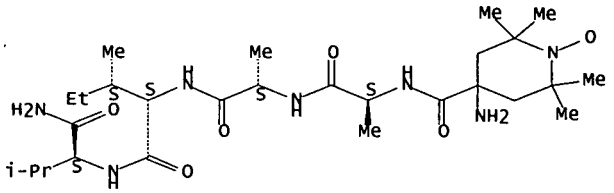
IT 258511-38-5DP, benzhydrylamine resin-bound
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(determination of optimal resin solvation for solid-phase peptide coupling by studying spin-labeled, resin-bound peptides with EPR spectroscopy and bead swelling methods)

RN 258511-38-5 CAPLUS
CN L-Valinamide, 4-amino-2,2,6,6-tetramethyl-1-oxy-4-piperidinecarbonyl-L-alanyl-L-alanyl-L-isoleucyl- (9CI) (CA INDEX NAME)

NTE modified (modifications unspecified)

SEQ 1 AAIV

Absolute stereochemistry.



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